## 10/591,895

```
chain nodes :
12 13 15 16 18 20
ring nodes :
1 2 3 4 5 6 7 8 9
chain bonds :
8-12 12-13 12-15 15-16 16-18 18-20
ring bonds :
                    4-5 4-7 5-6 5-9 7-8 8-9
1-2 1-6 2-3 3-4
exact/norm bonds :
1-2 \quad 1-6 \quad 2-3 \quad 3-4 \quad 4-5 \quad 4-7 \quad 5-6 \quad 5-9 \quad 7-8 \quad 8-9 \quad 8-12 \quad 12-13 \quad 12-15 \quad 15-16 \quad 16-18
18-20
isolated ring systems :
containing 1 :
G1:C, N
G2:C,S
G3:0, N
Match level:
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 12:CLASS
13:CLASS 15:CLASS 16:CLASS 18:CLASS 20:CLASS
=> s 11 sam
L2
             42 SEA SSS SAM L1
=> s 11 full
           946 SEA SSS FUL L1
=> file caplus
=> s 13
L4
            88 L3
=> s 14 and pd< mar 2003
      23754908 PD< MAR 2003
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(PD<20030300) 59 L4 AND PD< MAR 2003

L5

=> dis 15 1-59 bib abs hitstr

- ANSWER 1 OF 59 CAPLUS COPYRIGHT 2010 ACS on STN
- AN 2008:492996 CAPLUS Full-text
- DN 148:472052
- Phenoxypropylamine compounds as %-HT reuptake inhibitors and their TIpreparation, pharmaceutical compositions and use in the treatment of depression
- Nishiyama, Akira; Bougauchi, Masahiro; Kuroita, Takanobu; Minoguchi, ΙN Masanori; Morio, Yasunori; Kanzaki, Kouji
- Mitsubishi Pharma Corporation, Japan PA
- U.S. Pat. Appl. Publ., 162pp., Cont.-in-part of Appl. No. SO PCT/JP2000/03279.

CODEN: USXXCO

DT Patent

English LA

FAN.CNT 2

I AIV • V	_	PATENT NO.					KIND DATE			APPLICATION NO.					DATE				
PI								,	US 2001-990389						20011123 <				
	WO	2000	0715	17					WO 2000-JP3279						20000522 <				
		W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	AΖ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CR,	
			CU,	CZ,	DE,	DK,	DM,	DZ,	EE,	ES,	FΙ,	GB,	GD,	GE,	GH,	GM,	HR,	HU,	
			ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KR,	KΖ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	
			MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NΖ,	PL,	PT,	RO,	RU,	SD,	SE,	
			SG,	SI,	SK														
		RW:	GH,	GM,	ΚE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZW,	AT,	BE,	CH,	CY,	
			DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	ΙT,	LU,	MC,	NL,	PT,	SE,	BF,	ΒJ,	
			CF,	CG,	CI,	CM,	GA,	GN,	GW,	ML,	MR,	ΝE,	SN,	TD,	ΤG				
	ZA	2001	0101	37		A		2003	0225		ZA 2	001-	1013	7		2	0011	210	<
	US	2004						2004	0715	US 2003-740418						20031222			
	US	7196	199			В2		2007	0327										
PRAI		1999						1999	0524										
	JP	1999	-166	160		Α		1999	0614										
	JP	1999	-277	384		Α		1999	0929										
		2000						2000	-										
	MO	2000	-JP3	279		A2		2000	0522										
	US	2001	-990	389		A3		2001	1123										

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

CASREACT 148:472052; MARPAT 148:472052

GΙ

AΒ The invention relates to a phenoxypropylamine compound of the formula I wherein each symbol is as defined in the specification, an optically active compound thereof or a pharmaceutically acceptable salt thereof and hydrates thereof, which simultaneously show selective affinity for and antagonistic activity against 5-HT1A receptor, as well as 5-HT reuptake inhibitory activity, and can be used as antidepressants quick in expressing an antidepressive effect. Compds. of formula I wherein dotted line is a single or double bond; X is H, OH, C1-6 alkoxy, acyloxy, and oxo; R1 is spiropiperidine, N-substituted piperazine, substituted piperidine and substituted tetrahydropyridine; provided that when R1 is N-substituted piperazine, X should not be H; R3 is H, C1-18 alkyl, and halo; V is CH2, O, S, and NH and derivs.; W is CH2 and CO; R7 is C1-4 hydroxyalkyl, acyl, (un)substituted (un) saturated heterocycle, (un) substituted fused heterocycle, C1-4 alkylsulfonyl, etc.; R4, R5, R6 are independently H, C1-18 alkyl, OH, C1-8 alkoxy, halo, acyl, NO2, and amino; R7W taken together to form a ring; provided that when R7 and W forms a ring, R4 - R6 are not each OH and C1-6 alkoxy; pharmaceutically acceptable salts and hydrates thereof; are claimed. Example compound II was prepared by amidation of (S)-1-(4qlycidyloxybenzo[b]furan-2-ylcarbonyl)pyrrolidine with 4-(naphthalen-2yl)piperidine. All the invention compds. were evaluated for their 5-HT reuptake inhibitory activity (some data given).

IT 1020271-40-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of phenoxypropylamine compds. as 5-HT reuptake inhibitors useful in the treatment of depression)

RN 1020271-40-2 CAPLUS

CN 1H-Indole-2-carboxylic acid, 4-(phenylmethoxy)-, 2-acetylhydrazide (CA INDEX NAME)

ANSWER 2 OF 59 CAPLUS COPYRIGHT 2010 ACS on STN

 $L_5$ 

AB

IT

457896-21-8P 457896-76-3P

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2002:696000 CAPLUS Full-text
ΑN
    137:226583
DN
    Peptide deformylase inhibitors
ΤI
    Xiang, Jia-Ning; Christensen, Siegfried B.; Lee, Jinhwa; Mercer, Daniel J.
TN
    Smithkline Beecham Corporation, USA
PΑ
    PCT Int. Appl., 95 pp.
    CODEN: PIXXD2
DT
    Patent
LA
    English
FAN.CNT 1
                      KIND DATE
                                     APPLICATION NO. DATE
    PATENT NO.
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                                          _____
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                              _____
    WO 2002070541
                       A2
                               20020912
                                         WO 2002-US6275
                                                                20020301 <--
PΙ
    WO 2002070541
                        A3 20021219
        W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
            CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
            GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
            LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL,
            PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG,
            US, UZ, VN, YU, ZA, ZW
        RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
            CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
            BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
    CA 2439827
                        A1
                               20020912
                                        CA 2002-2439827
                                                                 20020301 <--
    AU 2002335490
                        A1
                               20020919
                                         AU 2002-335490
                                                                 20020301 <--
    AU 2002335490
                        В2
                               20051020
    EP 1363873
                        A2
                            20031126
                                         EP 2002-748375
           AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
            IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
                   A2 20040128
    HU 2003003308
                                         HU 2003-3308
                                                                 20020301
    CN 1505607
                       A
                              20040616
                                        CN 2002-809257
                                                                 20020301
                       A 20040924 NZ 2002-527728
T 20041216 JP 2002-569860
    NZ 527728
                                                                 20020301
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    JP 2004537507
                                                                 20020301
    JP 4266638
BR 2002007810
                       B2 20090520
                    A 20080415 BR 2002-7810

A 20090819 EG 2003-473

A 20031006 NO 2003-3828

A1 20040506 US 2003-469433
                                                                 20020301
    EG 24516
                                                                 20030520
    NO 2003003828
                                                                 20030828
    US 20040087585
                                        US 2003-469433
                                                                 20030828
                       B2 20060328
    US 7019003
    MX 2003007869
                       A
                             20031204
                                        MX 2003-7869
                                                                 20030829
    IN 2003DN01386
                       A
                             20070330
                                          IN 2003-DN1386
                                                                 20030829
                       W
PRAI US 2001-272570P
                               20010301
    WO 2002-US6275
                              20020301
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
    MARPAT 137:226583
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provided. The PDF inhibitors can be used to treat bacterial infection.

Nobel peptide deformylase (PDF) inhibitors and novel methods for their use are

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(peptide deformylase inhibitors and their use to treat bacterial infection)

RN 457896-21-8 CAPLUS

CN 1H-Indole-2-carboxylic acid, 2-[(2R)-2-[(formylhydroxyamino)methyl]-1-oxoheptyl]hydrazide (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 457896-76-3 CAPLUS

CN 1H-Indole-2-carboxylic acid, 7-amino-, 2-[(2R)-2-[(formylhydroxyamino)methyl]-1-oxoheptyl]hydrazide (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$\bigcap_{\mathrm{NH}_{2}}^{\mathrm{OH}}\bigcap_{\mathrm{NH}_{2}}^{\mathrm{OH}}\bigcap_{\mathrm{CHO}}^{\mathrm{CHO}}\bigcap_{\mathrm{CH}_{2}}^{\mathrm{Me}}$$

OSC.G 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L5 ANSWER 3 OF 59 CAPLUS COPYRIGHT 2010 ACS on STN
- AN 2002:682715 CAPLUS Full-text
- DN 137:363676
- TI Changes in UCP2, PPAR $\gamma$ 2, and C/EBP $\alpha$  gene expression induced by a neuropeptide Y (NPY) related receptor antagonist in overweight rats
- AU Margareto, J.; Rivero, I.; Monge, A.; Aldana, I.; Marti, A.; Martinez, J. A.
- CS Department of Physiology and Nutrition, University of Navarra, Pamplona, Navarra, 31008, Spain
- SO Nutritional Neuroscience (2002), 5(1), 13-17 CODEN: NNINFE; ISSN: 1028-415X
- PB Taylor & Francis Ltd.
- DT Journal
- LA English
- AB Neuropeptide Y (NPY), a peptide released by nervous cells, appears to contribute to adiposity regulation by increasing food intake and inhibiting

## 10/591,895

lipolysis. New NPY receptor related antagonists such as S.A.0204 are being developed as potential anti-obesity drugs affecting adipocyte lipid metabolism and thermogenesis. In this sense, those animals fed on a high-energy yielding (cafeteria) diet decreased body fat weight as compared to overweight controls, when they were administered with S.A.0204, and increased body temperature, which statistically correlated with high UCP2 mRNA expression levels in white adipose tissue. In addition, the in vivo NPY antagonist administration was able to prevent white adipose tissue growth in animals fed the cafeteria (high-fat) diet by impairing PPAR $\gamma$  and C/EBP $\alpha$  mRNA expression in white fat cells. In summary, this novel NPY related-antagonist S.A.0204 may regulate body fat deposition by affecting both energy dissipation and white adipose tissue deposition, representing a potential new pharmacol. strategy for obesity management.

IT 274934-35-9, S.A.0204

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(neuropeptide Y receptor antagonist change in energy dissipation and adipogenesis related factors UCP2, PPAR $\gamma$ 2, and C/EBP $\alpha$  gene expression in overweight rats and mechanisms thereof)

RN 274934-35-9 CAPLUS

CN 1H-Indole-2-carboxylic acid, 2-[1-oxo-2-[(2-phenoxyacetyl)amino]-3-phenylpropyl]hydrazide (CA INDEX NAME)

Absolute stereochemistry.

- OSC.G 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
  RE.CNT 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD
- ALL CITATIONS AVAILABLE IN THE RE FORMAT
- L5 ANSWER 4 OF 59 CAPLUS COPYRIGHT 2010 ACS on STN
- AN 2002:679012 CAPLUS Full-text
- DN 138:271646
- TI Synthesis and reactions of certain 1,2,4-triazino[4,5-a]indoles
- AU Ghoneim, K. M.; El-Fattah, B. Abd; Soliman, L. N.; El-Meligie, S.; El-Maaty, S. M. Abou
- CS Organic Chemistry Department, Faculty of Pharmacy, Cairo University, Cairo, Egypt
- SO Bulletin of the Faculty of Pharmacy (Cairo University) (2001), 39(2), 11-21 CODEN: BFPHA8; ISSN: 1110-0931
- PB Cairo University, Faculty of Pharmacy
- DT Journal
- LA English
- OS CASREACT 138:271646
- AB Condensation of 5-chloro-2-hydrazinocarbonylindole (IV) with certain aldehydes, Et chloroformate, ethylorthoformate and some Et ortho alkanoates afforded V1-3, VII, IX and X1,2 resp. Further reaction of V1-3 with Br2/AcOH gave the bromo derivs. VII-3, while treatment of VII with KOH yielded VIII. Meanwhile, hydrazinolysis of VIII and X1,2 took place on heating with excess

## 10/591,895

hydrazine. Reacting X1,2 with P2S5 furnished the thioxo derivs. XI1,2 which on treatment with hydrazine gave rise to the hydralazine analogs XII1,2. Interacting XII1,2 with some aromatic carbonyl compds. and tri-Et ortho alkanoates brought about XIII1-16 and XV1-6 resp. Reacting XII1 with formic acid and acetylacetone yielded XVI and XVII resp. Also, Treating XII2 with di-Et oxalate produced XVIII. Moreover, reacting XII with Et chloroacetate afforded the ester XIX which on reacting with hydrazine gave the hydrazide XX. Condensing the latter with different carbonyl compds. yielded XXI1-4.

IT 87811-55-0P 503179-80-4P

RL: SPN (Synthetic preparation); PREP (Preparation) (synthesis and reactions of 1,2,4-triazino[4,5-a]indole derivs.)

RN 87811-55-0 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-chloro-, 2-(ethoxycarbonyl)hydrazide (CA INDEX NAME)

RN 503179-80-4 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-chloro-, 2-(ethoxymethylene)hydrazide (CA INDEX NAME)

# RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 5 OF 59 CAPLUS COPYRIGHT 2010 ACS on STN

AN 2002:522642 CAPLUS Full-text

DN 137:93684

TI Preparation of 3-substituted indole angiogenesis inhibitors

IN Bamaung, Nwe Y.; Craig, Richard A.; Kawai, Megumi; Wang, Jieyi; Dai, Yujia; Guo, Yan; Sheppard, George; Verzal, Mary K.; Vasudevan, Anil; Michaelides, Michael

PA USA

SO U.S. Pat. Appl. Publ., 49 pp. CODEN: USXXCO

DT Patent

LA English

FAN.CNT 1

11111.0	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE		
	US 20020091148 US 2000-233390P	A1 P	20020711 20000915	US 2001-952603	20010914 <		

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OS MARPAT 137:93684

GΙ

$$\begin{bmatrix} R^2 & R^8 & R^4 \\ N & N & N \end{bmatrix} \xrightarrow{R^5}$$

The title compds. [I; a=0-4; R1=alkoxy, NH2, halo, OH, NO2; R2=alkenyl, alkyl, aryl, etc.; R3=H, alkyl, N-protecting group; one of R4 and R5=alkyl, aryl, arylalkyl, etc., and the other = H, alkyl; R8=H, alkyl], useful in inhibiting angiogenesis and cancer, were prepared E.g., a multi-step synthesis of I [R1=H; R2=Ph; R3=H; R4=4-MeOC6H4; R5, R8=H] was described. The compds. I had IC50 values between 9 nM and 60  $\mu$ M with a preferred range of 0.1-0.5  $\mu$ M and a most preferred range of 9-50 nM in HMVEC cell proliferation assays.

IT 441801-33-8

RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of indolecarbohydrazides as angiogenesis inhibitors)

RN 441801-33-8 CAPLUS

CN 1H-Indole-2-carboxylic acid, 6-methoxy-3-methyl-,

Т

2-[(1,1-dimethylethoxy)carbonyl]hydrazide (CA INDEX NAME)

IT 441801-11-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of indolecarbohydrazides as angiogenesis inhibitors)

RN 441801-11-2 CAPLUS

CN 1H-Indole-2-carboxylic acid, 3-phenyl-,

1-methyl-2-[(phenylmethoxy)carbonyl]hydrazide (CA INDEX NAME)

L5 ANSWER 6 OF 59 CAPLUS COPYRIGHT 2010 ACS on STN

AN 2002:368455 CAPLUS Full-text

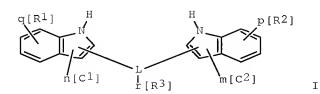
DN 136:379071

## 10/591,895

- TI Preparation of substituted bis-indole derivatives and their metal complexes useful as contrast agents, pharmaceutical compositions containing them and intermediates for producing them
- IN Cresens, Erwin; Ni, Yicheng; Adriaens, Paul; Verbruggen, Alfons; Marchal,
  Guy
- PA K.U. Leuven Research & Development, Belg.
- SO PCT Int. Appl., 75 pp. CODEN: PIXXD2
- DT Patent
- LA English

FAN.CNT 1

FAN.CNT 1 PATENT NO.						KIND DATE			APPLICATION NO.					DATE				
ΡΙ	WO 2002039546				Δ1 20020516			WO 2001-BE192										
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		D 747 •	,	,	,	ZA,		1/17	CD	СТ	CT	T 7	TTC	77 Ta7	7 7	יזכו	CII	CV
		KW:						MZ,										
			•					GB,			•	•	•					Br,
	Ω.D.	0060	•				,	GA,	•									100
	_								GB 2000-27249									
										AU 2002-18075 EP 2001-993601								
	EΡ													-				_
		R:	•					ES,				•	LI,	LU,	ΝL,	SE,	MC,	PT,
							,	RO,	,									
	_	1003								CN 2001-821823								
		2004						2004	0318	1	US 2	003-	4160	43		20	0031	023
		7081						2006	-									
PRAI	GB	2000	-272	49		А		2000	1108									
	GB	2001	-206	59		A		2001	0828									
	WO	2001	-BE1	92		W		2001	1107									
OS	MAI	RPAT	136:	3790	71													
GI																		



The preparation is described for metal-complexable substituted bis-indole derivs. comprising the structure shown in formula (I) and its enantiomers, pharmaceutically acceptable salts and metal complexes, where L is a bond or linking group, C1 and C2 are metal complexing substituents with m + n = 1 or 2, and the remaining substituents (R1, R2, R3) and coeffs. (p, q and r) are as defined within the document, for use as contrast agents. Thus, the gadolinium bis(indole)-DTPA derivative complex Na2[Gd2L'] [L' = I with L = 3,3'-PhCH, R1 = R2 = R3 = H, C1 = C2 = 2(2')-

## 10/591,895

CONHNHCH2N(CH2CO2H)CH2CH2N(CH2CO2H)CH2CH2N(CH2CO2H)2, m=n=1] was prepared and tested as an MRI contrast agent.

IT 424838-59-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate product in preparation of bis(indole) derivs. and their metal complexes as contrast agents)

RN 424838-59-5 CAPLUS

CN 1H-Indole-2-carboxylic acid, 3,3'-methylenebis-, bis[2-[[2-[bis(carboxymethyl)amino]ethyl](carboxymethyl)amino]ethyl](carboxymethyl)amino]acetyl]hydrazide], octasodium salt (9CI) (CA INDEX NAME)

●8 Na

PAGE 1-B

IT 424838-55-1P 424838-64-2P 424838-67-5P 424838-68-6P 424838-69-7P 424838-70-0P 424838-71-1P

RL: DGN (Diagnostic use); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of bis(indole) derivs. and their metal complexes as contrast agents)

RN 424838-55-1 CAPLUS

CN 1H-Indole-2-carboxylic acid, 3,3'-(phenylmethylene)bis-, bis[2-[[2-[bis(carboxymethyl)amino]ethyl](carboxymethyl)amino]ethyl](carboxymethyl)amino]acetyl]hydrazide], octasodium salt (9CI) (CA INDEX NAME)

PAGE 1-A

●8 Na

PAGE 1-B

RN 424838-64-2 CAPLUS

CN 1H-Indole-2-carboxylic acid, 3,3'-[(4-methoxyphenyl)methylene]bis-, bis[2-[[[2-[bis(carboxymethyl)amino]ethyl](carboxymethyl)amino]ethyl](carboxymethyl)amino]acetyl]hydrazide], octasodium salt (9CI) (CA INDEX NAME)

●8 Na

PAGE 1-B

RN 424838-67-5 CAPLUS

CN 1H-Indole-2-carboxylic acid, 3,3'-(phenylmethylene)bis-, bis[2-[[2-[bis(carboxymethyl)amino]ethyl](carboxymethyl)amino]ethyl](carboxymethyl)amino]acetyl]hydrazide] (9CI) (CA INDEX NAME)

PAGE 1-B

RN 424838-68-6 CAPLUS

CN 1H-Indole-2-carboxylic acid, 3,3'-methylenebis-, bis[2-[[2-[bis(carboxymethyl)amino]ethyl](carboxymethyl)amino]ethyl](carboxymethyl)amino]acetyl]hydrazide] (9CI) (CA INDEX NAME)

PAGE 1-B

RN 424838-69-7 CAPLUS

CN 1,4,7,10-Tetraazacyclododecane-1,4,7,10-tetraacetic acid, 2,2'-[methylenebis(1H-indole-3,2-diylcarbonyl)]dihydrazide (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

RN 424838-70-0 CAPLUS

CN 1,4,7,10-Tetraazacyclododecane-1,4,7,10-tetraacetic acid, 2,2'-[methylenebis(1H-indole-3,2-diylcarbonyl)]dihydrazide, hexasodium salt (9CI) (CA INDEX NAME)

PAGE 1-A

●6 Na

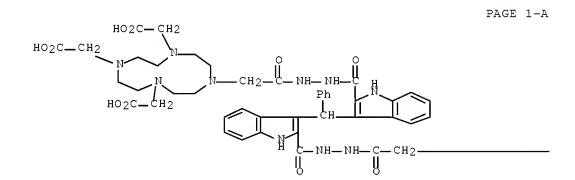
RN 424838-71-1 CAPLUS

CN 1,4,8,11-Tetraazacyclotetradecane-1,4,8,11-tetraacetic acid, 2,2'-[methylenebis(1H-indole-3,2-diylcarbonyl)]dihydrazide (9CI) (CA INDEX NAME)

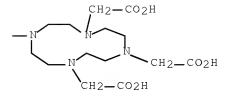
PAGE 1-A

$$HO_2C-CH_2$$
 $HO_2C-CH_2$ 
 $HO_2C-CH_2$ 
 $HO_2C-CH_2$ 
 $HO_2C-CH_2$ 

PAGE 1-B



PAGE 1-B



RN 424838-67-5 CAPLUS

CN 1H-Indole-2-carboxylic acid, 3,3'-(phenylmethylene)bis-, bis[2-[[2-[bis(carboxymethyl)amino]ethyl](carboxymethyl)amino]ethyl](carboxymethyl)amino]acetyl]hydrazide] (9CI) (CA INDEX NAME)

PAGE 1-B

RN 424838-68-6 CAPLUS

CN 1H-Indole-2-carboxylic acid, 3,3'-methylenebis-, bis[2-[[2-[bis(carboxymethyl)amino]ethyl](carboxymethyl)amino]ethyl](carboxymethyl)amino]acetyl]hydrazide] (9CI) (CA INDEX NAME)

PAGE 1-B

RN 424838-69-7 CAPLUS

CN 1,4,7,10-Tetraazacyclododecane-1,4,7,10-tetraacetic acid,

2,2'-[methylenebis(1H-indole-3,2-diylcarbonyl)]dihydrazide (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

RN 424838-71-1 CAPLUS
CN 1,4,8,11-Tetraazacyclotetradecane-1,4,8,11-tetraacetic acid,
2,2'-[methylenebis(1H-indole-3,2-diylcarbonyl)]dihydrazide (9CI) (CA
INDEX NAME)

PAGE 1-A

$$HO_2C-CH_2$$
 $HO_2C-CH_2$ 
 $HO_2C-CH_2$ 
 $HO_2C-CH_2$ 
 $HO_2C-CH_2$ 

PAGE 1-B

OSC.G 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L5 ANSWER 7 OF 59 CAPLUS COPYRIGHT 2010 ACS on STN
- AN 2002:240749 CAPLUS Full-text
- DN 136:279204
- TI Preparation of heterocyclylcarbonyl derivatives of arylsulfonylhydrazides as branched chain amino acid-dependent aminotransferase inhibitors and their use in the treatment of neurodegenerative diseases
- IN Bora, Keenan Martin; Hu, Lain-Yen; Kesten, Suzanne Ross; Lei, Huanyshu; Moreland, David Winslow; Rafferty, Michael Francis; Ryder, Todd Robert; Scholten, Jeffrey David; Wustrow, David Juergen
- PA Warner-Lambert Company, USA
- SO PCT Int. Appl., 183 pp.
  - CODEN: PIXXD2
- DT Patent
- LA English

FAN.CNT 1

FAN.	PATENT NO.						KIND DATE			APPLICATION NO.						DATE			
PI						A2 20020328 A3 20030306			WO 2001-US25892						20010817 <				
			AE, CO, GM, LS, PT,	AG, CR, HR, LT, RO,	AL, CU, HU, LU, RU,	AM, CZ, ID, LV,	AT, DE, IL, MA, SE,	AU, DK, IN, MD, SG,	AZ, DM, IS, MG,	BA, DZ, JP, MK,	EC, KE, MN,	EE, KG, MW,	BR, ES, KP, MX, TM,	FI, KR, MZ,	GB, KZ, NO,	GD, LC, NZ,	GE, LK, PH,	GH, LR, PL,	
		RW:	DE,	DK,	ES,	FI,	FR,	GB,	GR,	IE,	IT,	LU,	UG, MC, MR,	NL,	PT,	SE,	TR,		
	CA	2416																817 <	
										AU 2001-85067						20010817 <			
	EP	1320	523			A2		2003	0625	EP 2001-964182					20010817				
	EP	1320	523			В1		2005	0622										
		R:							FR, MK,				LI,	LU,	NL,	SE,	MC,	PT,	
	BR	2001											1397	4		2	00108	817	
	JP	2004	5098	80		T		2004	0402		JP 2	002-	5290	32		2	00108	817	
	ΑT	2983	23			T		2005	0715	i	AT 2	001-	9641	32		2	00108		
	ES	2241	861			Т3		2005	1101	]	ES 2	001-	9641	32		2	00108	817	
	МX	2003001277				A		2004	0730	I	MX 2003-1277				20030210				
				-						US 2004-765002 2004					0040	126			
PRAI	US	2000	-233	78 <b>6</b> P		P		2000	0919										

US 2001-381068 B1 20010101 WO 2001-US25892 W 20010817

Ι

OS MARPAT 136:279204

GΙ

AΒ Title compds. I (R1, R2, R4, and R5 = H, halo, CN, NO2, aryl, (un)substitutedalkyl, -alkoxy, etc.; R3 = H, F, Br, alkyl, carboxy, (un)substituted alkoxy; Ar = (un)substituted-indole, -benzofuran, tricyclic heteroaryl, etc.) are prepared and disclosed as branched chain amino acid-dependent aminotransferase (BCAT) inhibitors. Thus, II was prepared by amidation of dibenzofurancarboxylic acid with hydrazine followed by sulfonylation with benzenesulfonyl chloride. In assays with human BCAT, I demonstrated inhibition in a range of concns. from 0.3 to  $>100\mu M$ . As BCAT inhibitors, I, their pharmaceutically acceptable salts and prodrugs thereof, are useful for treating or preventing neuronal loss associated with stroke, ischemia, CNS trauma, hypoglycemia and surgery, as well as treating neurodegenerative diseases including Alzheimer's disease, amyotrophic lateral sclerosis, Huntington's disease and Down's syndrome, treating or preventing the adverse consequences of the overstimulation of the excitatory amino acids, treating anxiety, psychosis, convulsions, aminoglycoside antibiotics-induced hearing loss, migraine headache, chronic pain, neuropathic pain, Parkinson's disease, diabetic retinopathy, glaucoma, CMV retinitis, urinary incontinence, opioid tolerance or withdrawal, and inducing anesthesia, as well as for enhancing cognition.

IT 406192-88-9

RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of heterocyclylcarbonyl derivs. of arylsulfonylhydrazides as branched chain amino acid-dependent aminotransferase inhibitors and their use in the treatment of neurodegenerative diseases)

RN 406192-88-9 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-(dimethylamino)-, 2-(phenylsulfonyl)hydrazide (CA INDEX NAME)

IT 406192-41-4P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(target compound; preparation of heterocyclylcarbonyl derivs. of arylsulfonylhydrazides as branched chain amino acid-dependent aminotransferase inhibitors and their use in the treatment of neurodegenerative diseases)

RN 406192-41-4 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-nitro-, 2-(phenylsulfonyl)hydrazide (CA INDEX NAME)

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(target compound; preparation of heterocyclylcarbonyl derivs. of arylsulfonylhydrazides as branched chain amino acid-dependent aminotransferase inhibitors and their use in the treatment of neurodegenerative diseases)

RN 22930-51-4 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-methoxy-, 2-(phenylsulfonyl)hydrazide (CA INDEX NAME)

RN 406192-24-3 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-amino-, 2-(phenylsulfonyl)hydrazide (CA INDEX NAME)

RN 406192-28-7 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-(dimethylamino)-, 2-(phenylsulfonyl)hydrazide, hydrochloride (1:1) (CA INDEX NAME)

$$\begin{array}{c|c} H & O \\ \hline H & C \\ \hline NH - NH - S \\ \hline O \\ \hline \end{array} \begin{array}{c} Ph \\ \hline \end{array}$$

● HCl

RN 406192-40-3 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-chloro-, 2-[[2-(trifluoromethyl)phenyl]sulfonyl]hydrazide (CA INDEX NAME)

RN 406192-42-5 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-chloro-, 2-(phenylsulfonyl)hydrazide (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\$$

RN 406192-43-6 CAPLUS

CN 1H-Indole-2,5-dicarboxylic acid, 2-[2-[(3-chlorophenyl)sulfonyl]hydrazide] (CA INDEX NAME)

RN 406192-44-7 CAPLUS

CN 1H-Indole-2,5-dicarboxylic acid, 2-[2-[(2-chlorophenyl)sulfonyl]hydrazide] (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ &$$

RN 406192-45-8 CAPLUS

CN 1H-Indole-2,5-dicarboxylic acid, 2-[2-[(3-fluorophenyl)sulfonyl]hydrazide] (CA INDEX NAME)

RN 406192-46-9 CAPLUS

CN 1H-Indole-2,5-dicarboxylic acid, 2-[2-[[3-(trifluoromethyl)phenyl]sulfonyl]hydrazide] (CA INDEX NAME)

RN 406192-47-0 CAPLUS

CN 1H-Indole-2,5-dicarboxylic acid, 2-[2-[[2-(trifluoromethyl)phenyl]sulfonyl]hydrazide] (CA INDEX NAME)

RN 406192-48-1 CAPLUS

CN 1H-Indole-2,5-dicarboxylic acid, 2-[2-[[2-

(trifluoromethoxy)phenyl]sulfonyl]hydrazide] (CA INDEX NAME)

RN 406192-49-2 CAPLUS

CN 1H-Indole-2,5-dicarboxylic acid, 2-[2-[[3-(trifluoromethoxy)phenyl]sulfonyl]hydrazide] (CA INDEX NAME)

RN 406192-50-5 CAPLUS

CN 1H-Indole-2,5-dicarboxylic acid, 2-[2-[(3-bromophenyl)sulfonyl]hydrazide] (CA INDEX NAME)

RN 406192-51-6 CAPLUS

CN 1H-Indole-2,5-dicarboxylic acid, 2-[2-[(3-methylphenyl)sulfonyl]hydrazide] (CA INDEX NAME)

RN 406192-52-7 CAPLUS

CN 1H-Indole-2,5-dicarboxylic acid, 2-[2-[(2-methylphenyl)sulfonyl]hydrazide] (CA INDEX NAME)

$$\underset{\text{Ho}_2\text{C}}{\overset{\text{H}}{\bigvee}} \overset{\circ}{\underset{\text{NH}}{\bigvee}} \underset{\text{NH}}{\overset{\circ}{\bigvee}} \underset{\text{NH}}{\overset{\circ}{\bigvee}} \overset{\text{Me}}{\underset{\text{NH}}{\bigvee}}$$

RN406192-58-3 CAPLUS

CN 1H-Indole-2-carboxylic acid, 7-methoxy-, 2-(phenylsulfonyl)hydrazide (CA INDEX NAME)

RN 406192-59-4 CAPLUS

1H-Indole-2-carboxylic acid, 7-chloro-, 2-(phenylsulfonyl) hydrazide (CA CN INDEX NAME)

406192-60-7 CAPLUS RN

CN 1H-Indole-2-carboxylic acid, 5-methyl-, 2-(phenylsulfonyl)hydrazide (CA INDEX NAME)

RN 406192-61-8 CAPLUS

1H-Indole-2-carboxylic acid, 5-methyl-, CN 2-[[2-(trifluoromethyl)phenyl]sulfonyl]hydrazide (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & &$$

OSC.G THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5ANSWER 8 OF 59 CAPLUS COPYRIGHT 2010 ACS on STN

ΑN 2001:596440 CAPLUS Full-text

135:331407 DN

On the synthesis and reactions of indole-2-carboxylic acid hydrazide TI

Sarhan, Abd El-Wareth A. O.

#### 10/591.895

CS Chemistry Department, Faculty of Science, Assiut University, Assiut, 71516, Egypt

SO Monatshefte fuer Chemie (2001), 132(6), 753-763

CODEN: MOCMB7; ISSN: 0026-9247

PB Springer-Verlag Wien

DT Journal

LA English

OS CASREACT 135:331407

GΙ

AB Indole-2-carboxylic acid hydrazide (I) was prepared and allowed to react with aromatic aldehydes in acidic medium to give the corresponding hydrazone derivs. in good yields. The hydrazones were cyclized to indolo[2,3-d]pyridazine derivs., e.g. II, by refluxing with acetyl chloride. The indole carbohydrazide was converted to 2-triazolylindoles which acted as starting materials for several indole derivs. A number of new indole derivs. were also prepared and structurally confirmed.

IT 37574-75-7P 64932-49-6P 152586-37-3P

369614-60-8P 369614-62-0P 369614-70-0P

369614-71-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis and reactions of indole-2-carboxylic acid hydrazide)

RN 37574-75-7 CAPLUS

CN 1H-Indole-2-carboxylic acid, 2-acetylhydrazide (CA INDEX NAME)

RN 64932-49-6 CAPLUS

CN 1H-Indole-2-carboxylic acid, 2-formylhydrazide (CA INDEX NAME)

$$\underbrace{ \begin{array}{c} \\ \\ \\ \\ \end{array} }^{H} \underbrace{ \begin{array}{c} \\ \\ \\ \\ \end{array} }^{O} \underline{ \\ \\ \\ \\ \\ \end{array} NH\_ NH\_ CHO$$

RN 152586-37-3 CAPLUS

CN 1H-Indole-2-carboxylic acid, 2-[(phenylamino)thioxomethyl]hydrazide (CA

INDEX NAME)

RN 369614-60-8 CAPLUS

CN 1H-Indole-2-carboxylic acid, 2-(aminothioxomethyl)hydrazide, potassium salt (1:1) (CA INDEX NAME)

● K

RN 369614-62-0 CAPLUS

CN 1H-Indole-2-carboxylic acid, 2-[(methylamino)thioxomethyl]hydrazide (CA INDEX NAME)

RN 369614-70-0 CAPLUS

CN 1H-Indole-2-carboxylic acid, 2-[(ethylamino)thioxomethyl]hydrazide (CA INDEX NAME)

RN 369614-71-1 CAPLUS

CN 1H-Indole-2-carboxylic acid, 2-[(cyclohexylamino)thioxomethyl]hydrazide (CA INDEX NAME)

OSC.G 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L5 ANSWER 9 OF 59 CAPLUS COPYRIGHT 2010 ACS on STN
- AN 2001:347687 CAPLUS Full-text
- DN 135:137684
- TI New antagonist agents of neuropeptide Y receptors
- AU Aldana, Ignacio; Rivero, Isabel; Rivero, Argimiro; Huenchunir, Patricio; Frigola, Carmen; Alonso, Maria Luisa; Monge, Antonio; Caignard, D. H.; Renard, P.
- CS Dep. Pharm. Chem., Univ. Navarra, Pamplona, Spain
- SO Quimica Nova (2000), 23(6), 737-741 CODEN: QUNODK; ISSN: 0100-4042
- PB Sociedade Brasileira de Quimica
- DT Journal
- LA English
- OS CASREACT 135:137684

GΙ

- AB The hydrazide derivs. I (R = H, MeO; R1 = PhNH, PhCONH, 2-indolylcarbonylamino, 3-pyridylcarbonylamino, 3-indolylmethylcarbonylamino, 3-pyrazolyl) were prepared from L-phenylalanine and L-methyltirosine as antagonist agents of neuropeptide Y receptors. The L-phenylalanine derived products have better activity.
- IT 274934-52-0P 351860-09-8P
  - RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
    - (preparation of amino acid hydrazide derivs. as new antagonist agents of neuropeptide Y receptors)
- RN 274934-52-0 CAPLUS
- CN 1H-Indole-2-carboxylic acid, 2-[3-(4-methoxyphenyl)-1-oxo-2-[[(phenylmethoxy)carbonyl]amino]propyl]hydrazide (CA INDEX NAME)

Т

Absolute stereochemistry.

RN 351860-09-8 CAPLUS

CN 1H-Indole-2-carboxylic acid, 2-[1-oxo-3-phenyl-2-[[(phenylmethoxy)carbonyl]amino]propyl]hydrazide (CA INDEX NAME)

Absolute stereochemistry.

# RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 10 OF 59 CAPLUS COPYRIGHT 2010 ACS on STN

AN 2001:326267 CAPLUS Full-text

DN 134:340435

TI Preparation and activation effect of indoles to estrogen receptor

IN Kato, Susumu; Hayakawa, Kazuhide; Fujii, Akihiko

PA Japan Tobacco, Inc., Japan

SO Jpn. Kokai Tokkyo Koho, 32 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE		
 JP 2001122855 JP 1999-305996 MARPAT 134:340435	A	20010508 19991027	JP 1999-305996	19991027 <		

Title compds. [I; R1 = H, alkyl; R5, R6 independently = H, halo, OH, alkyl, alkoxy; R7 = H, halo, alkyl; A, B, D, E, F independently = N, CH; Y = benzene] and pharmaceutically acceptable salts, having activation effect for estrogen receptor- $\beta$ , are prepared and are useful as osteoporosis remedy without side effect. Thus, the title compound II was prepared and biol. tested.

IT 338466-43-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and activation effect of indoles to estrogen receptor)

RN 338466-43-6 CAPLUS

CN 1H-Indole-2-carboxylic acid, 3-(4-methoxyphenyl)-, 2-formylhydrazide (CA INDEX NAME)

#### OSC.G 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

- L5 ANSWER 11 OF 59 CAPLUS COPYRIGHT 2010 ACS on STN
- AN 2000:861009 CAPLUS Full-text
- DN 134:157421
- TI A new NPY-antagonist strongly stimulates apoptosis and lipolysis on white adipocytes in an obesity model
- AU Margareto, Javier; Aguado, Miriam; Oses-Prieto, Juan A.; Rivero, Isabel; Monge, Antonio; Aldana, Ignacio; Marti, Amelia; Martinez, J. Alfredo
- CS Department of Physiology and Nutrition, University of Navarra, Pamplona, 31008, Spain
- SO Life Sciences (2000), 68(1), 99-107 CODEN: LIFSAK; ISSN: 0024-3205
- PB Elsevier Science Inc.

DT Journal

LA English

AB Neuropeptide Y (NPY) is a 36 amino acid peptide released in central and peripheral mammalian neurons, which appears to contribute to adiposity regulation by increasing food intake, thus promoting weight gain on animals. Nevertheless, little is known about NPY direct actions on white adipocytes. This trial, which was designed to test the possible effects of a new NPY antagonist, S.A.0204, on white adipose tissue, revealed that the administration of this novel mol. strongly ex vivo stimulates apoptosis and lipolysis in animals fed on a high-fat diet.

IT 274934-35-9, S.A. 0204

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(S.A.0204 strongly stimulates apoptosis and lipolysis on white adipocytes in an obesity model)

RN 274934-35-9 CAPLUS

CN 1H-Indole-2-carboxylic acid, 2-[1-oxo-2-[(2-phenoxyacetyl)amino]-3-phenylpropyl]hydrazide (CA INDEX NAME)

Absolute stereochemistry.

OSC.G 19 THERE ARE 19 CAPLUS RECORDS THAT CITE THIS RECORD (19 CITINGS)

RE.CNT 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 12 OF 59 CAPLUS COPYRIGHT 2010 ACS on STN

AN 2000:780224 CAPLUS Full-text

DN 134:71458

Synthesis and pharmacological evaluation of 3,5-disubstituted indole-2-[N $\beta$ -(substituted benzopyran-2'-one-3'-carboxyl)]carboxy hydrazides and 2H-3-(various substituted indol-3'-yl)methyl-1,3-benzothiazoles

AU Mruthyunjayaswamy, B. H. M.; Shanthaveerappa, B. K.

CS Department of Chemistry, Gulbarga University, Gulbarga, 585 106, India

SO Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (2000), 39B(6), 433-439 CODEN: IJSBDB; ISSN: 0376-4699

PB National Institute of Science Communication, CSIR

DT Journal

LA English

OS CASREACT 134:71458

Equimolar quantities of 3,5-disubstituted indole-2-carboxy hydrazides and di-Et malonate when refluxed in dry xylene for 10h afford 3,5-disubstituted indole-2-[N $\beta$ -mono(carbethoxy malonoyl)]carboxy hydrazides, which on reaction with Bz-substituted salicylaldehydes in ethanol under reflux conditions in the presence of catalytic amount of piperidine for 5hr give 3,5-disubstituted indole-2-[N $\beta$ -(substituted benzopyran-2'-one-3'-carboxyl)]carboxy hydrazides. 2-(Various substituted indol-3'-yl)methyliminothiophenols have been

## 10/591,895

synthesized by reacting various substituted indole-3-carboxaldehydes and o-aminothiophenol. Methyliminothiophenols on reduction with sodium borohydride followed by treatment with formaldehyde yield the desired 2H-3-(various substituted indol-3'-yl)methyl-1,3-benzothiazoles. All the newly synthesized compds. have been tested for their antimicrobial activity against E.coli, S.aureus, P.vulgaris and A.niger. Also compds. have been screened for their analgesic and anticatatonic activity. Some of the compds. exhibit significant activities.

IT 316156-09-9P 316156-10-2P 316156-11-3P 316156-12-4P 316156-13-5P 316156-14-6P 316156-15-7P 316156-16-8P 316156-17-9P 316156-18-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and condensation reaction with salicylaldehydes)

RN 316156-09-9 CAPLUS

CN Propanedioic acid, 1-ethyl ester, 3-[2-[(3-phenyl-1H-indol-2-yl)carbonyl]hydrazide] (CA INDEX NAME)

RN 316156-10-2 CAPLUS

CN Propanedioic acid, 1-ethyl ester, 3-[2-[(5-methyl-3-phenyl-1H-indol-2-yl)carbonyl]hydrazide] (CA INDEX NAME)

RN 316156-11-3 CAPLUS

CN Propanedioic acid, 1-ethyl ester, 3-[2-[(5-methoxy-3-phenyl-1H-indol-2-yl)carbonyl]hydrazide] (CA INDEX NAME)

RN 316156-12-4 CAPLUS

CN Propanedioic acid, 1-ethyl ester, 3-[2-[(5-chloro-3-phenyl-1H-indol-2-yl)carbonyl]hydrazide] (CA INDEX NAME)

RN 316156-13-5 CAPLUS

CN Propanedioic acid, 1-ethyl ester, 3-[2-[(5-bromo-3-phenyl-1H-indol-2-yl)carbonyl]hydrazide] (CA INDEX NAME)

$$\texttt{Br} = \underbrace{\overset{\texttt{Ph}}{\overset{\texttt{C}}{\overset{\texttt{NH}-\texttt{NH}}{\overset{\texttt{NH}-\texttt{NH}}{\overset{\texttt{C}}{\overset{\texttt{CH}_2}{\overset{\texttt{C}}{\overset{\texttt{NH}}}{\overset{\texttt{NH}}{\overset{\texttt{NH}}}{\overset{\texttt{NH}}{\overset{\texttt{NH}}}{\overset{\texttt{NH}}{\overset{\texttt{NH}}}{\overset{\texttt{NH}}{\overset{\texttt{NH}}}{\overset{\texttt{NH}}{\overset{\texttt{NH}}}{\overset{\texttt{NH}}}{\overset{\texttt{NH}}{\overset{\texttt{NH}}}{\overset{\texttt{NH}}}{\overset{\texttt{NH}}}{\overset{\texttt{NH}}}{\overset{\texttt{NH}}}{\overset{\texttt{NH}}}{\overset{\texttt{NH}}}{\overset{\texttt{NH}}}{\overset{\texttt{NH}}}{\overset{\texttt{NH}}}{\overset{\texttt{NH}}}{\overset{\texttt{NH}}}{\overset{\texttt{NH}}}{\overset{\texttt{NH}}}{\overset{\texttt{NH}}}{\overset{\texttt{NH}}}}{\overset{\texttt{NH}}}{\overset{\texttt{NH}}}}{\overset{\texttt{NH}}}}{\overset{\texttt{NH}}}{\overset{\texttt{NH}}}}{\overset{\texttt{NH}}}{\overset{\texttt{NH}}}}{\overset{\texttt{NH}}}}{\overset{\texttt{NH}}}}{\overset{\texttt{NH}}}{\overset{\texttt{NH}}}}{\overset{\texttt{NH}}}}{\overset{\texttt{NH}}}}{\overset{\texttt{NH}}}}{\overset{\texttt{NH}}}{\overset{\texttt{NH}}}}{\overset{\texttt{NH}}}}{\overset{\texttt{NH}}}}{\overset{\texttt{NH}}}}{\overset{\texttt{NH}}}{\overset{\texttt{NH}}}}{\overset{\texttt{NH}}}}{\overset{\texttt{NH}}}}}{\overset{\texttt{NH}}}{\overset{\texttt{NH}}}}{\overset{\texttt{NH}}}}{\overset{\texttt{NH}}}}{\overset{\texttt{NH}}}}{\overset{\texttt{NH}}}}{\overset{\texttt{NH}}}}{\overset{\texttt{NH}}}}{\overset{\texttt{NH}}}}{\overset{\texttt{NH}}}}{\overset{\texttt{NH}}}}{\overset{\texttt{NH}}}}{\overset{\texttt{NH}}}}{\overset{\texttt{NH}}}}{\overset{\texttt{NH}}}{\overset{\texttt{NH}}}}{\overset{\texttt{NH}}}}{\overset{\texttt{NH}}}}}{\overset{\texttt{NH}}}}{\overset{\texttt{NH}}}}{\overset{\texttt{NH}}}}{\overset{\texttt{NH}}}}}{\overset{\texttt{NH}}}{\overset{\texttt{NH}}}}{\overset{\texttt{NH}}}}{\overset{\texttt{NH}}}}}{\overset{\texttt{NH}}}}{\overset{\texttt{NH}}}}{\overset{\texttt{NH}}}}{\overset{\texttt{NH}}}}{\overset{\texttt{NH}}}}{\overset{\texttt{NH}}}}{\overset{\texttt{NH}}}}{\overset{\texttt{NH}}}}{\overset{\texttt{NH}}}}{\overset{\texttt{NH}}}}{\overset{\texttt{NH}}}}{\overset{\texttt{NH}}}}{\overset{\texttt{NH}}}}{\overset{\texttt{NH}}}}{\overset{\texttt{NH}}}}{\overset{\texttt{NH}}}}{\overset{\texttt{NH}}}{\overset{\texttt{NH}}}}{\overset{\texttt{NH}}}}{\overset{\texttt{NH}}}}{\overset{\texttt{NH}}}}{\overset{\texttt{NH}}}}{\overset{\texttt{NH}}}}{\overset{\texttt{NH}}}}{\overset{\texttt{NH}}}}{\overset{\texttt{NH}}}}{\overset{\texttt{NH}}}{\overset{\texttt{NH}}}}{\overset{\texttt{NH}}}}{\overset{\texttt{N$$

RN 316156-14-6 CAPLUS

CN Propanedioic acid, 1-ethyl ester, 3-[2-[(5-bromo-3-methyl-1H-indol-2-yl)carbonyl]hydrazide] (CA INDEX NAME)

$$\texttt{Br} = \bigcup_{\texttt{N} \, \texttt{H}} \bigcup_{\texttt$$

RN 316156-15-7 CAPLUS

CN Propanedioic acid, 1-ethyl ester, 3-[2-[(5-methoxy-3-methyl-1H-indol-2-yl)carbonyl]hydrazide] (CA INDEX NAME)

RN 316156-16-8 CAPLUS

CN Propanedioic acid, 1-ethyl ester, 3-[2-[(3,5-dimethyl-1H-indol-2-yl)carbonyl]hydrazide] (CA INDEX NAME)

RN 316156-17-9 CAPLUS

CN Propanedioic acid, 1-ethyl ester, 3-[2-[(5-chloro-3-methyl-1H-indol-2-yl)carbonyl]hydrazide] (CA INDEX NAME)

RN 316156-18-0 CAPLUS

CN Propanedioic acid, 1-ethyl ester, 3-[2-[(5-bromo-1H-indol-2-yl)carbonyl]hydrazide] (CA INDEX NAME)

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(synthesis and pharmacol. evaluation of disubstituted indole(substituted benzopyranonecarboxyl)carboxy hydrazides and (various substituted indolyl)methylbenzothiazoles)

RN 316156-19-1 CAPLUS

CN 1H-Indole-2-carboxylic acid, 3-phenyl-, 2-[(8-methoxy-2-oxo-2H-1-benzopyran-3-yl)carbonyl]hydrazide (CA INDEX NAME)

RN 316156-20-4 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-methyl-3-phenyl-, 2-[(2-oxo-2H-1-benzopyran-3-yl)carbonyl]hydrazide (CA INDEX NAME)

RN 316156-21-5 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-methyl-3-phenyl-, 2-[(6-methyl-2-oxo-2H-1-benzopyran-3-yl)carbonyl]hydrazide (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ &$$

RN 316156-22-6 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-methyl-3-phenyl-, 2-[(6-chloro-2-oxo-2H-1-benzopyran-3-yl)carbonyl]hydrazide (CA INDEX NAME)

$$\underset{Me}{\overset{H}{\bigoplus}} \overset{\circ}{\underset{Ph}{\bigcup}} - \underset{NH-NH-}{\overset{\circ}{\bigcup}} - \underset{O}{\bigcup} - \underset{O}{\bigcup}$$

RN 316156-23-7 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-methyl-3-phenyl-, 2-[(8-methoxy-2-oxo-2H-1-benzopyran-3-yl)carbonyl]hydrazide (CA INDEX NAME)

RN 316156-24-8 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-methoxy-3-phenyl-, 2-[(2-oxo-2H-1-benzopyran-3-yl)carbonyl]hydrazide (CA INDEX NAME)

RN 316156-25-9 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-chloro-3-phenyl-, 2-[(2-oxo-2H-1-benzopyran-3-yl)carbonyl]hydrazide (CA INDEX NAME)

RN 316156-26-0 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-chloro-3-phenyl-, 2-[(6-methyl-2-oxo-2H-1-benzopyran-3-yl)carbonyl]hydrazide (CA INDEX NAME)

RN 316156-27-1 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-chloro-3-phenyl-, 2-[(6-chloro-2-oxo-2H-1-benzopyran-3-yl)carbonyl]hydrazide (CA INDEX NAME)

RN 316156-28-2 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-chloro-3-phenyl-, 2-[(8-methoxy-2-oxo-2H-1-benzopyran-3-yl)carbonyl]hydrazide (CA INDEX NAME)

RN 316156-29-3 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-bromo-3-phenyl-, 2-[(2-oxo-2H-1-benzopyran-3-yl)carbonyl]hydrazide (CA INDEX NAME)

RN 316156-30-6 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-bromo-3-phenyl-, 2-[(6-methyl-2-oxo-2H-1-benzopyran-3-yl)carbonyl]hydrazide (CA INDEX NAME)

RN 316156-31-7 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-bromo-3-phenyl-, 2-[(6-chloro-2-oxo-2H-1-benzopyran-3-yl)carbonyl]hydrazide (CA INDEX NAME)

RN 316156-32-8 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-bromo-3-phenyl-, 2-[(8-methoxy-2-oxo-2H-1-benzopyran-3-yl)carbonyl]hydrazide (CA INDEX NAME)

RN 316156-33-9 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-chloro-3-methyl-, 2-[(2-oxo-2H-1-benzopyran-3-yl)carbonyl]hydrazide (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\$$

RN 316156-34-0 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-chloro-3-methyl-, 2-[(6-methyl-2-oxo-2H-1-benzopyran-3-yl)carbonyl]hydrazide (CA INDEX NAME)

$$\begin{array}{c|c} & & \\ & &$$

RN 316156-35-1 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-chloro-3-methyl-, 2-[(6-chloro-2-oxo-2H-1-benzopyran-3-yl)carbonyl]hydrazide (CA INDEX NAME)

RN 316156-36-2 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-chloro-3-methyl-, 2-[(8-methoxy-2-oxo-2H-1-benzopyran-3-yl)carbonyl]hydrazide (CA INDEX NAME)

RN 316156-37-3 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-bromo-3-methyl-, 2-[(2-oxo-2H-1-benzopyran-3-yl)carbonyl]hydrazide (CA INDEX NAME)

RN 316156-38-4 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-bromo-3-methyl-, 2-[(6-methyl-2-oxo-2H-1-benzopyran-3-yl)carbonyl]hydrazide (CA INDEX NAME)

RN 316156-39-5 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-bromo-3-methyl-, 2-[(6-chloro-2-oxo-2H-1-benzopyran-3-yl)carbonyl]hydrazide (CA INDEX NAME)

RN 316156-40-8 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-bromo-3-methyl-, 2-[(8-methoxy-2-oxo-2H-1-benzopyran-3-yl)carbonyl]hydrazide (CA INDEX NAME)

RN 316156-41-9 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-bromo-, 2-[(2-oxo-2H-1-benzopyran-3-yl)carbonyl]hydrazide (CA INDEX NAME)

RN 316156-42-0 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-bromo-, 2-[(6-methyl-2-oxo-2H-1-benzopyran-3-yl)carbonyl]hydrazide (CA INDEX NAME)

RN 316156-43-1 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-bromo-, 2-[(6-chloro-2-oxo-2H-1-benzopyran-3-yl)carbonyl]hydrazide (CA INDEX NAME)

RN 316156-44-2 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-bromo-, 2-[(8-methoxy-2-oxo-2H-1-benzopyran-3-yl)carbonyl]hydrazide (CA INDEX NAME)

RN 316156-45-3 CAPLUS

CN 1H-Indole-2-carboxylic acid, 3,5-dimethyl-, 2-[(8-methoxy-2-oxo-2H-1-benzopyran-3-yl)carbonyl]hydrazide (CA INDEX NAME)

RN 316156-46-4 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-methoxy-3-methyl-, 2-[(8-methoxy-2-oxo-2H-1-benzopyran-3-yl)carbonyl]hydrazide (CA INDEX NAME)

OSC.G 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)
RE.CNT 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

## 10/591,895

- L5 ANSWER 13 OF 59 CAPLUS COPYRIGHT 2010 ACS on STN
- AN 2000:420788 CAPLUS Full-text
- DN 133:58622
- TI Preparation of acylaminocarboxylic hydrazides as Neuropeptide Y receptor ligands
- IN Monge Vega, Antonio; Aldana Moraza, Ignacio; Caignard, Daniel-Henri; Duhault, Jacques; Boutin, Jean; Della Zuana, Odile
- PA Adir et Compagnie, Fr.
- SO Eur. Pat. Appl., 38 pp. CODEN: EPXXDW
- DT Patent
- LA French

FAN.CNT 1

	PAT	TENT	NO.			KIN	D	DATE											
ΡI		1010691 1010691						EP 1999-403191											
			AT,	BE,	CH,	DE,	DK			GB,	GF	R, IT,	LI,	LU,	NL,	SE,	MC, PI		
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	ES	2161	.594			В1		2003	0401										
	CA	2292246				A1		2000	0617		CA	1999-	2292	246		19	9991213	· <	
	JP	2000178240				Α		20000627			JP 1999-352665					19991213 <			
	-	3445	-			В2		2003											
	MX	9911	645			Α		2000	0731		MX	1999-	-1164	5		19	9991214	· <	
	ИО	9906	250			Α		2000	0619		ИО	1999-	6250			19	9991216	<	
	ИО	3143	199			В1		2003	0317										
	BR	9907	429			Α		2000	0919		BR	1999-	7429			19	9991216	<	
	US	6172	108			B1		2001	0109		US	1999-	4641	82		19	9991216	<	
	ΑU	9965	289			Α		2000	0622		ΑU	1999-	6528	9		19	9991217	/ <	
	AU	7635	55			В2		2003	0724										
	ZA	9907	733			A		2000	0629		ZA	1999-	7733			19	9991217	/ <	
	CN	1260	345			A		2000	0719		CN	1999-	1261	82		19	9991217	7 <	
	HU	9904630				A2		20000828			HU 1999-4630 1999121				9991217	/ <			
	HU	9904630				A3 2003			0630										
	KR	2000	0570	67		A		2000	0915		KR	1999-	5852	9		19	9991217	/ <	
	NZ	5018	149			Α		20000929			NZ 1999-501849			19991217		<			
	US	US 6271247				В1		2001	20010807			US 2000-602538 20000				0000623	<		
PRAI	ES	1998	-262	6		Α		1998	1217										
	US	1999	-464	182		A3		1999	1216										

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OS MARPAT 133:58622

AB RZCONHNHZ1R1 [I; R = COZ2R2, COZ2R2, O2CZ2R2, SO0-2Z2R2; R1,R2 = (un)substituted (hetero)aryl(alkyl); Z = iminoalk(en)ylene, iminoalkylidene, iminoarylenealkylene, N-attached azacycloalkylene, etc.; Z1 = bond, CO, SO0-2; Z2 = bond, alk(en)ylene, alkynylene] were prepared Thus, PhCH2CH(NH2)CO2H was N-acylated by C1CO2CH2Ph and the product amidated by H2NNHPh to give PhCH2O2CNHCH(CH2Ph)CONHNHPh. Data for biol. activity of 1 prepared I were given.

IT 274934-35-9P 274934-43-9P 274934-52-0P 274934-73-5P 274934-84-8P 274934-91-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of acylaminocarboxylic hydrazides as Neuropeptide Y receptor ligands)

- RN 274934-35-9 CAPLUS
- CN 1H-Indole-2-carboxylic acid, 2-[1-oxo-2-[(2-phenoxyacetyl)amino]-3-phenylpropyl]hydrazide (CA INDEX NAME)

Absolute stereochemistry.

RN 274934-43-9 CAPLUS

CN 1H-Indole-2-carboxylic acid, 2-[2-[(2-naphthalenylcarbonyl)amino]-1-oxo-3-phenylpropyl]hydrazide (CA INDEX NAME)

Absolute stereochemistry.

RN 274934-52-0 CAPLUS

CN 1H-Indole-2-carboxylic acid, 2-[3-(4-methoxyphenyl)-1-oxo-2-[[(phenylmethoxy)carbonyl]amino]propyl]hydrazide (CA INDEX NAME)

Absolute stereochemistry.

RN 274934-73-5 CAPLUS

CN 1H-Indole-2-carboxylic acid, 2-[[4-[[(2-naphthalenylsulfonyl)amino]methyl]cyclohexyl]carbonyl]hydrazide (CA INDEX NAME)

RN 274934-84-8 CAPLUS

CN 1H-Indole-2-carboxylic acid, 2-[[4-[[[(2-nitrophenyl)sulfonyl]amino]methyl]cyclohexyl]carbonyl]hydrazide (CA INDEX NAME)

RN 274934-91-7 CAPLUS

CN 1H-Indole-2-carboxylic acid, octahydro-1-(phenylsulfonyl)-, 2-(1H-indol-2-ylcarbonyl)hydrazide (CA INDEX NAME)

OSC.G 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 14 OF 59 CAPLUS COPYRIGHT 2010 ACS on STN

AN 2000:114190 CAPLUS Full-text

DN 132:251114

TI Synthesis and biological evaluation of indole containing derivatives of thiosemicarbazide and their cyclic 1,2,4-triazole and 1,3,4-thiadiazole analogs

AU Varvaresou, Athanasia; Tsantili-Kakoulidou, Anna; Siatra-Papastaikoudi, Theodora; Tiligada, Ekaterini

CS Division of Pharmaceutical Chemistry, Department of Pharmacy, University of Athens, Athens, Greece

SO Arzneimittel-Forschung (2000), 50(1), 48-54 CODEN: ARZNAD; ISSN: 0004-4172

PB Editio Cantor Verlag

DT Journal

LA English

New indolic derivs. of thiosemicarbazides and some cyclic 1,2,4-triazol-5-thione analogs were synthesized. The newly synthesized compds. as well as some indole-containing thiosemicarbazides, 1,2,4-triazoles and 1,3,4-thiadiazoles, which were reported previously, were investigated for antimicrobial, antifungal and antiphage activity. Certain thiosemicarbazide derivs. and the corresponding cyclic 1,2,4-triazole analogs showed selective antimicrobial or antifungal activity, while they lack any antiphage activity. Antiphage activity was detected for one compound, bearing the 1,3,4-thiadiazole nucleus. The selectively active compds. cover a wide range of lipophilicity. Structure-activity relations show a remarkably similarity in the antimicrobial and antifungal behavior of the thiosemicarbazides and their cyclic triazo-5-thienyl analogs, while  $\alpha$ -naphthyl substitution in the non

## 10/591,895

indolic portion of the mol. is favorable. C5 substitution on the indolic nucleus may also be critical for selective activity.

IT 136016-01-1P 152586-37-3P 156550-11-7P 262448-73-7P 262448-74-8P 262448-75-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and biol. evaluation of indole-containing derivs. of thiosemicarbazide and their triazole and thiadiazole analogs)

RN 126016-01-1 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-chloro-,

2-[(phenylamino)thioxomethyl]hydrazide (CA INDEX NAME)

RN 152586-37-3 CAPLUS

CN 1H-Indole-2-carboxylic acid, 2-[(phenylamino)thioxomethyl]hydrazide (CA INDEX NAME)

RN 156550-11-7 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-chloro-, 2-[[(4-methylphenyl)amino]thioxomethyl]hydrazide (CA INDEX NAME)

RN 262448-73-7 CAPLUS

CN 1H-Indole-2-carboxylic acid, 2-[[(4-methylphenyl)amino]thioxomethyl]hydrazide (CA INDEX NAME)

CN 1H-Indole-2-carboxylic acid, 2-[(1-naphthalenylamino)thioxomethyl]hydrazide (CA INDEX NAME)

RN 262448-75-9 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-chloro-, 2-[(1-naphthalenylamino)thioxomethyl]hydrazide (CA INDEX NAME)

OSC.G 23 THERE ARE 23 CAPLUS RECORDS THAT CITE THIS RECORD (23 CITINGS)

RE.CNT 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 15 OF 59 CAPLUS COPYRIGHT 2010 ACS on STN

AN 1998:188843 CAPLUS Full-text

DN 128:243909

OREF 128:48293a,48296a

TI Synthesis of the derivatives of 3-(p-nitrophenyl)-5-acetylindole-2-carbonic acid

AU Narimanidze, N.; Samsonia, Sh.; Chikvaidze, I.

CS Georgia

SO Bulletin of the Georgian Academy of Sciences (1997), 156(1), 63-65

CODEN: BGASFC

PB Georgian Academy of Sciences

DT Journal

LA English

AB By the reaction of 2-ethoxycarbonyl-3-(p-nitrophenyl)-5-acetylindole with the thionyl chloride the chloroanhydride of the corresponding acid has been obtained. By the reaction of

3-(p-nitrophenyl)-5-acetylindole-2-carbonic acid chloroanhydride with the amines, hydrazines the amides and hydrazides have been obtained.

IT 204858-02-6P

RN 204858-02-6 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-acetyl-3-(4-nitrophenyl)-, 2-(4-pyridinylcarbonyl)hydrazide (CA INDEX NAME)

# RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 16 OF 59 CAPLUS COPYRIGHT 2010 ACS on STN

AN 1997:751966 CAPLUS Full-text

DN 128:61481

OREF 128:12043a,12046a

TI Synthesis of new indolyl-1,3,4-oxadiazole and oxadiazine derivatives. Potential monoamine oxidase inhibitor activity

AU Perez, Silvia; Lasheras, Berta; Oset, Carmen; Monge, Antonio

CS Department of Organic and Pharmaceutical Chemistry, University of Navarra, Pamplona, 31080, Spain

SO Journal of Heterocyclic Chemistry (1997), 34(5), 1527-1533 CODEN: JHTCAD; ISSN: 0022-152X

PB HeteroCorporation

DT Journal

LA English

GΙ

- AB New indolyl-1,3,4-oxadiazole and oxadiazine derivs. were prepared as reversible monoamine oxidase inhibitors. The compound 5-[(1H-indol-3-yl)methyl]-1,3,4-oxadiazol-2(3H)one (I) was shown to be a good monoamine oxidase B inhibitor.
- IT 200062-16-4P 200062-17-5P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of (indolyl)oxadiazole and (indolyl)oxadiazine derivs.)

RN 200062-16-4 CAPLUS

CN 1H-Indole-2-carboxylic acid, 2-(2-bromo-1-oxopropyl)hydrazide (CA INDEX NAME)

CN 1H-Indole-2-carboxylic acid, 1-methyl-, 2-(2-bromo-1-oxopropyl)hydrazide (CA INDEX NAME)

IT 37574-76-8P 200062-09-5P 200062-10-8P 200062-11-9P 200062-12-0P 200062-18-6P

200062-19-7P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of (indoly1) oxadiazole and (indoly1) oxadiazine derivs.)

RN 37574-76-8 CAPLUS

CN 1H-Indole-2-carboxylic acid, 2-(2-chloroacetyl)hydrazide (CA INDEX NAME)

RN 200062-09-5 CAPLUS

CN 1H-Indole-2-carboxylic acid, 1-methyl-, 2-(2-chloroacetyl)hydrazide (CA INDEX NAME)

RN 200062-10-8 CAPLUS

CN 1H-Indole-2-carboxylic acid, 2-(2-bromoacetyl)hydrazide (CA INDEX NAME)

RN 200062-11-9 CAPLUS

CN 1H-Indole-2-carboxylic acid, 1-methyl-, 2-(2-bromoacetyl)hydrazide (CA INDEX NAME)

RN 200062-12-0 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-methoxy-1-methyl-, 2-(2-bromoacetyl)hydrazide (CA INDEX NAME)

RN 200062-18-6 CAPLUS

CN 1H-Indole-2-carboxylic acid, 2-(2-hydroxy-1-oxopropyl)hydrazide (CA INDEX NAME)

RN 200062-19-7 CAPLUS

CN 1H-Indole-2-carboxylic acid, 1-methyl-, 2-(2-hydroxy-1-oxopropyl)hydrazide (CA INDEX NAME)

OSC.G 13 THERE ARE 13 CAPLUS RECORDS THAT CITE THIS RECORD (13 CITINGS)

RE.CNT 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 17 OF 59 CAPLUS COPYRIGHT 2010 ACS on STN

AN 1997:216188 CAPLUS Full-text

DN 126:263678

OREF 126:51069a,51072a

TI Pentafluorophenyl ester activation for the preparation of N,N'-diaroylhydrazines

AU Zhao, He; Burke, Terrence R., Jr.

CS Lab. Medicinal Chem., National Inst. Health, Bethesda, MD, 20892, USA

SO Tetrahedron (1997), 53(12), 4219-4230

## 10/591,895

CODEN: TETRAB; ISSN: 0040-4020

PB Elsevier DT Journal LA English

OS CASREACT 126:263678

GΙ

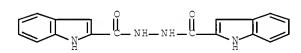
AB Procedures are reported for the preparation of N,N'-diaroylhydrazines, e.g., I and II, using pentafluorophenyl (Pfp) ester activation of aryl carboxylic acids. Mild conditions which avoid intermediate protection of ring substituents, allows the synthesis of both sym. and unsym. diaroylhydrazines in high yields. The recent discovery of potent HIV-1 integrase inhibition by N,N'-bis-salicylhydrazine highlights the potential importance of this class of compds. The stability of pre-activated Pfp ester intermediates and the facility with which N,N'-diaroylhydrazines can be synthesized using this procedure (stirring at room temperature in DMF) may make the method particularly attractive for synthesis of hydrazide libraries.

IT 188837-57-2P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of diaroylhydrazines via pentafluorophenyl esters)

RN 188837-57-2 CAPLUS

CN 1H-Indole-2-carboxylic acid, 2-(1H-indol-2-ylcarbonyl)hydrazide (CA INDEX NAME)



OSC.G 21 THERE ARE 21 CAPLUS RECORDS THAT CITE THIS RECORD (21 CITINGS)

RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 18 OF 59 CAPLUS COPYRIGHT 2010 ACS on STN

AN 1996:512458 CAPLUS Full-text

DN 125:195347

OREF 125:36583a,36586a

TI Synthesis and antimicrobial activity of triazolylindoles and indolylthiazolidinones

AU Sonar, V.N.; Neelavati, C.V.; Pranesh, G.

CS Department of Pharmaceutical Chemistry, V.L. College of Pharmacy, Raichur, 584 101, India

SO Indian Journal of Heterocyclic Chemistry (1996), 5(4), 269-272 CODEN: IJCHEI; ISSN: 0971-1627

PB Lucknow University, Dep. of Chemistry

DT Journal

LA English

AB Several new 2-[5-mercapto-4-(p-bromophenyl)-1,2,4-triazol-3-yl]indoles and 3-(substituted-indole-2-carboxamido)-2-(p-bromophenylimino)-4- thiazolidinones were synthesized starting from thioureas, which were allowed to react with 4% sodium hydroxide and chloroacetic acid in presence of sodium acetate resp. The required thioureas were prepared by reacting indole-2-carbohydrazides with p-bromophenyl isothiocyanate. All the synthesized compds. were screened for their antimicrobial activity.

IT 156550-19-5P 156550-21-9P 181026-40-4P 181026-41-5P 181026-42-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and antimicrobial activity of triazolylindoles and indolylthiazolidinones)

RN 156550-19-5 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-methoxy-, 2-[[(4-bromophenyl)amino]thioxomethyl]hydrazide (CA INDEX NAME)

RN 156550-21-9 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-chloro-, 2-[[(4-bromophenyl)amino]thioxomethyl]hydrazide (CA INDEX NAME)

RN 181026-40-4 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-chloro-3-methyl-, 2-[[(4-bromophenyl)amino]thioxomethyl]hydrazide (CA INDEX NAME)

RN 181026-41-5 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-chloro-3-phenyl-, 2-[[(4-bromophenyl)amino]thioxomethyl]hydrazide (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & &$$

RN 181026-42-6 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-methoxy-3-methyl-, 2-[[(4-bromophenyl)amino]thioxomethyl]hydrazide (CA INDEX NAME)

$$\underset{\text{MeO}}{\overset{\text{H}}{\longrightarrow}} \overset{\circ}{\underset{\text{Me}}{\bigcirc}} = \underset{\text{NH}-\text{NH}-\text{C}-\text{NH}-\text{NH}-\text{C}}{\overset{\text{S}}{\longrightarrow}} = \underset{\text{NH}-\text{NH}-\text{NH}-\text{C}-\text{NH}-\text{NH}-\text{C}}{\overset{\text{S}}{\longrightarrow}} = \underset{\text{NH}-\text{NH}-\text{NH}-\text{C}-\text{NH}-\text{NH}-\text{C}}{\overset{\text{S}}{\longrightarrow}} = \underset{\text{NH}-\text{NH}-\text{NH}-\text{C}-\text{NH}-\text{NH}-\text{C}}{\overset{\text{S}}{\longrightarrow}} = \underset{\text{NH}-\text{NH}-\text{NH}-\text{C}-\text{NH}-\text{NH}-\text{NH}-\text{C}}{\overset{\text{S}}{\longrightarrow}} = \underset{\text{NH}-\text{NH}$$

## OSC.G 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

L5 ANSWER 19 OF 59 CAPLUS COPYRIGHT 2010 ACS on STN

AN 1995:573685 CAPLUS Full-text

DN 123:33649

OREF 123:6239a,6242a

TI Preparation of 6-position modified decapeptide LHRH antagonists

IN Greer, Jonathan; Haviv, Fortuna; Fitzpatrick, Timothy D.; Swenson, Rolf
E.; Nichols, Charles J.; Mort, Nicholas A.

PA Abbott Laboratories, USA

SO PCT Int. Appl., 86 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

11111.0111 1																	
	PATENT NO.						DATE		APPLICATION NO.					DATE			
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	CA	2136078	A1		1994	0623	CA	1993-2	21360	78		19	9931:	130	<		
	EP	673254	A1	A1 19950927			EP	EP 1994-903367					19931130 <-				
		R: AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB, GF	R, IE,	ΙΤ,	LI,	LU,	NL,	PT,	SE	
	JΡ	08504209	T		1996	0507	JP	1993-	51422	29		19	9931:	130	<		
	US	5698522			A		1997	1216	US	1995-	44680	9		19	9950	601	<
PRAI	US	1992-987921			A		1992	1204									
	WO	1993-US1	1628		$\overline{W}$		1993	1130									

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OS MARPAT 123:33649

GΙ

$$Q1 = \begin{array}{c} H & O \\ XNHYZR^2 \end{array}$$

AΒ A-D-E-G-J-L-M-Q-R-T [A = N-acetyl-D-3-(naphth-2-yl)alanyl, N-acetyl-Dphenylalanyl, N-acetylsarcosyl, etc.; D = D-Phe, D-3-(4-chlorophenyl)alanyl, D-3-(4-fluorophenyl) alanyl, etc.; E = D-3-(pyrid-3-yl) alanyl, D-3-(thiazol-2-1)yl)alanyl, etc.; G = Ser, Ser(OBz1), etc.; J = N(R1) - L - [3 - (4 - (3 - amino - 1, 2, 4 - 4)])triazol-5- yl)aminophenyl)]alanyl, N(R1)-L-tyrosyl, N(R1)-L-homoarginyl, etc.; R1 = H, alkyl; L = Q1; X = (CH2)n, Q2; n = 1-6; Y = D- or L-A1a, 4aminobutyryl, 5-aminopentanoyl, azaglycyl, D-leucyl, D-valyl, etc.; Z = null, D-alanyl, azaglycyl, Gly, D-cyclohexylalanyl, D-His, D-Phe, etc.; R2 = 3amino-1,2,4-triazol-5-yl, Ac, biotinyl, 2-furoyl, isonicotinoyl, (substituted) PhCO, etc.; M = Leu, Val, L-cyclohexylalanyl, etc. Q = L-citrullyl, Lhomocitrully1, Arg, etc.; R = Pro, N(R1)-Ala; T = NHEt, D-alanylamide, Dserylamide, sarcosamide, etc.], were prepared Thus, Ac-D-2-Nal-D-4-Cl-Phe-D-3-Pal-Ser-NMeTyr-D-Lys(NE- glycylnicotinoyl)-Leu-Lys(NE-isopropyl)-Pro-D-Ala-NH2 [2-Nal = 3-(naphth-2-yl)alanyl, 4-Cl-Phe = 3-(4-chlorophenyl)alanyl, 3-Pal= 3-(pyrid-3-yl)alanyl] , prepared on methylbenzhydrylamine resin, antagonized LHRH with pA2 = 11.45.

IT 163334-02-9P 163334-04-1P 163334-14-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 6-position modified decapeptide LHRH antagonists)

RN 163334-02-9 CAPLUS

CN D-Alaninamide, N-acetyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-L-seryl-N-methyl-L-tyrosyl-N6-[[2-(1H-indol-2-ylcarbonyl)hydrazino]carbonyl]-D-lysyl-L-leucyl-N6-(1-methylethyl)-L-lysyl-L-prolyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

RN 163334-04-1 CAPLUS

CN D-Alaninamide, N-acetyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-L-seryl-N-methyl-L-tyrosyl-N6-[[2-[(5-methoxy-1H-indol-2-yl)carbonyl]hydrazino]carbonyl]-D-lysyl-L-leucyl-N6-(1-methylethyl)-L-lysyl-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

RN 163334-14-3 CAPLUS

CN D-Alaninamide, N-acetyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-L-seryl-N-methyl-L-tyrosyl-N6-[[2-[[2-(1H-indol-2-ylcarbonyl)hydrazino]carbonyl]hydrazino]carbonyl]-D-lysyl-L-leucyl-N6-(1-methylethyl)-L-lysyl-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

OSC.G 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)
RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 20 OF 59 CAPLUS COPYRIGHT 2010 ACS on STN

AN 1994:482943 CAPLUS Full-text

DN 121:82943

OREF 121:14897a,14900a

TI Synthesis and biological activities of indolyl thiosemicarbazides and semicarbazides

AU Hiremath, S. P.; Biradar, J. S.; Nazeer, S.; Padashetty, N. S.

CS Dep. Chem., Gulbarga Univ., Gulbarga, India

SO Acta Ciencia Indica, Chemistry (1992), 18(4), 397-400 CODEN: ACICDV; ISSN: 0253-7338

DT Journal

LA English

GΙ

AB Substituted ethylindole-2-carboxylates were prepared by Fischer indolization. These esters were converted to hydrazides on reaction with hydrazine hydrate. Hydrazides were made to react with isothiocyanates and isocyanates to obtain thiosemicarbazides and semicarbazides (I; X = S, 0; R = 5-Br, 5-MeO, etc.; R1 = H, Me, C1, etc.). Very good microbicidal activity was observed with the compds. prepared

ΙT 126016-01-1P 126016~03~3P 126016-06-6P 156550-02-6P 156550-03-7P 156550-04-8P 156550-05-9P 156550-06-0P 156550-07-1P 156550-08-2P 156550-09-3P 156550-10-6P 156550-11-7P 156550-12-8P 156550-13-9P 156550-14-0P 156550-15-IP 156550~16~2P 156550-17-3P 156550-18-4P 156550-19-5P 156550-20-8P 156550-21-9P 156550-22-0P 156550-23-1P 156550-24-2P 156550-25-3P 156550-26-4P 156550-27-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological

## 10/591,895

study); PREP (Preparation)

(preparation and bactericidal and fungicidal activities of)

RN 126016-01-1 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-chloro-,

2-[(phenylamino)thioxomethyl]hydrazide (CA INDEX NAME)

RN 126016-03-3 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-methoxy-,

2-[(phenylamino)thioxomethyl]hydrazide (CA INDEX NAME)

RN 126016-06-6 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-bromo-,

2-[(phenylamino)thioxomethyl]hydrazide (CA INDEX NAME)

RN 156550-02-6 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-methyl-,

2-[(phenylamino)thioxomethyl]hydrazide (CA INDEX NAME)

RN 156550-03-7 CAPLUS

CN 1H-Indole-2-carboxylic acid, 6,7-bis(phenylmethyl)-, 2-[(phenylamino)thioxomethyl]hydrazide (CA INDEX NAME)

RN 156550-04-8 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-methoxy-, 2-[[(4-methoxyphenyl)amino]thioxomethyl]hydrazide (CA INDEX NAME)

RN 156550-05-9 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-bromo-, 2-[[(4-methoxyphenyl)amino]thioxomethyl]hydrazide (CA INDEX NAME)

RN 156550-06-0 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-chloro-, 2-[[(4-methoxyphenyl)amino]thioxomethyl]hydrazide (CA INDEX NAME)

RN 156550-07-1 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-methyl-, 2-[[(4-methoxyphenyl)amino]thioxomethyl]hydrazide (CA INDEX NAME)

RN 156550-08-2 CAPLUS

CN 1H-Indole-2-carboxylic acid, 6,7-bis(phenylmethyl)-, 2-[[(4-methoxyphenyl)amino]thioxomethyl]hydrazide (CA INDEX NAME)

RN 156550-09-3 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-methoxy-,

2-[[(4-methylphenyl)amino]thioxomethyl]hydrazide (CA INDEX NAME)

RN 156550-10-6 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-bromo-,

2-[[(4-methylphenyl)amino]thioxomethyl]hydrazide (CA INDEX NAME)

RN 156550-11-7 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-chloro-,

2-[[(4-methylphenyl)amino]thioxomethyl]hydrazide (CA INDEX NAME)

RN 156550-12-8 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-methyl-,

2-[[(4-methylphenyl)amino]thioxomethyl]hydrazide (CA INDEX NAME)

RN 156550-13-9 CAPLUS

CN 1H-Indole-2-carboxylic acid, 6,7-bis(phenylmethyl)-, 2-[[(4-methylphenyl)amino]thioxomethyl]hydrazide (CA INDEX NAME)

RN 156550-14-0 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-methoxy-, 2-[[(4-chlorophenyl)amino]thioxomethyl]hydrazide (CA INDEX NAME)

RN 156550-15-1 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-bromo-, 2-[[(4-chlorophenyl)amino]thioxomethyl]hydrazide (CA INDEX NAME)

RN 156550-16-2 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-chloro-, 2-[[(4-chlorophenyl)amino]thioxomethyl]hydrazide (CA INDEX NAME)

RN 156550-17-3 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-methyl-, 2-[[(4-chlorophenyl)amino]thioxomethyl]hydrazide (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ &$$

RN 156550-18-4 CAPLUS

CN 1H-Indole-2-carboxylic acid, 6,7-bis(phenylmethyl)-, 2-[[(4-chlorophenyl)amino]thioxomethyl]hydrazide (CA INDEX NAME)

RN 156550-19-5 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-methoxy-, 2-[[(4-bromophenyl)amino]thioxomethyl]hydrazide (CA INDEX NAME)

RN 156550-20-8 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-bromo-, 2-[[(4-bromophenyl)amino]thioxomethyl]hydrazide (CA INDEX NAME)

RN 156550-21-9 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-chloro-, 2-[[(4-bromophenyl)amino]thioxomethyl]hydrazide (CA INDEX NAME)

RN 156550-22-0 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-methyl-, 2-[[(4-bromophenyl)amino]thioxomethyl]hydrazide (CA INDEX NAME)

RN 156550-23-1 CAPLUS

CN 1H-Indole-2-carboxylic acid, 6,7-bis(phenylmethyl)-, 2-[[(4-bromophenyl)amino]thioxomethyl]hydrazide (CA INDEX NAME)

RN 156550-24-2 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-methoxy-, 2-[(phenylamino)carbonyl]hydrazide (CA INDEX NAME)

RN 156550-25-3 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-bromo-, 2-[(phenylamino)carbonyl]hydrazide (CA INDEX NAME)

RN 156550-26-4 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-methyl-, 2-[(phenylamino)carbonyl]hydrazide (CA INDEX NAME)

RN 156550-27-5 CAPLUS

CN 1H-Indole-2-carboxylic acid, 6,7-bis(phenylmethyl)-, 2-[(phenylamino)carbonyl]hydrazide (CA INDEX NAME)

#### OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L5 ANSWER 21 OF 59 CAPLUS COPYRIGHT 2010 ACS on STN

AN 1994:435419 CAPLUS Full-text

DN 121:35419

OREF 121:6543a,6546a

TI Synthesis and antibacterial activity of indolylthiazolidinones

AU Sonar, V.N.; Sirajuddin, M.

CS V.L. Coll. Pharm., Raichur, 584 101, India

SO Indian Journal of Heterocyclic Chemistry (1993), 3(2), 107-10

CODEN: IJCHEI; ISSN: 0971-1627

DT Journal

LA English

GΙ

AB Substituted indole-2-carboxylates were reacted with hydrazine hydrate to give the corresponding carboxyhydrazides. These hydrazides on being condensed with Et isothiocyanate gave 1-ethyl-3-(substituted-indole-2'-carboxamido)thioureas, which on treatment with chloroacetic acid in presence of sodium acetate in acetic acid afforded corresponding the title compds. I (R1 = MeO, Cl, Br, Me; R2 = H, Br; R3 = H, Me, Ph) in good yields.

IT 155636-28-5P 155636-29-6P 155636-30-9P

155636-31-0P 155636-32-1P 155636-33-2P

155636-34-3P 155636-35-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and cyclization of, with chloroacetic acid)

RN 155636-28-5 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-methoxy-,

2-[(ethylamino)thioxomethyl]hydrazide (CA INDEX NAME)

RN 155636-29-6 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-methoxy-3-methyl-, 2-[(ethylamino)thioxomethyl]hydrazide (CA INDEX NAME)

RN 155636-30-9 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-chloro-, 2-[(ethylamino)thioxomethyl]hydrazide (CA INDEX NAME)

RN 155636-31-0 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-chloro-3-methyl-, 2-[(ethylamino)thioxomethyl]hydrazide (CA INDEX NAME)

RN 155636-32-1 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-chloro-3-phenyl-, 2-[(ethylamino)thioxomethyl]hydrazide (CA INDEX NAME)

## 10/591,895

RN 155636-33-2 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-bromo-3-phenyl-, 2-[(ethylamino)thioxomethyl]hydrazide (CA INDEX NAME)

RN 155636-34-3 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-methyl-3-phenyl-, 2-[(ethylamino)thioxomethyl]hydrazide (CA INDEX NAME)

RN 155636-35-4 CAPLUS

CN 1H-Indole-2-carboxylic acid, 7-bromo-3,5-dimethyl-, 2-[(ethylamino)thioxomethyl]hydrazide (CA INDEX NAME)

L5 ANSWER 22 OF 59 CAPLUS COPYRIGHT 2010 ACS on STN

AN 1994:164124 CAPLUS Full-text

DN 120:164124

OREF 120:28955a,28958a

TI Synthesis of biheterocycles containing indole nucleus

AU Hiremath, S. P.; Bajji, A. C.; Biradar, J. S.

CS Dep. Chem., Gulbarga Univ., Gulbarga, 585 106, India

SO Proceedings of the National Academy of Sciences, India, Section A: Physical Sciences (1992), 62(2), 161-6 CODEN: PAIAA3; ISSN: 0369-8203

DT Journal

LA English

GΙ

AB (Indoly1)triazolethioles I (R = alky1, alkoxy; R1 = alky1, pheny1; R2 = ary1) and (indoly1)pyrimidinetriones II (R = halo, alky1, alkoxy; R2 = hydrogen, alky1; R2 = ary1) were prepared and tested for antimicrobial activity.

IT 117844-56-1P 121649-91-0P 121674-03-1P 148372-27-4P 148372-28-5P 148372-29-6P 148372-30-9P 152586-36-2P 152586-37-3P

152586-38-4F

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of, as antimicrobial agent)

RN 117844-56-1 CAPLUS

CN 1H-Indole-2-carboxylic acid, 3,4,7-trimethyl-,

2-[(phenylamino)thioxomethyl]hydrazide (CA INDEX NAME)

RN 121649-91-0 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-methyl-3-phenyl-, 2-[(phenylamino)thioxomethyl]hydrazide (CA INDEX NAME)

RN 121674-03-1 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-methoxy-3-phenyl-, 2-[(phenylamino)thioxomethyl]hydrazide (CA INDEX NAME)

RN 148372-27-4 CAPLUS

CN 1H-Indole-2-carboxylic acid, 4,7-dimethyl-3-phenyl-, 2-[(phenylamino)thioxomethyl]hydrazide (CA INDEX NAME)

RN 148372-28-5 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-methyl-3-phenyl-, 2-[[(4-chlorophenyl)amino]thioxomethyl]hydrazide (CA INDEX NAME)

RN 148372-29-6 CAPLUS

CN 1H-Indole-2-carboxylic acid, 3-methyl-, 2-[[(4-chlorophenyl)amino]thioxomethyl]hydrazide (CA INDEX NAME)

$$\underbrace{ \begin{array}{c} \overset{\text{H}}{\overset{\text{N}}}{\overset{\text{N}}{\overset{\text{N}}}{\overset{\text{N}}{\overset{\text{N}}{\overset{\text{N}}{\overset{\text{N}}}{\overset{\text{N}}{\overset{\text{N}}}{\overset{\text{N}}{\overset{\text{N}}}{\overset{\text{N}}{\overset{\text{N}}}{\overset{\text{N}}{\overset{\text{N}}}{\overset{\text{N}}{\overset{\text{N}}}{\overset{\text{N}}{\overset{\text{N}}}{\overset{\text{N}}{\overset{\text{N}}}{\overset{\text{N}}{\overset{\text{N}}}{\overset{\text{N}}{\overset{\text{N}}}{\overset{\text{N}}}{\overset{\text{N}}}{\overset{\text{N}}}{\overset{\text{N}}}{\overset{\text{N}}}{\overset{\text{N}}}{\overset{\text{N}}}{\overset{\text{N}}}{\overset{\text{N}}{\overset{\text{N}}}{\overset{\text{N}}}{\overset{\text{N}}}{\overset{\text{N}}}{\overset{\text{N}}{\overset{\text{N}}}{\overset{\text{N}}{\overset{N}}{\overset{\text{N}}}{\overset{\text{N}}{\overset{N}}}{\overset{\text{N}}}{\overset{\text{N}}}{\overset{\text{N}}}{\overset{N}}{\overset{N}}}{\overset{N}}}{\overset{N}}{\overset{N}}{\overset{N}}{\overset{N}}}{\overset{N}}}{\overset{N}}{\overset{N}}{\overset{N}}{\overset{N}}}{\overset{N}}}{\overset{N}}{\overset{N}}{\overset{N}}{\overset{N}}}{\overset{N}}}{\overset{N}}{\overset{N}}{\overset{N}}{\overset{N}}}{\overset{N}}}{\overset{N}}{\overset{N}}{\overset{N}}{\overset{N}}}{\overset{N}}}{\overset{N}}{\overset{N}}{\overset{N}}{\overset{N}}}{\overset{N}}}{\overset{N}}{\overset{N}}{\overset{N}}{\overset{N}}}{\overset{N}}}{\overset{N}}{\overset{N}}{\overset{N}}{\overset{N}}}{\overset{N}}}{\overset{N}}{\overset{N}}{\overset{N}}{\overset{N}}}{\overset{N}}}{\overset{N}}{\overset{N}}{\overset{N}}}{\overset{N}}{\overset{N}}}{\overset{N}}{\overset{N}}}{\overset{N}}{\overset{N}}}{\overset{N}}}{\overset{N}}{\overset{N}}}{\overset{N}}{\overset{N}}{\overset{N}}}{\overset{N}}}{\overset{N}}{\overset{N}}}{\overset{N}}{\overset{N}}}{\overset{N}}{\overset{N}}{\overset{N}}{\overset{N}}{\overset{N}}}{\overset{N}}}{\overset{N}}{\overset{N}}}{\overset{N}}{\overset{N}}}{\overset{N}}{\overset{N}}{\overset{N}}{\overset{N}}{\overset{N}}}{\overset{N}}{\overset{N}}{\overset{N}}{\overset{N}}}{\overset{N}}{\overset{N}}{\overset{N}}{\overset{N}}{\overset{N}}}{\overset{N}}{\overset{N}}{\overset{N}}{\overset{N}}{\overset{N}}}{\overset{N}}{\overset{N}}{\overset{N}}{\overset{N}}{\overset{N}}{\overset{N}}}{\overset{N}}{\overset{N}}{\overset{N}}{\overset{N}}{\overset{N}}{\overset{N}}}{\overset{N}}{\overset{N}}{\overset{N}}{\overset$$

RN 148372-30-9 CAPLUS

CN 1H-Indole-2-carboxylic acid, 3-methyl-, 2-[(phenylamino)thioxomethyl]hydrazide (CA INDEX NAME)

RN 152586-36-2 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-ethoxy-3-phenyl-, 2-[(phenylamino)thioxomethyl]hydrazide (CA INDEX NAME)

RN 152586-37-3 CAPLUS

CN 1H-Indole-2-carboxylic acid, 2-[(phenylamino)thioxomethyl]hydrazide (CA INDEX NAME)

RN 152586-38-4 CAPLUS

CN 1H-Indole-2-carboxylic acid, 2-[[(4-chlorophenyl)amino]thioxomethyl]hydrazide (CA INDEX NAME)

IT 152586-53-3P 152586-55-5P 152586-56-6P 152586-57-7P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of, as intermediate for (indolyl)pyrimidinetrione (antimicrobial agent))

RN 152586-53-3 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-bromo-3-methyl-, 2-[(phenylamino)carbonyl]hydrazide (CA INDEX NAME)

RN 152586-55-5 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-methyl-3-phenyl-, 2-[(phenylamino)carbonyl]hydrazide (CA INDEX NAME)

RN 152586-56-6 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-methoxy-3-methyl-, 2-[(phenylamino)carbonyl]hydrazide (CA INDEX NAME)

RN 152586-57-7 CAPLUS

CN 1H-Indole-2-carboxylic acid, 4,7-dimethyl-3-phenyl-, 2-[(phenylamino)carbonyl]hydrazide (CA INDEX NAME)

$$\begin{array}{c}
\stackrel{\text{Me}}{\longrightarrow} \stackrel{\text{H}}{\longrightarrow} \stackrel{\circ}{\parallel} \stackrel{\circ}{\longleftarrow} \text{NH-NH-} \stackrel{\circ}{\longleftarrow} \text{NHPh} \\
\stackrel{\text{D}}{\longrightarrow} \stackrel{$$

#### OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L5 ANSWER 23 OF 59 CAPLUS COPYRIGHT 2010 ACS on STN

AN 1993:580707 CAPLUS Full-text

DN 119:180707

OREF 119:32303a,32306a

TI Synthesis and platelet aggregation inhibiting activity of new 1,3,4-oxadiazoles prepared by cyclodesulfurization of thiosemicarbazides with dicyclohexylcarbodiimide

AU Monge, A.; Aldana, I.; Arraras, J. A.; Fernandez-Alvarez, E.

CS Dep. Quim. Org. Farm., Univ. Navarra, Pamplona, 31080, Spain

SO Anales de Quimica (1992), 88(5-6), 607-9 CODEN: ANQUEX; ISSN: 1130-2283

DT Journal

LA Spanish

GΙ

- AB The thiosemicarbazides I (R = H, Cl) were prepared from the indolecarbohydrazide and 4-RC6H4NCS and were cyclized to the oxadiazoles II. At 5X10-4M I and II caused 9.7-34.5% inhibition of ADP-induced blood platelet aggregation.
- IT 150363-95-4 150363-96-5

RL: RCT (Reactant); RACT (Reactant or reagent) (preparation as blood platelet aggregation inhibitor)

- RN 150363-95-4 CAPLUS
- CN 1H-Indole-2-carboxylic acid, 3-amino-, 2-[(phenylamino)thioxomethyl]hydrazide (CA INDEX NAME)

- RN 150363-96-5 CAPLUS
- CN 1H-Indole-2-carboxylic acid, 3-amino-, 2-[[(4-chlorophenyl)amino]thioxomethyl]hydrazide (CA INDEX NAME)

- L5 ANSWER 24 OF 59 CAPLUS COPYRIGHT 2010 ACS on STN
- AN 1993:441207 CAPLUS Full-text
- DN 119:41207
- OREF 119:7311a,7314a
- TI Possible antifertility agents belonging to substituted indoles
- AU Hiremath, Shivayogi P.; Bajji, Ashok C.; Rao, S. Hanumanth
- CS Dep. Chem., Gulgarga Univ., Gulbarga, 585106, India
- SO Biological & Pharmaceutical Bulletin (1993), 16(1), 36-8 CODEN: BPBLEO; ISSN: 0918-6158
- DT Journal
- LA English

GΙ

AB Of the 21 compds. evaluated for antiimplantation and abortifacient activities compds. of general structure I, (where R = Ph, R1 = R3 = Me, R2 = H, and R4 = CONHNHCSNHPh, where R = Ph, R1 = R3 = H, R1 = Me and R4 = CONHNHCSNHC6H4-p-C1, where R = Me, R1 = R2 = R3 = H, and R4 = CONHNHCSNHPh, and where R = NHCSNHCOPh, R1 = R3 = H, 2 = Me, and R4 = Ph), compds. of general structure II (where R = Me and R1 = Ph and where R = H and R1 = Ph) and compds. of general structure III (where R = Me or C1) exhibited 30-40% antiimplantation activity in rats when given orally on days 1-5 postcoitum. The remaining 13 compds. had no antiimplantation activity and none of the 21 compds. induced abortion.

IT 148372-27-4 148372-28-5 148372-29-6 148372-30-9

RL: BIOL (Biological study)

(abortifacient and antiimplantation activities of)

RN 148372-27-4 CAPLUS

CN 1H-Indole-2-carboxylic acid, 4,7-dimethyl-3-phenyl-, 2-[(phenylamino)thioxomethyl]hydrazide (CA INDEX NAME)

RN 148372-28-5 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-methyl-3-phenyl-, 2-[[(4-chlorophenyl)amino]thioxomethyl]hydrazide (CA INDEX NAME)

RN 148372-29-6 CAPLUS

CN 1H-Indole-2-carboxylic acid, 3-methyl-, 2-[[(4-chlorophenyl)amino]thioxomethyl]hydrazide (CA INDEX NAME)

RN 148372-30-9 CAPLUS

CN 1H-Indole-2-carboxylic acid, 3-methyl-, 2-[(phenylamino)thioxomethyl]hydrazide (CA INDEX NAME)

#### OSC.G 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

L5 ANSWER 25 OF 59 CAPLUS COPYRIGHT 2010 ACS on STN

AN 1992:448431 CAPLUS Full-text

DN 117:48431

OREF 117:8639a,8642a

TI Synthesis of substituted 2,5bis(oxadiazolyl/thiazolidino/pyrazolyl/pyrimidinediono)indoles and oxadiazolyl/thiadiazolyl/triazolyl/thiazolidinone analogs of benzothiophene and their antibacterial activity

AU Hiremath, S. P.; Shivaramayya, K.; Purohit, M. G.

CS Dep. Chem., Gulbarga Univ., Gulbarga, 585 106, India

SO Indian Journal of Heterocyclic Chemistry (1992), 1(4), 177-84 CODEN: IJCHEI; ISSN: 0971-1627

DT Journal

LA English

GΙ

## \* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

The substituted indole-2,5-dicarbohydrazides I (R = Me, Et, Ph) on reaction with Et chloroformate in alc. yield N $\beta$ -carbethoxyindole-2,5-dicarbohydrazides. These on heating in di-Ph ether give 2,5-bis(5'-oxo-1',3',4'-oxadiazol-2'-yl)indoles II in good yields. Treatment of I with Me isothiocyanate afford 1-methyl-3-(3'-substituted indole-2',5-dicarboxamido)thioureas, which are converted into 3-(3'-substituted indole-2',5'-dicarboxamido)-2-methylimino-4-thiazolidinones on reaction with chloroacetic acid and NaOAc in presence of AcOH. Bis-3-substituted-1-(substituted indol-2',5'-yl)ureas are obtained by refluxing the corresponding dicarboxyazides with various aromatic amines. The ureas on reaction with di-Et malonate and NaOEt in dry EtOH produced 3-

## 10/591,895

substituted phenyl-1-(3'-substituted indol-2',5'-yl)-2,3-dihydro-2-oxo-4,6-(1H,5H)-pyrimidinediones, e.g. III. Further, substituted indole-2,5-dicarbohydrazides when heated with 1,3-diketones in methanol afford the 3-substituted 2,5-bis(3',5'-disubstituted pyrazole-1'-carbonyl)indoles. 3-Chloro-6-substituted benzo(b)thiophene-2-carbohydrazides are condensed with substituted isothiocyanates to give 1-substituted-3-(6'-substituted-3'-chlorobenzo(b)thiophene-2'-carboxamido)thioureas, e.g. IV. The thioureas on treatment with I2 in KI/H2PO4/NaOH yield 3-chloro-6-substituted-2-(2'-substituted amino-1',3',4'-oxadiazol-2-yl), 2-(5'-substituted amino-1',3',4'-thiadiazol-2'-yl) and 2-(N-phenyl-5'-mercapto-1',2',4'-triazol-3'-yl)benzo(b)thiophenes, resp. The thioureas are also converted to 3-(3'-chloro-6'-substituted-benzo(b)thiophene-2'-carboxamido)-2- substituted imino-4-thiazolidinones, e.g. V, by treatment with chloroacetic acid in presence of sodium acetate in acetic acid.

IT 142137-36-8P 142137-47-1P 142137-48-2P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation, cyclization with chloroacetic acid, and bactericidal activity of)

RN 142137-36-8 CAPLUS

CN 1H-Indole-2,5-dicarboxylic acid, 3-methyl-, bis[2-[(methylamino)thioxomethyl]hydrazide] (9CI) (CA INDEX NAME)

RN 142137-47-1 CAPLUS

CN 1H-Indole-2,5-dicarboxylic acid, 3-ethyl-, bis[2-[(methylamino)thioxomethyl]hydrazide] (9CI) (CA INDEX NAME)

RN 142137-48-2 CAPLUS

CN 1H-Indole-2,5-dicarboxylic acid, 3-phenyl-, 2,5-bis[2-[(methylamino)thioxomethyl]hydrazide] (CA INDEX NAME)

## 10/591,895

IT 142137-34-6P 142137-43-7P 142137-44-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation, intramol. cyclization, and bactericidal activity of)

RN 142137-34-6 CAPLUS

CN 1H-Indole-2,5-dicarboxylic acid, 3-methyl-, bis[2-(ethoxycarbonyl)hydrazide] (9CI) (CA INDEX NAME)

RN 142137-43-7 CAPLUS

CN 1H-Indole-2,5-dicarboxylic acid, 3-ethyl-, bis[2-(ethoxycarbonyl)hydrazide] (9CI) (CA INDEX NAME)

RN 142137-44-8 CAPLUS

CN 1H-Indole-2,5-dicarboxylic acid, 3-phenyl-, bis[2-(ethoxycarbonyl)hydrazide] (9CI) (CA INDEX NAME)

OSC.G 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)

L5 ANSWER 26 OF 59 CAPLUS COPYRIGHT 2010 ACS on STN

AN 1992:6408 CAPLUS Full-text

DN 116:6408

OREF 116:1267a,1270a

TI Preparation of aminoindolecarboxamide derivatives as neoplasm inhibitors

IN Mongelli, Nicola; D'Alessio, Roberto; Grandi, Maria; Spreafico, Federico

PA Farmitalia Carlo Erba S.r.l., Italy

SO Ger. Offen., 9 pp.

CODEN: GWXXBX

DT Patent

LA	German		
MAA	CNT 1		

FAN.					
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PΙ	DE 4106860	A1	19910919	DE 1991-4106860	19910304 <
	GB 2241950	A	19910918	GB 1990-5529	19900312 <
	GB 2241950	В	19930512		
	JP 05148227	A	19930615	JP 1991-67875	19910307 <
PRAI	GB 1990-5529	A	19900312		
OS	CASREACT 116:6408;	MARPAT	116:6408		
GT					

$$\begin{array}{c|c} A & & \\ \hline & X & \\ \hline & & \\ &$$

Title compds. [I; A = 14, NHCOR1, NR2R3; R1 = 2-haloacryloyl, (substituted) oxiranyl; R2, R3 = H, halo- or R4O2SO-substituted alkyl; R4 = alkyl, Ph; B = H, (CH2)mNHCOR1; m = 0-3; Z, Z1 = CH, CH:CH; X = N, O, S; n = 0, 1], were prepared Thus, a solution of H2C:CBrCO2H and Et3N in THF at -10° was treated with Me3CCOC1; Et3N.HCl was filtered off and the soln was added to a DMF solution of 5-(benzofuran-2-carboxamido)indol-2- carbohydrazide to give 2'-( $\alpha$ -bromoarcryloyl)-5-(benzofuran-2- carboxamido)indol-2-carbohydrazide (II). II had IC50 of 0.188  $\mu$ g/mL against <1210 leukemia. An injection containing II was prepared

IT 137855-52-8P 137855-53-9P 137855-59-5P 137855-61-9P 137855-62-0P 137855-66-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of, as neoplasm inhibitor)

RN 137855-52-8 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-[(2-benzofuranylcarbonyl)amino]-, 2-(2-oxiranylcarbonyl)hydrazide (CA INDEX NAME)

RN 137855-53-9 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-[(2-quinolinylcarbonyl)amino]-, 2-(2-oxiranylcarbonyl)hydrazide (CA INDEX NAME)

RN 137855-59-5 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-[(2-benzofuranylcarbonyl)amino]-, 2-[4-[bis(2-chloroethyl)amino]benzoyl]hydrazide (CA INDEX NAME)

RN 137855-61-9 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-[(2-benzofuranylcarbonyl)amino]-, 2-(2-bromo-1-oxo-2-propen-1-yl)hydrazide (CA INDEX NAME)

RN 137855-62-0 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-[(2-quinolinylcarbonyl)amino]-, 2-(2-bromo-1-oxo-2-propen-1-yl)hydrazide (CA INDEX NAME)

RN 137855-66-4 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-[(2-quinolinylcarbonyl)amino]-, 2-[4-[bis(2-chloroethyl)amino]benzoyl]hydrazide (CA INDEX NAME)

L5 ANSWER 27 OF 59 CAPLUS COPYRIGHT 2010 ACS on STN

AN 1991:655943 CAPLUS Full-text

DN 115:255943

OREF 115:43513a,43516a

TI Synthesis of some derivatives of 5-(p-nitrophenylthio)indole-2-carboxylic

AU Chikvaidze, I. Sh.; Megrelishvili, N. Sh.; Samsoniya, Sh. A.; Suvorov, N.

CS Tbilisi. Gos. Univ., Tbilisi, USSR

SO Soobshcheniya Akademii Nauk Gruzii (1991), 141(3), 545-8 CODEN: SANGEF

DT Journal

LA Russian

GΙ

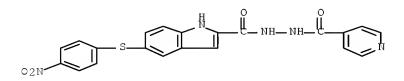
AB Amidation of indolecarbonyl chloride I (R = Cl), obtained in 98% yield from I (R = OH) and SOC12, by primary amines, hydrazine, and isoniazid in dioxane containing Et3N gave 38-95% indolecarboxamides I (R = arylamine, Me2N, NH2NH, 4-pyridylcarbonylhydrazino).

IT 137225-66-2P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)

RN 137225-66-2 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-[(4-nitrophenyl)thio]-, 2-(4-pyridinylcarbonyl)hydrazide (CA INDEX NAME)



L5 ANSWER 28 OF 59 CAPLUS COPYRIGHT 2010 ACS on STN

AN 1991:101858 CAPLUS Full-text

DN 114:101858

OREF 114:17365a,17368a

TI Synthesis of substituted 2,5-bis(1,3,4-oxadiazolyl/thiadiazolyl/1,2,4-triazolyl)indoles and study of their biological activities

AU Hiremath, S. P.; Shivaramayya, K.; Sekhar, K. Raja; Purohit, M. G.

CS Dep. Chem., Gulbarga Univ., Gulbarga, 585 106, India

SO Indian Journal of Chemistry, Section B: Organic Chemistry Including

Medicinal Chemistry (1990), 29B(12), 1118-24

CODEN: IJSBDB; ISSN: 0376-4699

DT Journal

LA English

OS CASREACT 114:101858

GΙ

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

Di-Et indole-2,5-dicarboxylates are reacted with hydrazine hydrate to give the substituted indole-2,5-dicarbohydrazides I (R = Ph, Et, Me) which on reaction with PhCHO give the resp. hydrazones. The latter are cyclized using FeCl3 to give 2,5-bis(5-phenyl-1,3,4-oxadiazol-2-yl)indoles II. Hydrazides I when refluxed with (EtO)3CH afford the 2,5-bis(1,3,4-oxadiazol-2-yl)indoles which are also obtained by reacting I with formamide to give the corresponding N-formyl derivs. followed by cyclodehydration with POCl3. Treatment of I with CS2-KOH gives the 2,5-bis(4,5-dihydro-5-thiono-1,3,4-oxadiazol-2-yl)indoles III in moderate yields. Refluxing I with substituted Ph isothiocyanates afford the thiosemicarbazides which are converted into 2,5-bis(5-anilino-1,3,4,-oxadiazol-2-yl)indoles, 2,5-bis(5-anilino-1,3,4-thiadiazol-2-yl)indoles IV, and 2,5-bis(1-phenyl-5-mercapto-1,2,4-triazol-3-yl)indoles. Most compds. exhibit interesting bactericidal activity.

IT 132371-90-5P 132371-91-6P 132371-92-7P 132371-93-8P 132371-94-9P 132371-95-0P 132371-96-1P 132371-97-2P 132371-98-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and cyclocondensation reactions of)

RN 132371-90-5 CAPLUS

CN 1H-Indole-2,5-dicarboxylic acid, 3-phenyl-,

2,5-bis[2-[(phenylamino)thioxomethyl]hydrazide] (CA INDEX NAME)

RN 132371-91-6 CAPLUS

CN 1H-Indole-2,5-dicarboxylic acid, 3-ethyl-,

2,5-bis[2-[(phenylamino)thioxomethyl]hydrazide] (CA INDEX NAME)

RN 132371-92-7 CAPLUS

CN 1H-Indole-2,5-dicarboxylic acid, 3-methyl-, 2,5-bis[2-[(phenylamino)thioxomethyl]hydrazide] (CA INDEX NAME)

RN 132371-93-8 CAPLUS

CN 1H-Indole-2,5-dicarboxylic acid, 3-phenyl-, 2,5-bis[2-[[(4-methoxyphenyl)amino]thioxomethyl]hydrazide] (CA INDEX NAME)

PAGE 1-B

**─**OMe

RN 132371-94-9 CAPLUS

CN 1H-Indole-2,5-dicarboxylic acid, 3-ethyl-, 2,5-bis[2-[[(4-methoxyphenyl)amino]thioxomethyl]hydrazide] (CA INDEX NAME)

PAGE 1-B

**→** OMe

PAGE 1-B

**∽** OMe

RN 132371-96-1 CAPLUS
CN 1H-Indole-2,5-dicarboxylic acid, 3-phenyl-,
2,5-bis[2-[[(4-chlorophenyl)amino]thioxomethyl]hydrazide] (CA INDEX NAME)

PAGE 1-B

**-**c1

RN 132371-97-2 CAPLUS
CN 1H-Indole-2,5-dicarboxylic acid, 3-ethyl-,
2,5-bis[2-[[(4-chlorophenyl)amino]thioxomethyl]hydrazide] (CA INDEX NAME)

PAGE 1-A

NH—C—NH—C—NH—C—NH—NH—C—C—NH—C—C—NH—C—C—NH—C—C—NH—C—C—NH—C—C—NH—C—C—NH—C—C—NH—C—C—NH—

PAGE 1-B

<u>-c</u>1

RN 132371-98-3 CAPLUS

CN 1H-Indole-2,5-dicarboxylic acid, 3-methyl-, 2,5-bis[2-[[(4-chlorophenyl)amino]thioxomethyl]hydrazide] (CA INDEX NAME)

PAGE 1-B

-c1

IT 132371-82-5P 132371-83-6P 132371-84-7P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)

(preparation and intramol. cyclocondensation of, by phosphoryl chloride)

RN 132371-82-5 CAPLUS

CN 1H-Indole-2,5-dicarboxylic acid, 3-phenyl-, 2,5-bis(2-formylhydrazide) (CA INDEX NAME)

RN 132371-83-6 CAPLUS

CN 1H-Indole-2,5-dicarboxylic acid, 3-ethyl-, 2,5-bis(2-formylhydrazide) (CA INDEX NAME)

RN 132371-84-7 CAPLUS

CN 1H-Indole-2,5-dicarboxylic acid, 3-methyl-, 2,5-bis(2-formylhydrazide) (CA INDEX NAME)

### OSC.G 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)

L5 ANSWER 29 OF 59 CAPLUS COPYRIGHT 2010 ACS on STN

AN 1990:478348 CAPLUS Full-text

DN 113:78348

OREF 113:13259a,13262a

- TI Synthesis of 1,2,4-triazolo[3,4-f]-1,2,4-triazino[4,5-a]indoles
- AU Hiremath, S. P.; Sekhar, K. Raja; Sonar, V. N.; Purohit, M. G.
- CS Dep. Chem., Gulbarga Univ., Gulbarga, 585 106, India
- SO Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1990), 29B(4), 372-5 CODEN: IJSBDB; ISSN: 0376-4699

DT Journal

- LA English
- OS CASREACT 113:78348

GΙ

Substituted indole-2-carbohydrazides I (R = MeO, EtO, Me, Br, Cl) are formylated using formamide to get N $\beta$ -formylindole-2-carbohydrazides in good yields, which are cyclized using POCl3 to the corresponding 1,3,4-oxadiazolylindoles II (Z = 0). Treatment of II with hydrazine hydrate yields the resp. 1,3,4-triazolylindoles II (Z = NNH2), which on refluxing with formic acid or acetic acid afford 1,2,4-triazolo[3,4-f]-1,2,4-triazino[4,5-a]indoles III (R1 = H, Me).

IT 128432-60-0P 128432-61-1P 128432-62-2P 128432-63-3P 128432-64-4P 128432-85-9P 128432-86-0P 128432-87-1P 128714-67-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and cyclization of)

RN 128432-60-0 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-ethoxy-3-methyl-, 2-formylhydrazide (CA INDEX NAME)

RN 128432-61-1 CAPLUS

CN 1H-Indole-2-carboxylic acid, 3,5-dimethyl-, 2-formylhydrazide (CA INDEX NAME)

RN 128432-62-2 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-bromo-3-methyl-, 2-formylhydrazide (CA INDEX NAME)

RN 128432-63-3 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-chloro-3-methyl-, 2-formylhydrazide (CA INDEX NAME)

RN 128432-64-4 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-methoxy-3-methyl-, 2-formylhydrazide (CA INDEX NAME)

RN 128432-85-9 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-ethoxy-3-methyl-, 2-(ethoxycarbonyl)hydrazide (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ &$$

RN 128432-86-0 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-bromo-3-methyl-, 2-(ethoxycarbonyl)hydrazide (CA INDEX NAME)

$$\begin{array}{c|c} & \circ & \circ \\ & \text{H} & \overset{\circ}{\mathbb{C}} \text{NH-NH-}\overset{\circ}{\mathbb{C}} \text{-OEt} \\ & \text{Br} & & \text{Me} \end{array}$$

RN 128432-87-1 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-methoxy-3-methyl-, 2-(ethoxycarbonyl)hydrazide (CA INDEX NAME)

RN 128714-67-0 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-chloro-3-methyl-, 2-(ethoxycarbonyl)hydrazide (CA INDEX NAME)

# OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L5 ANSWER 30 OF 59 CAPLUS COPYRIGHT 2010 ACS on STN

AN 1990:158093 CAPLUS Full-text

DN 112:158093

OREF 112:26723a,26726a

TI Synthesis of oxadiazolyl-, thiadiazolyl-, and triazolylindoles and indolylthiazolidinones

AU Hiremath, S. P.; Sonar, V. N.; Sekhar, K. Raja; Purohit, M. G.

CS Dep. Chem., Gulbarga Univ., Gulbarga, 585 106, India

SO Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1989), 28B(8), 626-30 CODEN: IJSBDB; ISSN: 0376-4699

DT Journal

LA English

OS CASREACT 112:158093

GΙ

AB Et substituted indole-2-carboxylates are reacted with hydrazine hydrate to get the corresponding carbohydrazides. These hydrazides are condensed with Ph isothiocyanate to get 1-phenyl-3-(substituted indole-2'-carboxamido)thioureas I (R1 = Cl, OMe, Br; R2 = H, Br; R3 = H, Br, Ph, etc.), which on treatment with iodine-potassium iodide solution, phosphoric acid, and sodium hydroxide yield the substituted 2-(5'-phenylamino-1',3',4'-oxadiazol-2'-yl)indoles (II), 2'-(5'-phenylamino-1',3',4'-thiadiazol-2'-yl)indoles (III), and 2-(5'-mercapto-4'-phenyl-1',2',4'-triazol-3'-yl)indoles (IV), resp. I are also converted into 3-(substituted indole-2'-carboxamido)-2-phenylimino-4-thiazolidinones V by treatment with

indole-2'-carboxamido)-2-phenylimino-4-thiazolidinones V by treatment with chloroacetic acid in the presence of sodium acetate in acetic acid. Some examples of I-V showed fungicidal and bactericidal activity.

IT 117844-52-7F 117844-54-9F 117844-55-0F 121649-90-9F 121649-92-1F 121649-93-2F 126016-01-1F 126016-02-2F 126016-03-3F 126016-04-4F 126016-05-5F 126016-06-6F

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and cyclization reactions of)

RN 117844-52-7 CAPLUS

CN 1H-Indole-2-carboxylic acid, 3,5-dimethyl-, 2-[(phenylamino)thioxomethyl]hydrazide (CA INDEX NAME)

RN 117844-54-9 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-methyl-,
2-[(phenylamino)thioxomethyl]hydrazide (CA INDEX NAME)

RN 117844-55-0 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-methoxy-3-methyl-, 2-[(phenylamino)thioxomethyl]hydrazide (CA INDEX NAME)

RN 121649-90-9 CAPLUS

CN 1H-Indole-2-carboxylic acid, 3-phenyl-, 2-[(phenylamino)thioxomethyl]hydrazide (CA INDEX NAME)

RN 121649-92-1 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-chloro-3-phenyl-, 2-[(phenylamino)thioxomethyl]hydrazide (CA INDEX NAME)

RN 121649-93-2 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-bromo-3-phenyl-, 2-[(phenylamino)thioxomethyl]hydrazide (CA INDEX NAME)

RN 126016-01-1 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-chloro-, 2-[(phenylamino)thioxomethyl]hydrazide (CA INDEX NAME)

RN 126016-02-2 CAPLUS

CN 1H-Indole-2-carboxylic acid, 3-bromo-5-chloro-, 2-[(phenylamino)thioxomethyl]hydrazide (CA INDEX NAME)

RN 126016-03-3 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-methoxy-, 2-[(phenylamino)thioxomethyl]hydrazide (CA INDEX NAME)

RN 126016-04-4 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-ethoxy-, 2-[(phenylamino)thioxomethyl]hydrazide (CA INDEX NAME)

RN 126016-05-5 CAPLUS

CN 1H-Indole-2-carboxylic acid, 7-bromo-5-methyl-, 2-[(phenylamino)thioxomethyl]hydrazide (CA INDEX NAME)

RN 126016-06-6 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-bromo-,

2-[(phenylamino)thioxomethyl]hydrazide (CA INDEX NAME)

OSC.G 15 THERE ARE 15 CAPLUS RECORDS THAT CITE THIS RECORD (15 CITINGS)

L5 ANSWER 31 OF 59 CAPLUS COPYRIGHT 2010 ACS on STN

AN 1989:457674 CAPLUS Full-text

DN 111:57674

OREF 111:9791a,9794a

TI Synthesis of substituted pyrimidinediones, thiazolidinones and triazinoindoles

AU Hiremath, Shivayogi P.; Ullagaddi, Ashok; Purohit, Muralidhar G.

CS Dep. Chem., Gulbarga Univ., Gulbarga, 585 106, India

SO Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1988), 27B(12), 1102-5 CODEN: IJSBDB; ISSN: 0376-4699

DT Journal

LA English

OS CASREACT 111:57674

GI

AB Indolecarbonylaminothioxopyrimidinediones I (R = Ph, R1 = H, 5-OMe, 5-Me, 5-Cl, 5-Br, 6,7-benzo, R2 = R3) were prepared from the resp. indolecarbonylaminothioureas I (R2 = NHCSNHPh) which in turn were obtained from the resp. indolecarbohydrazides I (R2 = NH2). I (R2 = NHCSNHPh) gave phenyliminothiazolidinones I (R2 = R4) when treated with ClCH2CO2H and AcONa

in the presence of AcOH. The hydrazones I (R = Ph, R1 = H, 5-OMe, 5-Me, 5-Cl, 5-Br, 6,7-benzo, R2 = N:CHPh; R = Me, R1 = 5-Me, 5-OMe, 5-Cl, 5-Br, R2 = N:CHPh), obtained from I (R2 = NH2) and PhCHO, gave phenylthiazolidinones I (R2 = R5 ) when reacted with HSCH2CO2H in dry C6H6. I (R = Ph, R1 = H, 5-OMe, 5-Me, 5-C; 5-Br, 6,7-benzo, N2 = NH2) also reacted with ClCO2Et to give I (R2 = NHCO2Et), which on cyclodehydration with POCl3 in dry C6H6 afforded triazine indole II (same R, R1) in moderate yield. The structures of all compds. were established on the basis of their spectral data and elemental analyses.

IT 121649-90-9P 121649-91-0P 121649-92-1P 121649-93-2P 121674-03-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and cyclocondensation of, with malonic acid)

RN 121649-90-9 CAPLUS

CN 1H-Indole-2-carboxylic acid, 3-phenyl-,

2-[(phenylamino)thioxomethyl]hydrazide (CA INDEX NAME)

RN 121649-91-0 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-methyl-3-phenyl-, 2-[(phenylamino)thioxomethyl]hydrazide (CA INDEX NAME)

RN 121649-92-1 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-chloro-3-phenyl-, 2-[(phenylamino)thioxomethyl]hydrazide (CA INDEX NAME)

RN 121649-93-2 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-bromo-3-phenyl-, 2-[(phenylamino)thioxomethyl]hydrazide (CA INDEX NAME)

RN 121674-03-1 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-methoxy-3-phenyl-, 2-[(phenylamino)thioxomethyl]hydrazide (CA INDEX NAME)

IT 121650-07-5P 121650-08-6P 121650-09-7P

121650-10-0P 121650-11-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and intramol. cyclocondensation of)

RN 121650-07-5 CAPLUS

CN 1H-Indole-2-carboxylic acid, 3-phenyl-, 2-(ethoxycarbonyl)hydrazide (CA INDEX NAME)

RN 121650-08-6 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-methoxy-3-phenyl-, 2-(ethoxycarbonyl)hydrazide (CA INDEX NAME)

$$\underset{\text{MeO}}{\overset{H}{\text{NH}}} \overset{\circ}{\text{NH}} = \underset{\text{NH}}{\overset{\circ}{\text{NH}}} = \underset{\text{NH}}{\overset{\circ}{\text$$

RN 121650-09-7 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-methyl-3-phenyl-, 2-(ethoxycarbonyl)hydrazide (CA INDEX NAME)

RN 121650-10-0 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-chloro-3-phenyl-, 2-(ethoxycarbonyl)hydrazide (CA INDEX NAME)

RN 121650-11-1 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-bromo-3-phenyl-, 2-(ethoxycarbonyl)hydrazide (CA INDEX NAME)

# OSC.G 10 THERE ARE 10 CAPLUS RECORDS THAT CITE THIS RECORD (10 CITINGS)

L5 ANSWER 32 OF 59 CAPLUS COPYRIGHT 2010 ACS on STN

AN 1989:231529 CAPLUS Full-text

DN 110:231529

OREF 110:38383a,38386a

TI Synthesis and study of new indolyl-containing 1,3,4-oxadiazoles

AU Dzhaparidze, Z. Sh.; Basiladze, M. N.; Laliashvili, M. G.; Samsoniya, Sh. A.

CS NII Stabil'n. Izotopov, USSR

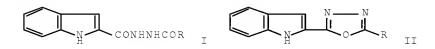
SO Soobshcheniya Akademii Nauk Gruzinskoi SSR (1988), 130(3), 565-8 CODEN: SAKNAH; ISSN: 0002-3167

DT Journal

LA Russian

OS CASREACT 110:231529

GΙ



AB Acylation of indole-2-acetic acid hydrazide by RCOCl (R = Me, Ph, o-HO2CC6H4, ClCH2CH2, o-O2NC6H4) in AcNMe2 3 h at 5-15° gave 73-87% indoles I which were cyclodehydrated by POCl3 1 h at 60-80° to give 54-69% oxadiazoles II.

IT 37574-75-7P 37574-79-1P 120808-56-2P

120808-57-3P 120808-85-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and cyclodehydration of, indolyloxadiazole from)

RN 37574-75-7 CAPLUS

CN 1H-Indole-2-carboxylic acid, 2-acetylhydrazide (CA INDEX NAME)

RN 37574-79-1 CAPLUS

CN 1H-Indole-2-carboxylic acid, 2-benzoylhydrazide (CA INDEX NAME)

RN 120808-56-2 CAPLUS

CN 1,2-Benzenedicarboxylic acid, 1-[2-(1H-indol-2-ylcarbonyl)hydrazide] (CA INDEX NAME)

RN 120808-57-3 CAPLUS

CN 1H-Indole-2-carboxylic acid, 2-(3-chloro-1-oxopropyl)hydrazide (CA INDEX NAME)

RN 120808-85-7 CAPLUS

CN 1H-Indole-2-carboxylic acid, 2-(2-nitrobenzoyl)hydrazide (CA INDEX NAME)

#### OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L5 ANSWER 33 OF 59 CAPLUS COPYRIGHT 2010 ACS on STN

AN 1989:8164 CAPLUS Full-text

DN 110:8164

OREF 110:1499a,1502a

TI Synthesis of indolylpyrimidinediones and indolylthiazolidinones

AU Hiremath, Shivayogi P.; Sekhar, K. Raja; Purohit, Muralidhar G.

CS Dep. Chem., Gulbarga Univ., Gulbarga, 585 106, India

SO Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1988), 27B(7), 678-80 CODEN: IJSBDB; ISSN: 0376-4699

DT Journal

LA English

OS CASREACT 110:8164

GI

AB (Indolecarboxamido)hexahydropyrimidinedionethiones I (R1 = H, Me; R2 = OEt, Me, Br, Cl, OMe, H; R3 = H, Me) and (indolecarboxamido)thiazolidinones II were prepared; some I and II showed bactericidal activity.

(Indolecarbonyl)thiosemicarbazides were treated with CH2(CO2H)2 and ClCH2CO2H to give I and II, resp.

IT 117844-51-6P 117844-52-7P 117844-53-8P 117844-54-9P 117844-55-0P 117844-56-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and cyclocondensation reaction of, with malonic acid and chloroacetic acid)  $\ensuremath{\mathsf{a}}$ 

RN 117844-51-6 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-ethoxy-3-methyl-,

2-[(phenylamino)thioxomethyl]hydrazide (CA INDEX NAME)

RN 117844-52-7 CAPLUS

CN 1H-Indole-2-carboxylic acid, 3,5-dimethyl-, 2-[(phenylamino)thioxomethyl]hydrazide (CA INDEX NAME)

RN 117844-53-8 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-bromo-3-methyl-, 2-[(phenylamino)thioxomethyl]hydrazide (CA INDEX NAME)

RN 117844-54-9 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-chloro-3-methyl-, 2-[(phenylamino)thioxomethyl]hydrazide (CA INDEX NAME)

RN 117844-55-0 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-methoxy-3-methyl-, 2-[(phenylamino)thioxomethyl]hydrazide (CA INDEX NAME)

RN 117844-56-1 CAPLUS

CN 1H-Indole-2-carboxylic acid, 3,4,7-trimethyl-, 2-[(phenylamino)thioxomethyl]hydrazide (CA INDEX NAME)

#### OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L5 ANSWER 34 OF 59 CAPLUS COPYRIGHT 2010 ACS on STN

AN 1987:554287 CAPLUS Full-text

DN 107:154287

OREF 107:24829a,24832a

TI Synthesis of substituted 2-(1',3',4'-oxadiazol-2'-yl)indoles

AU Sinnur, K. H.; Siddappa, S.; Hiremath, Shivayogi R.; Purohit, Muralidhar G.

CS Dep. Chem., Gulbarga Univ., Gulbarga, 585 106, India

SO Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1986), 25B(7), 716-20 CODEN: IJSBDB; ISSN: 0376-4699

DT Journal

LA English

OS CASREACT 107:154287

GΙ

AB The indole derivs. I (R = H, Cl, Br; R1 = Me, Cl, PhCH2O; R2 = H, Me; R3 = N:CHR4; R4 = Et, Ph, 4-MeOC6H4), II (R5 = H, R4) and III were prepared from I (R3 = NH2) and tested for their antibacterial activity.

IT 110448-42-5P 110448-43-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and cyclization of)

RN 110448-42-5 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5,7-dichloro-3-methyl-, 2-formylhydrazide (CA INDEX NAME)

$$\begin{array}{c|c} C1 & H & O \\ H & C - NH - NH - CHO \\ Me \end{array}$$

RN 110448-43-6 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-chloro-, 2-formylhydrazide (CA INDEX NAME)

OSC.G 10 THERE ARE 10 CAPLUS RECORDS THAT CITE THIS RECORD (10 CITINGS)

L5 ANSWER 35 OF 59 CAPLUS COPYRIGHT 2010 ACS on STN

AN 1985:131867 CAPLUS Full-text

DN 102:131867

OREF 102:20691a,20694a

TI Synthesis of N-acyl-N'-(2-indolylcarbonyl) hydrazides and their physiological activity

AU Zhang, Mingzhe; He, Meiyu

CS Dep. Chem., Peking Univ., Beijing, Peop. Rep. China

SO Yaoxue Xuebao (1984), 19(10), 737-41 CODEN: YHHPAL; ISSN: 0513-4870

DT Journal

LA Chinese

GΙ

AB Title compds. (I, R = COR1) were prepared by acylation of I (R = H) with R1COC1. I (R = CHO, Ac) and 2-(2-ethyl-1,3,4-oxadiazol-5-yl)-1H-indole inhibited the growth of Mycobacterium tuberculosis.

IT 37574-75-7P 64932-49-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation and antitubercular activity of)

RN 37574-75-7 CAPLUS

CN 1H-Indole-2-carboxylic acid, 2-acetylhydrazide (CA INDEX NAME)

RN 64932-49-6 CAPLUS

CN 1H-Indole-2-carboxylic acid, 2-formylhydrazide (CA INDEX NAME)

IT 37574-76-8P 95446-26-7P 95446-27-8P

95446-28-9P 95446-29-0P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)

RN 37574-76-8 CAPLUS

CN 1H-Indole-2-carboxylic acid, 2-(2-chloroacetyl)hydrazide (CA INDEX NAME)

RN 95446-26-7 CAPLUS

CN 1H-Indole-2-carboxylic acid, 2-(1-oxopropyl)hydrazide (CA INDEX NAME)

RN 95446-27-8 CAPLUS

CN 1H-Indole-2-carboxylic acid, 2-(1-oxopentyl)hydrazide (CA INDEX NAME)

RN 95446-28-9 CAPLUS

CN 1H-Indole-2-carboxylic acid, 2-(3-pyridinylcarbonyl)hydrazide (CA INDEX NAME)

RN 95446-29-0 CAPLUS

CN 1H-Indole-2-carboxylic acid, 2-(4-bromobenzoyl)hydrazide (CA INDEX NAME)

L5 ANSWER 36 OF 59 CAPLUS COPYRIGHT 2010 ACS on STN

AN 1984:630417 CAPLUS Full-text

DN 101:230417

OREF 101:34989a,34992a

TI Preparation of some indoly1-1,3,4-oxadiazoles and related compounds

AU Monge Vega, A.; Rabbani, M. M.; Fernandez-Alvarez, E.

CS Fac. Farm., Univ. Navarra, Pamplona, Spain

SO Boletin de la Sociedad Quimica del Peru (1983), 49(2), 120-30 CODEN: BSQPAQ; ISSN: 0037-8623

DT Journal

LA Spanish

OS CASREACT 101:230417

GΙ

RCONHNHCOR1 (R = 2- or 3-indolyl or N-methylindolyl, R1 = H, Me) were prepared by acylation of RCONHNH2 with RCONMe2 and cyclized to oxadiazole derivs. I using POCl3. II was cleaved by POCl3 to give the hydrazide and  $\gamma$ -valerolactone. Attempted cyclization of III (R2 = 3-indolyl) with POCl3 gave IV.

RN 37574-75-7 CAPLUS

CN 1H-Indole-2-carboxylic acid, 2-acetylhydrazide (CA INDEX NAME)

RN 64932-49-6 CAPLUS

CN 1H-Indole-2-carboxylic acid, 2-formylhydrazide (CA INDEX NAME)

RN 93397-85-4 CAPLUS

CN 1H-Indole-2-carboxylic acid, 1-methyl-, 2-acetylhydrazide (CA INDEX NAME)

IT 93397-82-1P 93397-86-5P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)

RN 93397-82-1 CAPLUS

CN 1H-Indole-2-carboxylic acid, 1-methyl-, 2-formylhydrazide (CA INDEX NAME)

RN 93397-86-5 CAPLUS

CN 1H-Indole-2-carboxylic acid, 1-methyl-, 2-[(1-methyl-1H-indol-2-yl)carbonyl]hydrazide (CA INDEX NAME)

L5 ANSWER 37 OF 59 CAPLUS COPYRIGHT 2010 ACS on STN

AN 1984:630416 CAPLUS Full-text

DN 101:230416

OREF 101:34989a,34992a

TI Reactions of indolecarbohydrazides with lactones

AU Monge Vega, A.; Rabbani, M. M.; Fernandez-Alvarez, E.

CS Fac. Farm., Univ. Navarra, Pamplona, Spain

SO Boletin de la Sociedad Quimica del Peru (1983), 49(2), 110-19 CODEN: BSQPAQ; ISSN: 0037-8623

DT Journal

LA Spanish

OS CASREACT 101:230416

GI For diagram(s), see printed CA Issue.

AB Reactions of 2- or 3-indolecarbohydrazide and their 1-Me derivs. with  $\gamma$ -butyrolactone and  $\gamma$ - or  $\delta$ -valerolactone were studied in the absence or presence of solvents (Ph2O, DMF, dioxane). Products RCONHNHCO(CH2)nOH (R = indolyl residue, n = 3 or 4), RCONHNHCOR, I, and oxadiazoles II were identified. BzNHNH2 reacted with lactones to give (BzNH)2.

IT 64932-49-6P 93397-75-2P 93397-79-6P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)

RN 64932-49-6 CAPLUS

CN 1H-Indole-2-carboxylic acid, 2-formylhydrazide (CA INDEX NAME)

RN 93397-75-2 CAPLUS

CN 1H-Indole-2-carboxylic acid, 2-(4-hydroxy-1-oxobutyl)hydrazide (CA INDEX NAME)

RN 93397-79-6 CAPLUS

CN 1H-Indole-2-carboxylic acid, 1-methyl-, 2-(5-hydroxy-1-oxopentyl)hydrazide

(CA INDEX NAME)

L5 ANSWER 38 OF 59 CAPLUS COPYRIGHT 2010 ACS on STN

AN 1983:594890 CAPLUS Full-text

DN 99:194890

OREF 99:30003a,30006a

TI Synthesis of substituted 2-(5'-oxo/thioxo-1',3',4'-oxadiazol-2'-yl)indoles and 2-(5'-oxo/thioxo-1,3,4'-oxadiazol-2'-ylamino)indoles

AU Hiremath, Shivayogi P.; Hiremath, Dakshayani M.; Purohit, Muralidhar G.

CS Dep. Chem., Gulbarga Univ., Gulbarga, 585 106, India

SO Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1983), 22B(6), 571-6 CODEN: IJSBDB; ISSN: 0376-4699

DT Journal

LA English

Indole-2-carboxylates and indole-2-carbamates react with N2H4-EtOH to give the corresponding hydrazides and semicarbazides. These compds. when heated under reflux with CS2 and KOH give 2-(5-thioxo-1,3,4-oxodiazol-2-yl)2ndoles and 2-(5-thioxo-1,3,4-oxodiazol-2-ylamino)indoles resp. They also undergo condensation with ClCO2Et to give ethoxy carbonylhydrazines which on heating under reflux with Ph2O give the corresponding 2-(5-oxo-1,3,4-oxodiazol-2-yl)indoles and 2-(5-oxo-1,3,4-oxadiazol-2'ylamino)indoles. Et 2-phenylindole-3-carbamate, obtained from 3-aminoindole has been condensed with N2H4 to give the semicarbazide which on reaction with ClCO2Et and heating under reflux with Ph2O produces 3-(5-oxo-1,3,4-oxadiazol-2-ylamino)indole.

IT 37574-85-9P 87811-53-8P 87811-54-9P 87811-55-0P 87811-56-1P 87811-57-2P

87811-58-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and cyclization of)

RN 37574-85-9 CAPLUS

CN 1H-Indole-2-carboxylic acid, 2-(ethoxycarbonyl)hydrazide (CA INDEX NAME)

RN 87811-53-8 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5,7-dichloro-3-methyl-, 2-(ethoxycarbonyl)hydrazide (CA INDEX NAME)

RN 87811-54-9 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-chloro-3,7-dimethyl-, 2-(ethoxycarbonyl)hydrazide (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ &$$

RN 87811-55-0 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-chloro-, 2-(ethoxycarbonyl)hydrazide (CA INDEX NAME)

RN 87811-56-1 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-methyl-, 2-(ethoxycarbonyl)hydrazide (CA INDEX NAME)

RN 87811-57-2 CAPLUS

CN 1H-Indole-2-carboxylic acid, 3,5-dimethyl-, 2-(ethoxycarbonyl)hydrazide (CA INDEX NAME)

RN 87811-58-3 CAPLUS

CN 1H-Indole-2-carboxylic acid, 3,4,7-trimethyl-, 2-(ethoxycarbonyl)hydrazide (CA INDEX NAME)

OSC.G 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)

L5 ANSWER 39 OF 59 CAPLUS COPYRIGHT 2010 ACS on STN

AN 1983:143225 CAPLUS Full-text

DN 98:143225

OREF 98:21813a,21816a

TI New indolyl MAO inhibitors. Part 2

AU Sathi, Garima; Gujrati, V. R.; Nath, C.; Bhargava, K. P.; Shanker, K.

CS Dep. Pharmacol. Ther., King George's Med. Coll., Lucknow, India

SO Pharmazie (1982), 37(12), 868-9 CODEN: PHARAT; ISSN: 0031-7144

DT Journal

LA English

$$\bigcap_{\mathbb{R}^2} \bigcap_{\mathbb{R}^1} \mathbb{R}$$

Indoles I [R = Me, R1 = CH2CH2NHNHCSNHR3, R2 = H, R3 = 4-FC6H4, 4-ClC6H4, Et; R = CH2NHNHCSNHR3, R1 = H, R2 = Cl, R3 = Ph, 2-MeC6H4 (II), 4-MeC6H4, Et] were prepared by treating the hydrazides with R3NCS and reduction with LiAlH4. II gave 78.12% inhibition of MAO at 1 + 10-4 mol/L in vitro and had 32.6% reserpine antagonist activity at 100 mg/kg i.p. in mice.

IT 72548-94-8 72548-97-1 85196-24-3 85207-85-8

RN 72548-94-8 CAPLUS

CN 1H-Indole-2-carboxylic acid, 4-chloro-, 2-[(phenylamino)thioxomethyl]hydrazide (CA INDEX NAME)

RN 72548-97-1 CAPLUS

CN 1H-Indole-2-carboxylic acid, 4-chloro-, 2-[(ethylamino)thioxomethyl]hydrazide (CA INDEX NAME)

RN 85196-24-3 CAPLUS

CN 1H-Indole-2-carboxylic acid, 4-chloro-, 2-[[(4-methylphenyl)amino]thioxomethyl]hydrazide (CA INDEX NAME)

RN 85207-85-8 CAPLUS

CN 1H-Indole-2-carboxylic acid, 4-chloro-, 2-[[(2-methylphenyl)amino]thioxomethyl]hydrazide (CA INDEX NAME)

L5 ANSWER 40 OF 59 CAPLUS COPYRIGHT 2010 ACS on STN

AN 1982:199467 CAPLUS Full-text

DN 96:199467

OREF 96:32890h,32891a

TI The regioselectivity of the formation of dihydro- and tetrahydrocarbazoles by the Fischer indole synthesis

AU Reed, G. W. Bryan; Cheng, Peter T. W.; McLean, Stewart

CS Dep. Chem., Univ. Toronto, Toronto, ON, M5S 1A1, Can.

SO Canadian Journal of Chemistry (1982), 60(4), 419-24 CODEN: CJCHAG; ISSN: 0008-4042

DT Journal

LA English

OS CASREACT 96:199467

GΙ

N-Methyl-4-oxohexahydrophthalimide (I) and di-Me 1,4,5,6-tetrahydro-4-oxophthalate (II) were prepared, converted to their phenylhydrazones, and underwent the Fischer indole synthesis under conditions ranging from 7% to 60% H2SO4-MeOH. The tetrahydrocarbazoles III and IV were isolated in a 2:1 ratio from I and no significant variation in the ratio was observed through the range of conditions used. The dihydrocarbazoles V and VI were isolated from II in a 1:1 ratio when 7% or 15% H2SO4 was used; when more concentrated acid was used, normal Fischer products were not obtained but some transformation products were isolated from the complex mixture of products. The observed regioselectivity of these reactions is not predicted from mechanistic considerations, and no mechanistic explanation for the results is apparent. As part of the proof of structure of III and V, their N-benzyl derivs. were prepared from 1-benzyl-2-vinylindole by Diels-Alder reactions.

IT 81787-93-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and hydrolysis of)

RN 81787-93-1 CAPLUS

CN 1H-Indole-2-carboxylic acid, 1-(phenylmethyl)-, 2-[(4-methylphenyl)sulfonyl]hydrazide (CA INDEX NAME)

OSC.G 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)

L5 ANSWER 41 OF 59 CAPLUS COPYRIGHT 2010 ACS on STN

AN 1980:471713 CAPLUS Full-text

DN 93:71713

OREF 93:11665a,11668a

TI The synthesis of 11H-1,2,4-triazolo[4,3-b]pyridazino[4,5-b]indoles, 11H-tetrazolo[4,5-b]pyridazino[4,5-b]indoles and 1,2,4-triazolo[3,4-f]-1,2,4-triazino[4,5-a]indoles

AU Monge Vega, A.; Aldana, I.; Rabbani, M. M.; Fernandez-Alvarez, E.

CS Fac. Farm., Univ. Navarra, Pamplona, Spain

SO Journal of Heterocyclic Chemistry (1980), 17(1), 77-80 CODEN: JHTCAD; ISSN: 0022-152X

DT Journal

LA English

OS CASREACT 93:71713

GΙ

The novel compds I (R = H, Me; R1 = H, Me, Ph) and (II (R = H or Me) were prepared from III, and IV (R = H, Me or Ph) were prepd.from 2-indolecarbohydrazide (V). I were obtained by acylation of III, followed by thermal cyclization and II by treating III with nitrous acid. The reactions of V with HCO2H or HC(OEt)3 gave 1,2-dihydro-1-oxo-1,2,4-triazino[4,5-a]indole. Treating this last compound with POCl3 or P2S5, followed by hydrazine, gave 1-hydrazino-1,2,4-triazino[4,5-a]indole. Acylation of this last compound followed of cyclization gave IV. All the compds. were characterized by elemental anal. and IR and 1H-NMR spectra.

IT 64932-49-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and intermol. cyclocondensation of)

RN 64932-49-6 CAPLUS

CN 1H-Indole-2-carboxylic acid, 2-formylhydrazide (CA INDEX NAME)

OSC.G 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)

L5 ANSWER 42 OF 59 CAPLUS COPYRIGHT 2010 ACS on STN

AN 1980:76458 CAPLUS Full-text

DN 92:76458

OREF 92:12599a,12602a

TI 1,2,4-Triazino[4,5-a]indoles. V. Study of 1,4-dioxo-1,2,3,4-tetrahydro-1,2,4-triazino[4,5-a]indole

AU Maume, Daniel; Lancelot, Jean Charles; Robba, Max

CS Lab. Pharm. Chim., Univ. Caen, Caen, 14032, Fr.

SO Journal of Heterocyclic Chemistry (1979), 16(6), 1217-22 CODEN: JHTCAD; ISSN: 0022-152X

DT Journal

LA French

GΙ

AB Cyclizing 2-indolecarbohydrazide I by refluxing in KOH-EtOH gave title compound II; II was also prepared by rearranging 2-(5-oxo-4,5-dihydro-1,3,4-oxadiazol-2-yl)indole in refluxing PrOH-PrONa. II was O- and N-methylated by both Me2SO4 and CH2N2.

IT 37574-85-9

RL: RCT (Reactant); RACT (Reactant or reagent)

(intramol. cyclocondensation of)

RN 37574-85-9 CAPLUS

CN 1H-Indole-2-carboxylic acid, 2-(ethoxycarbonyl)hydrazide (CA INDEX NAME)

IT 37574-84-8P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)

RN 37574-84-8 CAPLUS

CN 1H-Indole-2-carboxylic acid, 2-(aminocarbonyl)hydrazide (CA INDEX NAME)

OSC.G 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

L5 ANSWER 43 OF 59 CAPLUS COPYRIGHT 2010 ACS on STN

AN 1980:51728 CAPLUS Full-text

DN 92:51728

OREF 92:8435a,8438a

TI Newer indole derivatives as monoamine oxidase inhibitors

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AU Sathi, Garima; Gujrati, Vibha; Sharma, M.; Nath, C.; Gupta, T. K.; Bhargava, K. P.; Shanker, K.
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CS Dep. Pharmacol. Ther., King George's Med. Coll., Lucknow, 3, India

SO Current Science (1979), 48(21), 932-4 CODEN: CUSCAM; ISSN: 0011-3891

DT Journal

LA English

OS CASREACT 92:51728

GΙ

AB Seventeen indolyl thiosemicarbazides I and II and indolyl thiazolidones III and IV (R = Et, Ph, and substituted Ph were synthesized and tested as monoamine oxidase [9001-66-5] inhibitors. The 5 most potent inhibitors were tested further for anticonvulsant and analgesic activities, toxicity, and potentiation of L-dopa effects. None of the compds. had anticonvulsant or analgesic activity. Structure-activity relations are discussed in terms of monoamine oxidase inhibition.

IT 72548-97-1P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation and monoamine oxidase inhibition by)

RN 72548-97-1 CAPLUS

CN 1H-Indole-2-carboxylic acid, 4-chloro-,

2-[(ethylamino)thioxomethyl]hydrazide (CA INDEX NAME)

RN 72548-94-8 CAPLUS

CN 1H-Indole-2-carboxylic acid, 4-chloro-, 2-[(phenylamino)thioxomethyl]hydrazide (CA INDEX NAME)

RN 72548-95-9 CAPLUS

CN 1H-Indole-2-carboxylic acid, 4-chloro-, 2-[[(2-methoxyphenyl)amino]thioxomethyl]hydrazide (CA INDEX NAME)

RN 72548-96-0 CAPLUS

CN 1H-Indole-2-carboxylic acid, 4-chloro-, 2-[[(4-methoxyphenyl)amino]thioxomethyl]hydrazide (CA INDEX NAME)

L5 ANSWER 44 OF 59 CAPLUS COPYRIGHT 2010 ACS on STN

AN 1980:6356 CAPLUS Full-text

DN 92:6356

OREF 92:1195a,1198a

TI Indole derivatives. LX. Synthesis of indole compounds with a furan ring

AU Gabrielyan, G. E.; Papayan, G. L.

CS Inst. Tonk. Org. Khim. im. Mndzhoyana, Yerevan, USSR

SO Armyanskii Khimicheskii Zhurnal (1979), 32(4), 309-14 CODEN: AYKZAN; ISSN: 0515-9628

DT Journal

LA Russian

OS CASREACT 92:6356

GΙ



- Twenty-one furylindoles I [R = benzyl, H, CH2CH2CH2NH2, CH2CH2CO2H, 2-[2-(3-indolyl)ethyl]amino]ethyl, CH2CH2CN, COCH2NEt2, COCH2Cl, CH2CO2H; R1 = CO2Et, CH2OH, CO2H, CH2OAc, CHO, CONHNHSO2C6H4Me-p, etc.] were prepared in 33.2-81.9% yield by standard methods. Thus alkylation of II with ClCH2CO2H gave 33.2% I (R = CH2CO2H, R1 = CO2Et).
- RN 72193-86-3 CAPLUS
- CN 1H-Indole-2-carboxylic acid, 3-(2-furanyl)-5-methoxy-, 2-[(4-methylphenyl)sulfonyl]hydrazide (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & &$$

- RN 72193-87-4 CAPLUS
- CN 1H-Indole-2-carboxylic acid, 3-(2-furanyl)-5-methoxy-1-(phenylmethyl)-, 2-[(4-methylphenyl)sulfonyl]hydrazide (CA INDEX NAME)

#### OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

- L5 ANSWER 45 OF 59 CAPLUS COPYRIGHT 2010 ACS on STN
- AN 1978:105274 CAPLUS Full-text
- DN 88:105274
- OREF 88:16517a,16520a
- TI as-Triazino[4,5-a]indoles. II. Study of as-triazinoindolones
- AU Robba, M.; Maume, D.; Lancelot, J. C.
- CS Lab. Pharm. Chim., UER Sci. Pharm., Caen, Fr.
- SO Journal of Heterocyclic Chemistry (1977), 14(8), 1365-8 CODEN: JHTCAD; ISSN: 0022-152X
- DT Journal
- LA French
- OS CASREACT 88:105274

$$\mathbb{R}^{2}$$

$$\mathbb{R}^{1}$$

$$\mathbb{R}^{2}$$

$$\mathbb{R}^{1}$$

$$\mathbb{R}^{2}$$

$$\mathbb{R}^{1}$$

AB Triazinoindolones I (R = H, Me, CH2OMe, CH2OPr; R1 = H, C1, Br; R2 = H, Br) were prepared by rearranging oxadiazolylindoles II with KOH or cyclizing III. 3,4-Dihydro-4-oxo-as-triazino[4,5-a]indole were similarly obtained by cyclizing 2-formylindole N-ethoxycarbonylhydrazone.

IT 64932-64-5

RL: RCT (Reactant); RACT (Reactant or reagent)
 (cyclization of)

RN 64932-64-5 CAPLUS

CN 1H-Indole-2-carboxylic acid, 2-(ethoxymethylene)hydrazide (CA INDEX NAME)

IT 65873-39-4P 65873-40-7P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)

RN 65873-39-4 CAPLUS

CN 1H-Indole-2-carboxylic acid, 4-bromo-, 2-(ethoxymethylene)hydrazide (CA INDEX NAME)

RN 65873-40-7 CAPLUS

CN 1H-Indole-2-carboxylic acid, 6-bromo-, 2-(ethoxymethylene)hydrazide (CA INDEX NAME)

ΙT 64932-49-6 64932-53-2

> RL: RCT (Reactant); RACT (Reactant or reagent) (reaction of, with orthoformate)

64932-49-6 CAPLUS RN

CN1H-Indole-2-carboxylic acid, 2-formylhydrazide (CA INDEX NAME)

64932-53-2 CAPLUS RN

CN 1H-Indole-2-carboxylic acid, 6-bromo-, 2-formylhydrazide (CA INDEX NAME)

ΙT 65873-39-4

> RL: RCT (Reactant); RACT (Reactant or reagent) (rearrangement of)

65873-39-4 CAPLUS

RN

1H-Indole-2-carboxylic acid, 4-bromo-, 2-(ethoxymethylene)hydrazide (CA CN INDEX NAME)

#### THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS) OSC.G 1

 $L_5$ ANSWER 46 OF 59 CAPLUS COPYRIGHT 2010 ACS on STN

ΑN 1978:22764 CAPLUS Full-text

DN 88:22764

OREF 88:3653a,3656a

TIas-Triazino[4,5-a]indoles. I. Indole derivatives

Robba, M.; Maume, D.; Lancelot, J. C. ΑU

Lab. Pharm. Chim., UER Sci. Pharm., Caen, Fr. CS

SO Bulletin de la Societe Chimique de France (1977), (3-4, Pt. 2), 333-6

CODEN: BSCFAS; ISSN: 0037-8968

DT Journal

LA French

OS CASREACT 88:22764

GΙ

$$\mathbb{R}^{1} \xrightarrow{\mathbb{N}} \mathbb{N} \mathbb{R}^{\mathbb{N}} \mathbb{I} \mathbb{R}^{1} \xrightarrow{\mathbb{N}} \mathbb{C}^{\mathsf{ONHNHR}^{2}} \mathbb{I}$$

AB Oxadiazolylindoles I (X = 0; R = H, Me, CH2Cl, CHCl2, CCl3, Ph, Rl = H; R = H, Me, Rl = 4-Cl; R = H, Rl = 4-Br, 6-Br) were obtained by acylating indoles II (R2 = H) and cyclizing resultant II (R2 = COR) with POCl3. I (R = H, Me, Rl = H, X = S) were similarly obtained with P2S5.

IT 64932-63-4

RL: RCT (Reactant); RACT (Reactant or reagent)

(cyclization of)

RN 64932-63-4 CAPLUS

CN 1H-Indole-2-carboxylic acid, 4-chloro-, 2-acetylhydrazide (CA INDEX NAME)

IT 37574-75-7P 37574-76-8P 37574-77-9P 37574-78-0P 37574-79-1P 37574-85-9P

64932-49-6P 64932-51-0P 64932-52-1P

64932-53-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and cyclization of)

RN 37574-75-7 CAPLUS

CN 1H-Indole-2-carboxylic acid, 2-acetylhydrazide (CA INDEX NAME)

RN 37574-76-8 CAPLUS

CN 1H-Indole-2-carboxylic acid, 2-(2-chloroacetyl)hydrazide (CA INDEX NAME)

RN 37574-77-9 CAPLUS

CN 1H-Indole-2-carboxylic acid, 2-(2,2-dichloroacetyl)hydrazide (CA INDEX NAME)

RN 37574-78-0 CAPLUS

CN 1H-Indole-2-carboxylic acid, 2-(2,2,2-trichloroacetyl)hydrazide (CA INDEX NAME)

RN 37574-79-1 CAPLUS

CN 1H-Indole-2-carboxylic acid, 2-benzoylhydrazide (CA INDEX NAME)

RN 37574-85-9 CAPLUS

CN 1H-Indole-2-carboxylic acid, 2-(ethoxycarbonyl)hydrazide (CA INDEX NAME)

RN 64932-49-6 CAPLUS

CN 1H-Indole-2-carboxylic acid, 2-formylhydrazide (CA INDEX NAME)

RN 64932-51-0 CAPLUS

CN 1H-Indole-2-carboxylic acid, 4-chloro-, 2-formylhydrazide (CA INDEX NAME)

RN 64932-52-1 CAPLUS

CN 1H-Indole-2-carboxylic acid, 4-bromo-, 2-formylhydrazide (CA INDEX NAME)

RN 64932-53-2 CAPLUS

CN 1H-Indole-2-carboxylic acid, 6-bromo-, 2-formylhydrazide (CA INDEX NAME)

IT 64932-64-5P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)

RN 64932-64-5 CAPLUS

CN 1H-Indole-2-carboxylic acid, 2-(ethoxymethylene)hydrazide (CA INDEX NAME)

L5 ANSWER 47 OF 59 CAPLUS COPYRIGHT 2010 ACS on STN

AN 1976:105389 CAPLUS Full-text

DN 84:105389

OREF 84:17159a,17162a

TI Blood sugar-lowering indole-2-carboxaldehydes

IN Huebner, Manfred; Heerdt, Ruth; Schmidt, Felix Helmut; Thiel, Max

PA Boehringer Mannheim G.m.b.H., Fed. Rep. Ger.

SO Ger. Offen., 12 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

E	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI [	DE 2426439	A1	19751211	DE 1974-2426439	19740531 <
Ţ	JS 4053624	A	19771011	US 1975-573214	19750430 <
(	GB 1447474	A	19760825	GB 1975-22732	19750523 <
C	CH 612423	A5	19790731	CH 1975-6851	19750528 <
E	TR 2272663	A1	19751226	FR 1975-16784	19750529 <
E	TR 2272663	В1	19790323		
-	JP 51004167	A	19760114	JP 1975-65236	19750530 <
P	AT 7504122	A	19770615	AT 1975-4122	19750530 <
P	AT 341516	В	19780210		
P	AT 7701030	A	19790215	AT 1977-1030	19770216 <
P	AT 352112	В	19790910		
C	CH 615421	A5	19800131	CH 1979-1930	19790227 <
PRAI I	DE 1974-2426439	A	19740531		
	CH 1975-6851	A	19750528		
P	AT 1975-4122	A	19770216		
GI					

AB Indolecarboxaldehydes (I, R1 = Me, R2 = H, MeO, Me, C1, EtO; R1 H, R2 = Et, Br), useful as antidiabetics (no data), were obtained by oxidation of the corresponding hydroxymethyl derivative with MnO2-CH2C12 30 hr at room temperature or CrO3-pyridine 2 hr at room temperature

IT 58518-52-8

RL: RCT (Reactant); RACT (Reactant or reagent)
 (reaction of, with sodium carbonate)

RN 58518-52-8 CAPLUS

CN 1H-Indole-2-carboxylic acid, 4-methyl-,

2-[(4-methylphenyl)sulfonyl]hydrazide (CA INDEX NAME)

#### OSC.G 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (11 CITINGS)

L5 ANSWER 48 OF 59 CAPLUS COPYRIGHT 2010 ACS on STN

AN 1975:428196 CAPLUS Full-text

DN 83:28196

OREF 83:4513a,4516a

TI Methylation of 1,2,3,4-tetrahydro-as-triazino[4,5-a]indole-1,4-dione

AU Robba, Max; Maume, Daniel; Lancelot, Jean C.

CS Lab. Pharm. Chim., UER Sci. Pharm., Caen, Fr.

SO Comptes Rendus des Seances de l'Academie des Sciences, Serie C: Sciences Chimiques (1975), 280(8), 521-2 CODEN: CHDCAQ; ISSN: 0567-6541

DT Journal

LA French

OS CASREACT 83:28196

GI For diagram(s), see printed CA Issue.

AB Methylation of the title compound (I, R = R1 = H) with Me2SO4 gave 9:1 I (R = H, R1 = Me) and II (R1 = Me). Methylation with CH2N2 gave a mixture of I (R = H, Me, R1 = Me) and II (R1 = H, Me) with II (R1 = H) the predominant product. I (R = R1 = H) was prepared by cyclizing 2-indolecarboxylic acid N'-ethoxycarbonylhydrazide or rearranging 2-(2-indolyl)-1,3,4-oxadiazol-2-en-5-one.

IT 37574--85-9

RN 37574-85-9 CAPLUS

CN 1H-Indole-2-carboxylic acid, 2-(ethoxycarbonyl)hydrazide (CA INDEX NAME)

L5 ANSWER 49 OF 59 CAPLUS COPYRIGHT 2010 ACS on STN

AN 1974:70638 CAPLUS Full-text

DN 80:70638

OREF 80:11403a,11406a

TI Indole derivatives. XXXVII. Synthesis of indole compounds containing a furan ring

AU Gabrielyan, G. E.; Papayan, G. L.

CS Inst. Tonkoi Org. Khim. im. Mndzhoyana, Erevan, USSR

SO Armyanskii Khimicheskii Zhurnal (1973), 26(9), 768-74 CODEN: AYKZAN; ISSN: 0515-9628

DT Journal

LA Russian

GI For diagram(s), see printed CA Issue.

AB Condensation of MeCOCH(CH2R)CO2Et (R = 2-furanyl) with 4-MeOC6H4N2+ Cl- gave 4-MeOC6H4NHN:C(CH2R)CO2Et, which cyclized in EtOH containing H2SO4 to give the Et indolecarboxylate I (R1 = CO2Et; R2 = H). I (R1 = CO2H, CH2OH, piperidinocarbonyl, piperidinomethyl, CONHNH2, CO2CH2CH2NMe2, CO2CH2CH2NEt2, CONHNHBz, CH2NHNHCH2Ph; R1 = H, PhCH2) (13 compds.) were prepared via standard procedures.

IT 51842-63-8P 51842-65-0P

RN 51842-63-8 CAPLUS

CN 1H-Indole-2-carboxylic acid, 3-(2-furanyl)-5-methoxy-1-(phenylmethyl)-, 2-benzoylhydrazide (CA INDEX NAME)

RN 51842-65-0 CAPLUS

CN 1H-Indole-2-carboxylic acid, 3-(2-furanyl)-5-methoxy-1-(phenylmethyl)-, 2-(2-chloroacetyl)hydrazide (CA INDEX NAME)

#### OSC.G 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

L5 ANSWER 50 OF 59 CAPLUS COPYRIGHT 2010 ACS on STN

AN 1972:551917 CAPLUS Full-text

DN 77:151917

OREF 77:24975a,24978a

TI Indolecarboxylic acid amide quanidine derivatives

IN Inaba, Shiqeho; Hirohashi, Toshiyuki; Akatsu, Mitsuhiro; Yamamoto, Hisao

PA Sumitomo Chemical Co., Ltd.

SO Jpn. Tokkyo Koho, 3 pp.

CODEN: JAXXAD

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PΙ	JP 47030703	В4	19720809	JP 1969-37206	19690514 <

GI For diagram(s), see printed CA Issue.

Three title compds. (I) (R = H, PhCH2, cyclohexyl), diuretics, blood pressure depressants and remedies for diabetes mellitus, were prepared by treating the corresponding indole-2-carboxylic acid hydrazides with a cyanamide. E.g., 3-phenyl-5-chloro-2-indolecarboxylic acid hydrazide in EtOH was refluxed with 10% HCl and Ca cyanamide to give I (R = H).

IT 37943-68-3P 37943-69-4P 37943-70-7P

RN 37943-68-3 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-chloro-3-phenyl-, 2-(aminoiminomethyl)hydrazide (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ &$$

RN 37943-69-4 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-chloro-3-phenyl-, 2-[imino[(phenylmethyl)amino]methyl]hydrazide (CA INDEX NAME)

RN 37943-70-7 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-chloro-3-phenyl-, 2-[(cyclohexylamino)iminomethyl]hydrazide (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\$$

L5 ANSWER 51 OF 59 CAPLUS COPYRIGHT 2010 ACS on STN

AN 1972:539989 CAPLUS Full-text

DN 77:139989

OREF 77:23021a,23024a

TI Conditions of access to as-triazino(4,5-a)indole

AU Robba, M.; Maume, D.

CS Lab. Pharm. Chim., U.E.R. Sci. Pharm., Caen, Fr.

SO Tetrahedron Letters (1972), (23), 2333-5 CODEN: TELEAY; ISSN: 0040-4039

DT Journal

LA French

GI For diagram(s), see printed CA Issue.

The as-triazinoindoles (I, R = H, Me) were prepared by base-catalyzed rearrangement of oxadiazolylindoles (II, R = H, Me, ClCH2, Cl2CH, Ph) which in turn were prepared by cyclizing in-dolylacylhydrazides R1CONHNHCOR (III, R1 = 2-indolyl; R = H, Me, ClCH2, Cl2CH, Ph). Thus, III (R1 = 2-indolyl, R = Me) was refluxed with POCl3 to give II (R = Me) which was refluxed in KOPr-PrOH to give I (R = Me). Treating III (R = OEt) with POCl3 gave the oxadiazolinone

analog of II, whereas treating the former with KOPr-PrOH gave 2,3-dihydroas-triazino[4,5-a indole-1,4-dione.

IT 37574-75-7P 37574-76-8P 37574-77-9P

37574-78-0P 37574-79-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and cyclization of)

RN 37574-75-7 CAPLUS

CN 1H-Indole-2-carboxylic acid, 2-acetylhydrazide (CA INDEX NAME)

RN 37574-76-8 CAPLUS

CN 1H-Indole-2-carboxylic acid, 2-(2-chloroacetyl)hydrazide (CA INDEX NAME)

RN 37574-77-9 CAPLUS

CN 1H-Indole-2-carboxylic acid, 2-(2,2-dichloroacetyl)hydrazide (CA INDEX NAME)

RN 37574-78-0 CAPLUS

CN 1H-Indole-2-carboxylic acid, 2-(2,2,2-trichloroacetyl)hydrazide (CA INDEX NAME)

RN 37574-79-1 CAPLUS

CN 1H-Indole-2-carboxylic acid, 2-benzoylhydrazide (CA INDEX NAME)

ΙT 37574-84-8P 37574-85-9P RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)

37574-84-8 CAPLUS RN

1H-Indole-2-carboxylic acid, 2-(aminocarbonyl) hydrazide (CA INDEX NAME) CN

37574-85-9 CAPLUS RN

CN 1H-Indole-2-carboxylic acid, 2-(ethoxycarbonyl)hydrazide (CA INDEX NAME)

ANSWER 52 OF 59 CAPLUS COPYRIGHT 2010 ACS on STN L5

1971:53406 CAPLUS Full-text ΑN

DN 74:53406

OREF 74:8597a,8600a

Synthesis of indole-2-carbaldehydes, 2-(2-aminoethyl) - and 2-(2-aminopropyl)indoles

Siddappa, S.; Bhat, G. A. ΑU

CS Dep. Chem., Karnatak Univ., Dharwar, India

SO Journal of the Chemical Society [Section] C: Organic (1971), (1), 178-81CODEN: JSOOAX; ISSN: 0022-4952

Journal DT

English LA

For diagram(s), see printed CA Issue. GΙ

Et indole-2-carboxylate derivs. (e.g. I) were reduced by LiAlH4 to indole-2-AΒ methanol derivs. (e.g. II). These were oxidized by MnO2 to indole-2carboxaldehyde derivs. (e.g. III), which were also prepared from the indole-2carboxylates by the McFadyen-Stevens reaction. The aldehydes reacted with MeNO2 and EtNO2, and the condensation products (e.g. IV and V) were reduced by LiAlH4 to 2-(2-aminoethyl)indoles (e.g. VI) and 2-(2-aminopropyl)indoles (e.g. VII), resp.

22930-50-3P 30464-79-0P 30464-80-3P ΙT 30464-81-4P 30504-21-3P

> RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)

22930-50-3 CAPLUS RN

CN 1H-Indole-2-carboxylic acid, 5-methoxy-, 2-[(4-methylphenyl)sulfonyl]hydrazide (CA INDEX NAME)

RN 30464-79-0 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-methoxy-3-methyl-, 2-[(4-methylphenyl)sulfonyl]hydrazide (CA INDEX NAME)

RN 30464-80-3 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-ethoxy-, 2-[(4-methylphenyl)sulfonyl]hydrazide (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\$$

RN 30464-81-4 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-ethoxy-3-methyl-, 2-[(4-methylphenyl)sulfonyl]hydrazide (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

RN 30504-21-3 CAPLUS

CN 1H-Indole-2-carboxylic acid, 7-methoxy-3-methyl-, 2-[(4-methylphenyl)sulfonyl]hydrazide (CA INDEX NAME)

#### OSC.G 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)

L5 ANSWER 53 OF 59 CAPLUS COPYRIGHT 2010 ACS on STN

AN 1970:67724 CAPLUS Full-text

DN 72:67724

OREF 72:12385a,12388a

TI Increasing the resistance of olefin polymers to copper catalyzed oxidation

IN Minagawa, Motonobu; Nakagawa, Kenichi

PA Societe Anon. Argus Chemical N. V.

SO Ger. Offen., 52 pp.

CODEN: GWXXBX

DT Pat.ent.

LA German

FAN.CNT 1

T T TT 4 *	0111 1							
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE			
ΡI	DE 1927447	B2	19750123	DE 1969-1927447	19690529 <			
	DE 1927447	C3	19750904					
	GB 1274759	A	19720517	GB 1969-1274759	19690520 <			
	US 3629189	A	19711221	US 1969-828365	19690527 <			
	BE 733822	A	19691201	BE 1969-733822	19690530 <			
	FR 2009661	A5	19700206	FR 1969-17755	19690530 <			
PRAI	JP 1968-36929	A	19680530					

GI For diagram(s), see printed CA Issue.

AB Heterocyclic hydrazines and lactams such as 4-(hydrazinocarbonyl) - 1H - 1,2,3 - triazole, 5 - (hydrazinocarbonyl) - 2-pyrrolidinone, and 5-(1H-1,2,4-triazol-3-ylaminocarbonyl)-2-pyrrolidinone (I) are added to polypropylene (II) to provide oxidation resistance when the polyolefin is used in contact with Cu (e.g., as elec. insulation for Cu wire). The Cu-catalyzed oxidation of II is impeded to an even greater extent by addition of pentaerythritol, trimethylolpropane, distearyl thiodipropionate (III), tris(nonylphenyl) phosphite (IV), tristearyl borate, or similar stabilizers along with heterocyclic compds. Thus, 100 parts II was mixed with 1,1,3-tris(5-tert-butyl - 4-hydroxy-2- methylphenyl)butane 0.05, III 0.15, IV 0.1, powdered Cu, and I 0.5 part. In an oxidation test, a film prepared from this mixture had induction time 2250 hr, compared with <20 hr for a control composition prepared similarly but without I.

IT 26391-73-1

RL: USES (Uses)

(stabilizers, for propene polymers)

RN 26391-73-1 CAPLUS

CN Carbohydrazide, 1,5-bis(indol-2-ylcarbonyl)-3-thio- (8CI) (CA INDEX NAME)

L5 ANSWER 54 OF 59 CAPLUS COPYRIGHT 2010 ACS on STN

AN 1970:21728 CAPLUS Full-text

DN 72:21728

OREF 72:3989a,3992a

TI 2-0xo-6-phenyl-1,5-benzodiazocines and 2-oxo-7-phenyl-1,6-benzodiazonines

IN Yamamoto, Hisao; Inaba, Shigeho; Okamoto, Tadashi; Ishizumi, Kikuo; Yamamoto, Michihiro; Maruyama, Isamu; Hirohashi, Toshiyuki; Mori, Kazuo; Kobayashi, Tsuyoshi

PA Sumitomo Chemical Co., Ltd.

SO Ger. Offen., 48 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE						
P	I DE 1814332	A	19691016	DE 1968-1814332	19681212 <						
	DE 1814332	B2	19750227								
	DE 1814332	C3	19751016								
Pl	RAI DE 19 <b>68-</b> 1814332		19681212								

GI For diagram(s), see printed CA Issue.

I (n = 2 or 3) useful as tranquilizers or muscle relaxants, were prepared by AΒ treatment of a 2-(aminoalkyl)indole with an oxidizing agent. Thus, 2 g CrO3 in 2 ml H2O was added dropwise to a suspension of 2 g 1-methyl-2(2aminoethyl)-3-phenyl-5-chloroindole-HCl (II) in 20 ml HOAc at 10°, the mixture stirred 16 hr at 20° and worked up, and the product (0.7 g) refluxed 30 hr with 20 ml pyridine to give I (n = 2), m. 175-80° (EtOH). I (n = 3), m. 201- $6^{\circ}$  (absolute EtOH), was similarly prepared II was prepared by treatment of 5chloro-1-methyl-3-phenylindole-2-carboxylic acid Et ester with N2H4.H2O to give the hydrazide, m.  $170-3^{\circ}$  (EtOH), reaction of this with p-MeC6H4SO2Cl to give 1-(5-chloro-1-methyl-3-phenyl-2-indolylcarbonyl)-2-ptolylsulfonylhydrazine, reaction of this with Na2CO3 and glycerol followed by treatment with H2O to give 5-chloro-1-methyl-3-phenylindole-2- carboxaldehyde, treatment of this with MeNO2, tetrahydrofuran, and MeOH to give 1-methyl-5chloro-2-(2-nitrovinyl)-3-phenylindole, and addition of HCl to this, to give II.

IT 26260-85-5P

RN 26260-85-5 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-chloro-3-phenyl-, 2-[(4-methylphenyl)sulfonyl]hydrazide (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ &$$

L5 ANSWER 55 OF 59 CAPLUS COPYRIGHT 2010 ACS on STN

AN 1969:412941 CAPLUS Full-text

DN 71:12941

OREF 71:2363a,2366a

Indole derivatives. XXV. Use of the ethyl ester of 5-methoxyindole-2-carboxylic acid and its hydrazide in reductions, chloroacylations, and the preparation of hydrazones

AU Mndzhoyan, A. L.; Papayan, G. L.; Gabrielyan, G. E.

CS Inst. Tonkoi Org. Khim., Erevan, USSR

SO Armyanskii Khimicheskii Zhurnal (1969), 22(1), 51-6 CODEN: AYKZAN; ISSN: 0515-9628

DT Journal

LA Russian

GI For diagram(s), see printed CA Issue.

AΒ A mixture of 0.1 mole 5-methoxyindole-2-carboxylic acid (I), 60 g. 85% N2H4.H2O, and 200 cc. EtOH heated on a water bath gave 85% I hydrazide (II), m.  $236-8^{\circ}$ . II heated with Me2CO and I drop AcOH gave 93.8% III (R = R1 = Me) (IV), m.  $197-8^{\circ}$ ; HCl salt m.  $285-6^{\circ}$ . II and p-Me2NC6H4CHO in EtOH gave 68.1%III (R = H, R1 = p-Me2NC6H4), m. 188-9° (HCONMe2); HCl salt m. 195-6°. A mixture of 0.01 mole II, 30 cc. freshly distilled AcCH2CO2Et, 1 drop AcOH, and 60 cc. C6H6 heated so as to remove H2O formed gave 44% III (R = Me, R1 =CH2CO2Et), m. 119-20° (EtOHEt2O); HCl salt m. 288-9°. Similarly was prepared 63.5% III [R = Me, R1 = (CH2)3CO2H], m. 185-6° (EtOH-Et2O). A mixture of 0.01 mole ClCH2COCl and 0.01 mole II in CHCl3 and AcOH heated on a water bath gave 76.3% I chloroacetylhydrazide (V), m. 226-7° (dioxane-H2O). Similarly was prepared 64.5% I  $\beta$ -chloropropionylhydrazide, m. 211-12°. A mixture of 0.01 mole V, excess Et2NH, and dioxane kept 12 hrs. at room temperature, then heated gave 59.7% VI (R = CH2NEt2), m. 162-3°. Similarly was prepared 63% VI (R = CH2CH2NEt2), m.  $100-2^{\circ}$ . p-MeC6H4SO2Cl (1.9 g.) was added in small portions to  $0.01~\mathrm{mole~II}$  in  $25~\mathrm{cc.}$  C5H5N, and the mixture kept at room temperature overnight and poured onto ice to give 92% 5-methoxyindole-3carboxylic acid p-tolylsulfonylhydrazide, m. 233-4°. Similarly was prepared the phenylsulfonyl hydrazide, m. 221-2°, in 82% yield. A mixture of 0.01 mole II, 0.6 q. urea, and 30 cc. H2O boiled 18-20 hrs. gave 88.2% I semicarbazide, m.  $198-9^{\circ}$ . A mixture of 0.01 mole II, 0.01 mole phthalic anhydride, and 15cc. HCONMe2 heated at  $140-45^{\circ}$  4-5 hrs. gave 92% N-(5-methoxy-2indoloylamino)phthalimide, m. 289-90°. A solution of 0.1 mole I in a mixture of Et2O and C6H6 was added dropwise to 0.76 g. LiAlH4 in Et2O, and the mixture heated on a water bath and worked up to give 79.1% 3-hydroxymethyl-5methoxyindole, m. 78-9° (Et20-petroleum ether). A mixture of 0.01 mole I, 25 cc. piperidine, and 5 cc. AcOH heated 6 hrs. gave 73.6% I piperidide, m. 196-7° (Me2CO-Et2O). SOC12 and I in Et2O kept at room temperature 24 hrs., evaporated, and treated with concentrated NH3 gave 5-methoxyindole-2carboxamide, m. 201-2°. Similarly was prepared 5-methoxyindole-2-[N,N-bis(pchloroethyl)]carboxamide, m. 157-8°(EtOH-H2O). A solution of 0.004 mole III in 7 cc. HCONMe2 slowly added to 0.8 g. LiAlH4 in Et2O, heated, and decomposed with NH4Cl and NaOH gave 69% I N-isopropylhydrazide, m. 81-2°.

IT 22930-46-7P 22930-47-8P 22930-48-9P 22930-49-0P 22930-50-3P 22930-51-4P 22930-52-5P

RN 22930-46-7 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-methoxy-, 2-(2-chloroacetyl)hydrazide (CA INDEX NAME)

RN 22930-47-8 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-methoxy-, 2-(3-chloro-1-oxopropyl)hydrazide (CA INDEX NAME)

RN 22930-48-9 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-methoxy-, 2-[2-(diethylamino)acetyl]hydrazide (CA INDEX NAME)

RN 22930-49-0 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-methoxy-, 2-[3-(diethylamino)-1-oxopropyl]hydrazide (CA INDEX NAME)

RN 22930-50-3 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-methoxy-, 2-[(4-methylphenyl)sulfonyl]hydrazide (CA INDEX NAME)

RN 22930-51-4 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-methoxy-, 2-(phenylsulfonyl)hydrazide (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\$$

RN 22930-52-5 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-methoxy-, 2-(aminocarbonyl)hydrazide (CA INDEX NAME)

#### OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L5 ANSWER 56 OF 59 CAPLUS COPYRIGHT 2010 ACS on STN

AN 1969:67824 CAPLUS Full-text

DN 70:67824

OREF 70:12653a

TI Stereochemistry of ethyl  $\alpha$ -cyano- $\beta$ -methylcinnamates

AU Nagai, Wakatu; Miwa, Toshio

CS Osaka City Univ., Osaka, Japan

SO Nippon Kagaku Zasshi (1968), 89(10), 958-66 CODEN: NPKZAZ; ISSN: 0369-5387

DT Journal

LA Japanese

AΒ Cope-Knoevenagel condensation of o-O2NC6H4Ac with NCCH2CO2Et gave 84.2% oil (I), b4 175-80°, which on standing solidified and afforded pale yellow prisms (II), m. 77°, on recrystn. II (2.6 g.) in 30 ml. PhMe and 10 ml. EtOH treated with 3 q. 80% N2H4.H2O and Raney Ni at reflux temperature gave 1-hydroxy-3methyl-2-indolecarboxylic acid hydrazide (III), m. 182° (decomposition), O,Ndi-Ac derivative m. 123-5°. Treating III with HNO2 gave 1-hydroxy-3-methyl-2indolecarbonyl azide. Hydrogenation of II over 5% Pd-C in EtOH yielded o-H2NC6H4-Ac, 21.8% 2-amino-4-ethoxycarbonyl-4-methylquinoline 1-oxide, m. 175-7°, and 36.3% 1-hydroxy-3-cyano-4-methyl-2-quinolone (IV), m. 254-6°; acetate, m.  $173-4^{\circ}$ . IV has another form, m.  $202-3^{\circ}$ ; acetate, m.  $159-60^{\circ}$ . Hydrogenation of II in AcOH or tetrahydrofuran gave 78% IV and a small amount 3-cyano-4-methylcarbostyril. Thus the configuration of II is cis. The N.M.R. spectrum of I indicates that I is a mixture of 1.86:1 II and the trans isomer. ArCMe:C(CN)CO2Et were prepared (substituent and composition ratio, taking cis as 1, given): p-Br, 1/3,20; o-O2N, 1/0; o-Me, 1/1.04; o-MeO, 1/1.03. M.p. of cis-p-Br-C6H4CMe:C(CN)CO2Et (V) is 84°. Treating p-BrC6H4CH:C(CN)CO2Et with CH2N2 yielded trans-V, m.  $97.5^{\circ}$ . The ratio of isomers is discussed from its steric aspects. N.M.R. and uv spectra and isomerization of these compds. are discussed. 3-Cyano-4,6-bis(p-bromophenyl)-6-methyl-2-oxo-1,2,5,6tetrahydropyridine, m. 252-3°, was isolated from the condensation of p-BrC6H4Ac and NCCH2CO2Et.

IT 21771-73-3P

RN 21771-73-3 CAPLUS

CN 1H-Indole-2-carboxylic acid, 1-(acetyloxy)-3-methyl-, 2-acetylhydrazide (CA INDEX NAME)

```
L5
     ANSWER 57 OF 59 CAPLUS COPYRIGHT 2010 ACS on STN
ΑN
     1965:90729 CAPLUS Full-text
DN
     62:90729
OREF 62:16177d-f
     Synthetic studies in the indole field. VII. Synthesis of
     indole-2-carboxaldehydes
     Dambal, S. B.; Siddappa, S.
ΑU
CS
     Karnatak Univ., Dharwar
     Journal of the Indian Chemical Society (1965), 42(2), 112-14
SO
     CODEN: JICSAH; ISSN: 0019-4522
DT
     Journal
     English
LA
OS
    CASREACT 62:90729
GΙ
     For diagram(s), see printed CA Issue.
AΒ
     cf. CA 61, 16040c. Indole-2-carboxaldehydes were prepared by McFadyen-Stevens
     redns. of the corresponding indole-2-carboxylic acid derivs. Thus, 2.5 g.
     anhydrous K2CO3 added to I (R = CONHNHO2SC6H4Me-p, R1 = H, R2 = 5-Me) and 25
     ml. HOCH2CH2OH at 160°, the mixture poured after 5 min. onto 500 g. ice,
     filtered, and the precipitate crystallized (EtOH) gave 90\% I (R = CHO, R1 = H,
     R2 = 5-Me), m. 175-6°; 2,4-dinitrophenylhydrazine (DNP) derivative m. 285°.
     Similarly prepared were the following I (R = CHO) (R2, R2, m.p., % yield, and
     m.p. DNP derivative given): H, 7-Me, 190°, 45, 265°, Me, 5-Me, 140°, 90, 315°;
     and Me, 7-Me, 138°, 80, 276°. The following hydrazides I (R = CONHNH2) and
     their p-tosyl derivs. were prepared as intermediates (R1, R2, m.p., and m.p.
     of p-tolylsulfonyl derivative given): H, 5-Me, 249°, 251°; H, 7-Me, 261°,
     220°; Me, 5-Me, 264°, 236°; and Me, 7-Me 245°, 243°.
    1463-63-4P, Hydrazine, 1-[(5-methylindol-2-yl)carbonyl]-2-(p-
ΙT
     tolylsulfonyl) - 2784-23-8P, Hydrazine,
     1-[(3,7-dimethylindol-2-yl)carbonyl]-2-(p-tolylsulfonyl)-
     2784-24-9P, Hydrazine, 1-[(3,5-dimethylindol-2-yl)carbonyl]-2-(p-
     tolylsulfonyl) - 2898-94-4P, Hydrazine,
     1-[(7-methylindol-2-yl)carbonyl]-2-(p-tolylsulfonyl)-
     RL: PREP (Preparation)
        (preparation of)
     1463-63-4 CAPLUS
RN
     1H-Indole-2-carboxylic acid, 5-methyl-,
CN
     2-[(4-methylphenyl)sulfonyl]hydrazide (CA INDEX NAME)
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$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

RN 2784-23-8 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3,7-dimethyl-,
2-[(4-methylphenyl)sulfonyl]hydrazide (CA INDEX NAME)

RN 2784-24-9 CAPLUS

CN 1H-Indole-2-carboxylic acid, 3,5-dimethyl-, 2-[(4-methylphenyl)sulfonyl]hydrazide (CA INDEX NAME)

$$\text{Me} \overset{\text{H}}{\longrightarrow} \overset{\text{O}}{\longrightarrow} \text{NH-NH-} \overset{\text{O}}{\longrightarrow} \text{Me}$$

RN 2898-94-4 CAPLUS

CN 1H-Indole-2-carboxylic acid, 7-methyl-, 2-[(4-methylphenyl)sulfonyl]hydrazide (CA INDEX NAME)

$$\stackrel{\text{Me}}{\stackrel{\text{H}}{\longrightarrow}} \stackrel{\text{O}}{\stackrel{\text{C}}{\longrightarrow}} \text{NH-NH-} \stackrel{\text{O}}{\stackrel{\text{O}}{\longrightarrow}} \text{NH-NH-}$$

#### OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L5 ANSWER 58 OF 59 CAPLUS COPYRIGHT 2010 ACS on STN

AN 1964:23245 CAPLUS Full-text

DN 60:23245

OREF 60:4088h,4089a-c

TI Reaction of indole derivatives with thionyl and sulfuryl chlorides

AU Szmuszkovicz, Jacob

CS Upjohn Co., Kalamazoo, MI

SO Journal of Organic Chemistry (1964), 29(1), 178-84 CODEN: JOCEAH; ISSN: 0022-3263

DT Journal

LA Unavailable

OS CASREACT 60:23245

GI For diagram(s), see printed CA Issue.

AB Reaction of 1-methylindole-2-carboxylic acid, the corresponding methyl ester (I), and of Et indole-2-carboxylate with thionyl chloride afforded sulfinyl chlorides (II, III, and IV, resp.). Thionyl chloride and N,1-dimethylindole-2-carboxamide led to sulfide (V, R = CONHMe) and imide sulfoxide (VI). III was converted to several sulfinamides (VII) on treatment with amines. VII were oxidized with permanganate to sulfonamides (VIII). Treatment of III with hydrazine in the cold gave disulfide (IX, R = CO2Me) (X), which was transformed to IX (R = CONHNH2) on heating with hydrazine. Monosulfide (V, R = CO2Me), disulfide X, and trisulfide XI were obtained from the reaction of I with sulfur monochloride. Reaction of 1-methylindole-2-carboxylic acid hydrazide with sulfuryl chloride led to the dichloro compound (XII), and I with sulfuryl chloride afforded the tetrachloro compound (XIII) and the hexachloro compound (XIV).

IT 107225-63-8P, Hydrazine,

1,1'-[dithiobis[(1-methylindole-3,2-diyl)carbonyl]bis[1,2-diacetyl]-RL: PREP (Preparation)

(preparation of)

RN 107225-63-8 CAPLUS

CN Hydrazine, 1,1'-[dithiobis[(1-methylindole-3,2-diyl)carbonyl]]bis[1,2-

diacetyl- (7CI) (CA INDEX NAME)

#### OSC.G 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

ANSWER 59 OF 59 CAPLUS COPYRIGHT 2010 ACS on STN L5

ΑN 1956:77870 CAPLUS Full-text

50:77870 DN

OREF 50:14744g-i,14745a-b

Syntheses of antituberculous compounds. V. Derivatives of pyridine and indole

ΑU Kakimoto, Shichiro; Nishie, Jun

CS Hokkaido Univ., Sapporo

Japan. J. Tuberc. (1954), 2, 334-7 SO

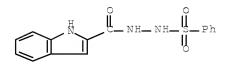
DT Journal

Unavailable LA

cf. C.A. 49, 1165g. A mixture of 0.4 g. 2-chloroisonicotinic acid, 0.1 g. Cu AB powder, and BuONa (prepared from 0.3 g. Na in 15 ml. BuOH) is refluxed 3 hrs., the solvent removed and the residue in H2O is acidified with dilute HCl to give 0.2 g. 2-butoxyisonicotinic acid (I), m.  $120^{\circ}$ . I (1.0 g.) is refluxed 2 hrs. with 6 ml. absolute EtOH containing 2 ml. concentrated H2SO4, and the solution poured into 30 ml. H2O, made alkaline with K2CO3 and extracted with Et20. The ether is evaporated and the residue refluxed 6 hrs. with 2 ml. 60% N2H4.H2O in 20 ml. EtOH to give after recrystn. from EtOH 0.6 g. 2butoxyisonicotinic acid hydrazide, m. 104°. To 10 g. NaNH2 in 20 ml. Decalin, 10 g. 4-methylpyridine is added and the mixture heated 10 hrs. at 140-50°. On cooling and treatment with water 8.5 g. 2-amino-4-methylpyridine (II), m. 102°, is obtained. II (1.0 g.) in 1 ml. AcOH refluxed 2 hrs. with 2 ml. Ac20 gives 1.0 g. 2-acetamido-4-methylpyridine (III), m. 104°. III (1.0 g.) in 100 ml. H2O containing 1.7 g. MgSO4 is oxidized with 1.5 g. KMnO4 under reflux, stirred 4 hrs. at  $60^{\circ}$ , the mixture is filtered, and the filtrate concentrated to 15 ml. and cooled. The oily substance deposited is filtered off and the filtrate acidified with AcOH. Purification of the precipitated material gives 0.5 g. 2-aminoisonicotinic acid (IV), m. above 300°; Et ester, m. 25° (crude), converted to 2-aminoisonicotinic acid hydrazide, m. 189°. 2-Indolecarboxylic acid (1.2 g.) in 45 ml. MeOH saturated with dry HCl at  $0^{\circ}$ , and left 12 hrs. gives 1.0 g. Me ester, m.  $148-9^{\circ}$ . The ester is converted to the hydrazide (V), m.  $225^{\circ}$  (decomposition). V (1.1 g.) in 9 ml. C5H5N is treated with 1.3 q. PhSO2C1 with cooling and allowed to stand 5 hrs. The mixture is evaporated to dryness in vacuo to give on recrystn. from 60% EtOH 7.5 g. 2indolecarboxylic acid benzenesulfonylhydrazide (VI), m. 231° (decomposition) A mixture of 0.5 g. VI, 0.35 g. Na2CO3, 0.25 g. thiosemicarbazide, and 5 ml. glycerol is heated 2 min. at  $130^{\circ}$ , cooled, and diluted with 10 ml. H2O to give 0.15 g. 2-indolecarboxaldehyde thiosemicarbazone, yellow needles, m. 231° (decomposition).

858213-13-5P, Hydrazine, ΙT 1-(2-indolylcarbonyl)-2-(phenylsulfonyl)-RL: PREP (Preparation) (preparation of) 858213-13-5 CAPLUS

CN 1H-Indole-2-carboxylic acid, 2-(phenylsulfonyl)hydrazide (CA INDEX NAME)



OSC.G THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

=> s 14 not 15

RN

29 L4 NOT L5 L6

=> dis 16 1-29 bib abs fhitstr

ANSWER 1 OF 29 CAPLUS COPYRIGHT 2010 ACS on STN L6

ΑN 2010:129736 CAPLUS Full-text

152:381375 DN

ΤI Investigation of interaction of benzoquinones and naphthoquinones with substituted hydrazides

Hassan, Alaa A.; Ibrahim, Yusria R.; Shawky, Ahmed M. ΑU

CS Department of Chemistry, Faculty of Science, Minia University, El-Minia, Egypt

Journal of Heterocyclic Chemistry (2010), 47(1), 118-124 SO CODEN: JHTCAD; ISSN: 1943-5193

PB John Wiley & Sons, Inc.

DT Journal

English LΑ

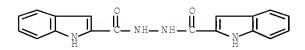
AΒ Nucleophilic attack of substituted hydrazides on C(2), C(3) of 2,3,5,6tetrachloro-1, 4-benzoquinone and 2,3-dichloro-1,4-naphthoquinone led to benzo[e][1,3,4]oxadiazines and benzo- as well as naphthoxadiazepines. On the other hand, hydrazides attacked 1,4-naphthoquinone-2,3-dicarbonitrile to form benzo[f]indazole-4,9-diones. A rationale for the conversions observed was presented.

188837-57-2F ΙT

> RL: SPN (Synthetic preparation); PREP (Preparation) (heterocyclization of benzoquinone and naphthoquinone with hydrazides)

RN 188837-57-2 CAPLUS

1H-Indole-2-carboxylic acid, 2-(1H-indol-2-ylcarbonyl)hydrazide (CA INDEX CN NAME)



RE.CNT 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L6
     ANSWER 2 OF 29 CAPLUS COPYRIGHT 2010 ACS on STN
ΑN
     2009:1262329 CAPLUS Full-text
DN
     151:470187
     Preparation of fused ring compounds as glucokinase activators
ΤI
     Yasuma, Tsuneo; Takakura, Nobuyuki
ΙN
     Takeda Pharmaceutical Company Limited, Japan
PA
SO
     PCT Int. Appl., 284pp.
     CODEN: PIXXD2
DT
     Patent
LA
     English
FAN.CNT 1
     PATENT NO.
                         KIND
                                DATE
                                            APPLICATION NO.
     WO 2009125873
                                20091015
                                            WO 2009-JP57625
PΤ
                         Α1
                                                                    20090409
         W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ,
             CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES,
             FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE,
             KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD,
             ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH,
             PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ,
             TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
         RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU,
             IE, IS, IT, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, SE, SI,
             SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN,
             TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM,
             ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
PRAI JP 2008-102691
                                20080410
                         Α
    MARPAT 151:470187
OS
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GΙ

The present invention aims to provide a glucokinase activator useful as a pharmaceutical agent such as an agent for the prophylaxis or treatment of diabetes, obesity and the like. The present invention provides a glucokinase activator containing a compound I [ring A = 6-membered ring (optionally further substituted); ring B = (un)substituted 5-7 membered N-containing heterocycle; W1, W2 = O, S, SO, SO2, NH, N(alkyl); R1 = (un)substituted Me, alkyl, cycloalkyl, aryl, heterocyclyl; R2 = (un)substituted alkyl, cycloalkyl; R3 = H, halo; with the proviso]. Over one-hundred compds. I were prepared and formulated. E.g., a multi-step synthesis of II, starting from 5-fluoro-2-nitrophenol and benzyl bromide, was given. Exemplified compds. I were tested

for GK activation (data given for representative compds. I). For example, II showed EC50 of 0.55  $\mu M$ .

IT 1191102-87-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of thiazolylindole derivs. as glucokinase activators for treating diabetes, obesity and the like)

RN 1191102-87-0 CAPLUS

CN Ethanedioic acid, 1-ethyl ester, 2-[2-[[5-[4-(methylsulfonyl)phenoxy]-7-(phenylmethoxy)-1H-indol-2-yl]carbonyl]hydrazide] (CA INDEX NAME)

# RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 3 OF 29 CAPLUS COPYRIGHT 2010 ACS on STN

AN 2009:988625 CAPLUS Full-text

DN 151:358598

TI Synthesis and antimicrobial activity of some 5-substituted-3-phenyl-N $\beta$ -(substituted-2-oxo-2H-pyrano[2,3-b]quinoline-3-carbonyl)-1H-indole-2-carboxyhydrazide

AU Mathada, Basavarajaiah Suliphal Devara; Mathada, Mruthyunjayaswamy Bennikallu Hire

CS Department of Studies and Research in Chemistry, Gulbarga University, Gulbarga, 585106, India

SO Chemical & Pharmaceutical Bulletin (2009), 57(6), 557-560 CODEN: CPBTAL; ISSN: 0009-2363

PB Pharmaceutical Society of Japan

DT Journal

LA English

OS CASREACT 151:358598

GΙ

$$\mathbb{R}^{1}$$
  $\mathbb{R}^{1}$   $\mathbb{R}^{2}$ 

AB Et  $3-oxo-3-\{2-[(5-substituted-3-phenyl-1H-indol-2-yl)carbonyl]$ hydrazinyl}propanoates I (R=Cl, OMe) were synthesized according to the literature method. These on further reaction with substituted-2-hydroxy-3-formyl-quinolines II (R=H,7-Br,7-CH3,9-CH3,9-OCH3) yielded 5-substituted-N $\beta$ -(2-oxo-2H-pyrano[2,3-b]quinoline-3-carbonyl)-3-phenyl-1H-indole-2-carbohydrazides III (R1=Cl,OCH3; R2=H,7-Br,7-CH3,9-CH3,9-OCH3). Structures of the all the newly synthesized compds. were confirmed by spectral data. All these compds. have been screened for their antibacterial activity against Staphylococcus aureus, Escherichia coli and Bacillus subtilus, antifungal activity against Aspergillus niger and Candida albicans and antituberculosis activity against Mycobacterium tuberculosis (H37Rv).

IT 1187440-56-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation, antimicrobial and antituberculosis activity of pyranoquinolineindolecarboxyhydrazides via heterocyclization of indolylcarbonylhydrazinyl propanoates with hydroxyformyl quinolines)

RN 1187440-56-7 CAPLUS

CN 2H-Pyrano[2,3-b]quinoline-3-carboxylic acid, 2-oxo-,

2-[(5-chloro-3-phenyl-1H-indol-2-yl)carbonyl]hydrazide (CA INDEX NAME)

# RE.CNT 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 4 OF 29 CAPLUS COPYRIGHT 2010 ACS on STN

AN 2009:875187 CAPLUS Full-text

DN 151:358620

TI Synthesis of novel pyrazole derivatives and evaluation of their

antidepressant and anticonvulsant activities

- AU Abdel-Aziz, Mohamed; Abuo-Rahma, Gamal El-Din A.; Hassan, Alaa A.
- CS Department of Medicinal Chemistry, Faculty of Pharmacy, Minia University, Minia, Egypt
- SO European Journal of Medicinal Chemistry (2009), 44(9), 3480-3487 CODEN: EJMCA5; ISSN: 0223-5234
- PB Elsevier Masson SAS
- DT Journal
- LA English
- OS CASREACT 151:358620

GΙ

Substituted carboxylic acid hydrazides RCONHNH2 (R = Ph, 2-thienyl, 2-pyridyl, AΒ 2-indolyl) (I) reacted with tetracyanoethylene in DMF with the formation of diacylhydrazines RCONHNHCOR and 5-aminopyrazole-3,3,4-tricarbonitriles II. the other hand, I reacted with di-Et (E)-2,3-dicyanobutenedioate to give oxadiazoles III and pyrazolones IV. The prepared diacylhydrazines and pyrazoles II and IV were evaluated for their antidepressant activity using tail suspension behavioral despair test and anticonvulsant activity against PTZ induced seizures in mice. Diacylhydrazines RCONHNHCOR (R = Ph, 2-thienyl) induced markedly antidepressant activity compared to imipramine, and their activities as antidepressants nearly equal twice the activity of imipramine at 10 mg/kg-1 dose level. On the other hand, IV (R = Ph, 2-thienyl, 2-indolyl) exhibited remarkable protective effect against clonic seizures induced by i.p. injection of PTZ at a dose level of 20 mg/kg-1. The results of anticonvulsant activity are nearly close to phenobarbital sodium at a dose level of 30 mg/kgland more potent than phenytoin sodium at a dose level of 30 mg/kg-1.

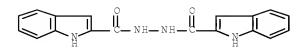
IT 188837-57-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(synthesis of diacylhydrazines and (acyl)(amino)tricyanopyrazoles from hydrazides and tetracyanoethylene and evaluation of their antidepressant and anticonvulsant activities)

RN 188837-57-2 CAPLUS

CN 1H-Indole-2-carboxylic acid, 2-(1H-indol-2-ylcarbonyl)hydrazide (CA INDEX NAME)



RE.CNT 58 THERE ARE 58 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 5 OF 29 CAPLUS COPYRIGHT 2010 ACS on STN

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2008:1533886 CAPLUS Full-text
ΑN
DN
     150:56394
TΙ
     Synthesis and compositions of deoxycholic acid for the removal of fat
     deposits
     Moriarty, Robert M.; David, Nathaniel E.; Mahmood, Nadir Ahmeduddin
IN
     Kythera Biopharmaceuticals, Inc., USA
PA
SO
     U.S. Pat. Appl. Publ., 31pp.
     CODEN: USXXCO
DT
     Patent
LA
     English
FAN.CNT 2
     PATENT NO.
                  KIND
                               DATE
                                         APPLICATION NO.
                               -----
     US 20080318870
                       A1
                               20081225 US 2008-35339
PΙ
                                                                  20080221
     AU 2008265721
                        A1
                               20081224 AU 2008-265721
                                                                 20080618
     CA 2690841
                        A1
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                    A2
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                        A3
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            CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES,
            FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE,
            KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD,
            ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH,
            PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM,
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                            20100322
                                          KR 2009-726748
     KR 2010031512
                    A
                                                                  20080618
                        A
                              20100409 IN 2008-DE2264
     IN 2008DE02264
                                                                 20080926
    CN 101711254
                              20100519 CN 2008-80019212 20091207
                        A
PRAI US 2007-945035P P US 2007-956875P P US 2008-35339 A
                             20070619
                             20070820
20080221
20080425
                        A
     GB 2008-7615
     US 2008-153446 A 20080516
WO 2008-US67391 W 20080618
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
     Deoxycholic acid is prepared, and compns. for the removal of fat deposits are
     described. The bile acids are not isolated from mammalian and microbial
     organisms and are free of toxins and contaminants such as pyrogenic moieties.
     Thus, deoxycholic acid is prepared starting from hydrocortisone in several
     steps.
ΙΤ
     274934-35-9, S.A.0204
     RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
        (co-drug; synthesis and compns. of deoxycholic acid for removal of fat
        deposits)
RN
     274934-35-9 CAPLUS
     1H-Indole-2-carboxylic acid, 2-[1-oxo-2-[(2-phenoxyacetyl)amino]-3-
     phenylpropyl]hydrazide (CA INDEX NAME)
```

Absolute stereochemistry.

L6 ANSWER 6 OF 29 CAPLUS COPYRIGHT 2010 ACS on STN

AN 2008:1533885 CAPLUS Full-text

DN 150:56393

TI Synthesis and compositions of deoxycholic acid for the removal of fat deposits

IN Moriarty, Robert M.; David, Nathaniel E.; Mahmood, Nadir Ahmeduddin;
 Prasad, Achampeta Rathan; Swaringen, Roy A., Jr.; Reid, John Gregory;
 Sahoo, Akhila Kumar

PA Kythera Biopharmaceuticals, Inc., USA

SO PCT Int. Appl., 87pp.

CODEN: PIXXD2

GB 2008-7615

DT Patent

LA English

FAN.CNT 2

FAN.							KIND DATE			APPLICATION NO.						DATE		
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												, AP,						
	US 20080318870									2008-								
	_	2452				A 200903					GB 2	2008-	7615			2	0800	425
	GB	2452	358			В 20091209										00000405		
	GB	2460. 2009	350			A		2009										
										US 2008-153446								
		2008								AU 2008-265721 CA 2008-2690841								
		2690				A1												
	EP	2069.		DE	DC	A2						2008-					0080	
		K:										ES,						
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	CM TIA	20083	1125	Δ		Δ		2010				2008-					0000	
PRAT	IIS	2007	-945	1 035P		P		2017			CIV	2000	0001	7212			0031	201
		2007						2007										
		2008						2008										

20080425

Α

US 2008-153446 A 20080516 WO 2008-US67391 W 20080618

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OS CASREACT 150:56393; MARPAT 150:56393

AB Deoxycholic acid is prepared, and compns. for the removal of fat deposits are described. The bile acids are not isolated from mammalian and microbial organisms and are free of toxins and contaminants such as pyrogenic moieties. Thus, deoxycholic acid is prepared from  $9\alpha$ -hydroxyandrost-4-ene-3,17-dione in several steps. The synthetic and bovine-derived sodium deoxycholate demonstrated similar cytolytic activity against human adipocytes.

IT 274934-35-9, S.A.0204

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (co-drug; synthesis and compns. of deoxycholic acid for removal of fat deposits)

RN 274934-35-9 CAPLUS

CN 1H-Indole-2-carboxylic acid, 2-[1-oxo-2-[(2-phenoxyacetyl)amino]-3-phenylpropyl]hydrazide (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 7 OF 29 CAPLUS COPYRIGHT 2010 ACS on STN

AN 2008:1419268 CAPLUS Full-text

DN 149:571286

TI Diacylhydrazine ligands for modulating expression of transgenes via chimeric ecdysone receptor complexes

IN Hormann, Robert Eugene; Potter, David W.; Chortyk, Orestes; Tice, Colin M.; Carlson, Glenn Richard; Meyer, Andrew; Opie, Thomas R.

PA Intrexon Corporation, USA

SO U.S., 84pp. CODEN: USXXAM

DT Patent

LA English

FAN.CNT 2

FAN.	CNT	2																
	PATENT NO.				KIN	D	DATE			APPLICATION NO.						DATE		
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	WO	2004	0789	24		A2		2004	0916		WO 2	004-	US59	12		2	00402	227
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		W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
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GQ, GW, ML, MR, NE, SN, TD, TG EP 1601642 A2 20051207 EP 2004-715710 20040227 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK JP 2007524595 Τ 20070830 JP 2006-508884 20040227 US 20080064741 Α1 20080313 US 2007-841568 20070820 US 7563928 В2 20090721 PRAI US 2003-455741P Ρ 20030228 US 2004-787906 Α 20040226 WO 2004-US5912 Α 20040227

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OS MARPAT 149:571286

The present invention relates to non-steroidal diacylhydrazine ligands AΒ AC(:X)N(G)N(E)C(:X')B [A = (substituted)Ph; B = A, (substituted)-6-membered heterocycle, 5-benzimidazolyl, 1H-indazole-3-yl, 1H-indole-2-yl, etc.; E = (substituted)-C4-10-branched alkyl; G = H, CN; X,X' = O, S] for use in nuclear receptor-based inducible gene expression systems. A method to modulate exogenous gene expression is disclosed in which an ecdysone receptor complex comprising (1) a DNA binding domain, (2) a ligand binding domain, (3) a transactivation domain, (4) and a diacylhydrazine ligand is contacted with a DNA construct comprising the exogenous gene and a response wherein binding of the ecdysone receptor complex to the response element in the presence of the ligand results in activation or suppression of the gene. A method for synthesizing the diacylhydrazines is further disclosed. Thus, 2-Et-3-MeObenzoic acid N'-t-Bu hydrazide was reacted with NaH, then pyrazine-2carboxylic acid pentafluorophenyl ester was added to prepare pyrazine-2carboxylic acid N-t-Bu-N'-(2-Et-3-MeO-benzoyl)hydrazide. Many diacylhydrazines were synthesized and their water solubility and cell permeation coeffs. were determined These ligands were tested in mammalian cells expressing an ecdysone receptor complex and a reporter gene. The receptor complex contained a first protein containing domains from spruce budworm ecdysone receptor fused to a GAL4 DNA binding domain and a second protein containing domains from human RXReta and Locusta USP fused to the VP16 transactivation domain. The reporter gene construct consisted of GAL4 response elements fused to a synthetic TATA minimal promoter upstream of a luciferase gene. Similar expts. were conducted in vivo (in mice).

IT 755012-93-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

RN 755012-93-2 CAPLUS

CN 1H-Indole-2-carboxylic acid, 1-methyl-,

1-(1,1-dimethylethyl)-2-(2-ethyl-3-methoxybenzoyl)hydrazide (CA INDEX NAME)

OSC.G 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
RE.CNT 205 THERE ARE 205 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L6 ANSWER 8 OF 29 CAPLUS COPYRIGHT 2010 ACS on STN
- AN 2008:1223845 CAPLUS Full-text
- DN 150:423143
- TI Intramolecular cyclization of N'-chloroacetylindole hydrazide
- AU Sharma, Prabhuodeyara M. Veeresha
- CS Department of Chemistry, Gulbarga University, Gulbarga, 585 106, India
- SO Asian Journal of Chemistry (2008), 20(8), 6597-6599 CODEN: AJCHEW; ISSN: 0970-7077
- PB Asian Journal of Chemistry
- DT Journal
- LA English
- OS CASREACT 150:423143
- In this paper, some active class of compds. were synthesized, which the linked to indole nucleus. Various Et indole-2-carboxylates (1a-c) were prepared according to the Fischer method. These esters on reaction with hydrazine hydrate in ethanol yielded substituted indole-2-carboxyhydrazides. Hydrazides on reaction with chloroacetyl chloride in dry dioxane at reflux temperature to get N'-chloroacetylindole hydrazide. The N'-chloroacetylindole hydrazide compds. on reaction sodium hydroxide in DMF at reflux temperature with constant stirring gave 5,6-dihydro-5-substituted-3-phenylindole-1,3,4-oxadiazin-5-one.
- IT 1142922-67-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of indolyl-oxadiazinone derivs. by alkylation of indole-hydrazides with chloroacetyl chloride followed by intramol. cyclization of N'-chloroacetylindole hydrazides)

- RN 1142922-67-5 CAPLUS
- CN 1H-Indole-2-carboxylic acid, 5-bromo-3-phenyl-, 2-(2-chloroacetyl)hydrazide (CA INDEX NAME)

# RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L6 ANSWER 9 OF 29 CAPLUS COPYRIGHT 2010 ACS on STN
- AN 2008:1066574 CAPLUS Full-text
- DN 152:64550
- TI N-Acetyl-2-hydroxy-N'-[methoxy(1-methylindol-2-yl)methyl]benzohydrazide
- AU Yehye, Wagee A.; Rahman, Noorsaadah Abdul; Ariffin, Azhar; Ng, Seik Weng
- CS Department of Chemistry, University of Malaya, Kuala Lumpur, 50603, Malay.
- SO Acta Crystallographica, Section E: Structure Reports Online (2008), E64(9), o1824

CODEN: ACSEBH; ISSN: 1600-5368

URL: http://journals.iucr.org/e/issues/2008/09/00/tk2298/tk2298.pdf

- PB Wiley-Blackwell
- DT Journal; (online computer file)
- LA English
- AB In the crystal structure of the title Schiff-base, C20H21N3O4, the amino group forms an N-H...O hydrogen bond to the acetyl group of an adjacent mol., forming a zigzag chain. The 2-hydroxy group is internally hydrogen bonded to the amido group though an O-H...O hydrogen bond. Crystallog. data are given.
- IT 1199807-02-7P

#### 10/591.895

RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (crystal structure of)

RN 1199807-02-7 CAPLUS

CN Benzoic acid, 2-hydroxy-, 2-acetyl-2-[methoxy(1-methyl-1H-indol-2-yl)methyl]hydrazide (CA INDEX NAME)

#### OSC.G 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)

L6 ANSWER 10 OF 29 CAPLUS COPYRIGHT 2010 ACS on STN

AN 2008:978960 CAPLUS Full-text

DN 150:373771

TI Reactions of substituted carbohydrazides with electron-poor olefins

AU Hassan, Alaa A.; Ibrahim, Yusria R.; Shawky, Ahmed M.

CS Chemistry Department, Faculty of Sciences, El-Minia University, El-Minia, Egypt

SO Zeitschrift fuer Naturforschung, B: Chemical Sciences (2008), 63(8), 998-1004
CODEN: ZNBSEN; ISSN: 0932-0776

Verlag der Zeitschrift fuer Naturforschung

DT Journal

PB

LA English

OS CASREACT 150:373771

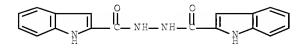
AB Substituted carbohydrazides RCONHNH2 (I, R = Ph, 2-thienyl, 2-furyl, 2-pyridyl, 2-indolyl) reacted with ethenetetracarbonitrile in DMF with formation of diacylhydrazines RCONHNHCOR and 5-amino-1-substituted pyrazole-3,3,4-tricarbonitriles. On the other hand, I reacted with di-Et (E)-2,3-dicyanobutenedioate to give oxadiazinone and pyrazolone derivs.

IT 188837-57-2P

RL: SPN (Synthetic preparation); PREP (Preparation) (reactions of substituted carbohydrazides with electron-poor olefins)

RN 188837-57-2 CAPLUS

CN 1H-Indole-2-carboxylic acid, 2-(1H-indol-2-ylcarbonyl)hydrazide (CA INDEX NAME)



OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

RE.CNT 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 11 OF 29 CAPLUS COPYRIGHT 2010 ACS on STN

AN 2008:560704 CAPLUS Full-text

DN 150:214208

TI Microwave assisted synthesis of indole and furan derivatives possessing good anti-inflammatory and analgesic activity

- AU Sondhi, Sham M.; Jain, Shubhi; Rani, Reshma; Kumar, Ashok
- CS Department of Chemistry, Indian Institute of Technology Roorkee, Roorkee, 247667, India
- SO Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (2007), 46B(11), 1848-1854 CODEN: IJSBDB; ISSN: 0376-4699
- PB National Institute of Science Communication and Information Resources
- DT Journal
- LA English
- OS CASREACT 150:214208

GΙ

- AB Indole-2-carboxylic acid on condensation with benzene sulfonyl hydrazide and p-toluene sulfonyl hydrazide gave the corresponding products. 1H-Tetrazole-5-acetic acid, hydantoin-5-acetic acid, orotic acid, 5-bromo nicotinic acid and indole 2-carboxylic acid have been condensed with furfuryl amine to give corresponding products, e.g., I and II, whereas condensation of succinic acid and adipic acid with furfuryl amine gave the corresponding compds. 3,5-Pyrazole dicarboxylic acid, 4,5-imidazole dicarboxylic acid and 3-carboxy-1,4-dimethyl pyrrole-2-acetic acid on condensation with furfuryl amine gave the corresponding compds., e.g., III. All the prepared compds. have been screened for their anti-inflammatory and analgesic activities. Compds. I and III exhibit good anti-inflammatory and I, II and III exhibited good analgesic activity.
- IT 500316-12-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

 $(\mbox{microwave irradiation-assisted preparation, anti-inflammatory and analgesic}$ 

activities of indole and furan derivs. bearing various heterocyclic substituents)

- RN 500316-12-1 CAPLUS
- CN 1H-Indole-2-carboxylic acid, 2-[(4-methylphenyl)sulfonyl]hydrazide (CA INDEX NAME)

OSC.G 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)
RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 12 OF 29 CAPLUS COPYRIGHT 2010 ACS on STN

AN 2008:508616 CAPLUS Full-text

DN 148:495789

TI Preparation of indole compounds as glucokinase activators for treating diabetes, obesity and the like

IN Yasuma, Tsuneo; Ujikawa, Osamu; Itoh, Masahiro; Aoki, Kazuko

PA Takeda Pharmaceutical Co., Ltd., Japan

SO U.S. Pat. Appl. Publ., 239 pp. CODEN: USXXCO

DT Patent

LA English

FAN.CNT 1

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			MG,	MK,	MN,	MW,	MX,	MY,	MZ,	NΑ,	NG	, NI,	NO,	NZ,	OM,	PG,	PH,	PL,		
			PT,	RO,	RS,	RU,	SC,	SD,	SE,	SG,	SK	, SL,	SM,	SV,	SY,	ΤJ,	TM,	TN,		
			TR,	TT,	TZ,	UA,	UG,	US,	UΖ,	VC,	VN.	, ZA,	ZM,	ZW						
		RW:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	, ES,	FI,	FR,	GB,	GR,	HU,	ΙE,		
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	KR	2009	0682	92		Α		2009	0625		KR 2009-710151 NO 2009-1948									
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	CN	1015	7335	7		Α		2009	1104		CN 2007-80047066									
PRAI	JP	2006	-285			Α		20061019												
	US	2007	<b>-9</b> 07	929		А3		2007	1018											
	WO	2007	-JP7			$\mathbb{W}$		2007	1018			~~ -								

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OS MARPAT 148:495789

$$R^{10}$$
 $R^{11}$ 
 $R^{1}$ 
 $R^{2}$ 
 $R^{3}$ 
 $R^$ 

The purpose of the present invention is to provide a glucokinase activator useful as a pharmaceutical agent such as an agent for the prophylaxis or treatment of diabetes, obesity and the like. The present invention provides a glucokinase activator containing a compound represented by the formula I (wherein R1 is H or halo; R2 is a substituted thiazole ring, etc.; R3 is (un)substituted heterocyclic or C6-14 aryl group; R9, R10 and R11 are independently H, halo, (un)substituted C1-6 alkyl, etc.; W is O or NR8 wherein R8 is H, (un)substituted C1-6 alkyl or C3-10 cycloalkyl), or a salt thereof or a prodrug thereof. Synthetic methods for preparing I are exemplified. Example compound II, prepared from N-[2-[5-(chloromethyl)-1,3-thiazol-2-yl]-1H-indol-7-yl]-N-methylthiophene- 2-sulfonamide and N,N-dimethyl-2-(piperazin-1-yl)acetamide, had an EC50 of 0.21  $\mu$ M in assay to determine GK activator activity.

IT 913284-26-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of indole compds. as glucokinase activators for treating diabetes, obesity and the like)

RN 913284-26-1 CAPLUS

CN Ethanedioic acid, 1-ethyl ester, 2-[2-[[7-[methyl(2-thienylsulfonyl)amino]-1H-indol-2-yl]carbonyl]hydrazide] (CA INDEX NAME)

RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD

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ALL CITATIONS AVAILABLE IN THE RE FORMAT
L6
    ANSWER 13 OF 29 CAPLUS COPYRIGHT 2010 ACS on STN
ΑN
    2007:1396515 CAPLUS Full-text
DN
    148:55086
    Preparation of pyrrolopyrimidine compounds as protein kinase inhibitors
TI
    Brain, Christopher Thomas; Thoma, Gebhard; Sung, Moo Je
ΙN
    Novartis A.-G., Switz.; Novartis Pharma G.m.b.H.; Astex Therapeutics Ltd
PA
    PCT Int. Appl., 213 pp.
SO
    CODEN: PIXXD2
DT
    Patent
LA
    English
FAN.CNT 1
    PATENT NO.
                   KIND DATE APPLICATION NO.
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                                         _____
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                      ____
                    A2
    WO 2007140222
                                        WO 2007-US69595
                              20071206
                                                               20070524
PΙ
                           20080807
    WO 2007140222
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            CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB,
            GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM,
            KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG,
            MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT,
            RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR,
            TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
        RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
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            BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW,
            GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
            BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA
                             20071206 AU 2007-267645
    AU 2007267645
                       A1
                                                                20070524
    CA 2652044
                                                                20070524
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CA 2652044 A1 20071206 CA 2007-2652044 20070524 EP 2029145 A2 20090304 EP 2007-811927 20070524 R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS

JΡ	2009538341	T	20091105	JΡ	2009-512291	20070524
ZA	2008009382	A	20100127	ZA	2008-9382	20081103
IN	2008DN09406	A	20090327	IN	2008-DN9406	20081110
MX	2008015076	A	20090305	MX	2008-15076	20081126
CN	101594871	A	20091202	CN	2007-80019357	20081126
KR	2009014219	A	20090206	KR	2008-731411	20081224
US	20090318441	A1	20091224	US	2009-302223	20090824
		_				

PRAI US 2006-808605P P 20060526
WO 2007-US69595 W 20070524

OS CASREACT 148:55086; MARPAT 148:55086

GΙ

The present application describes organic compds. that are useful for the treatment, prevention and/or amelioration of diseases, particularly pyrrolopyrimidine compds. I [A = N or CR5 (wherein R5 = H or alkyl); R2, R3 = H, OH, alkyl, etc.; R4 = H, alkyl, cycloalkyl, etc.; when the bond between X and Y is a single bond, X = CR6R7, NR8, NR8 or C(O), and Y = CR9C10 or C(O); when the bond between X and Y is a double bond, X = N or CR11, and Y = CR12; R6, R7 = aryl, heteroaryl, alkyl, etc.; R8 = H, alkyl, cycloalkyl; R9, R10 = H, alkyl, cycloalkyl; R11, R12 = halo, H, alkyl, etc.] which inhibit protein kinases. The organic compds. are useful in treating proliferative disease. Over 300 compds. I were prepared For example, Pd-catalyzed coupling of 1-[4-(4-aminophenyl)piperazin-1-yl]ethanone with 2-chloro-7-(1-ethylpropyl)-7H-pyrrolo[2,3-d]pyrimidine afforded II which showed IC50 of <10 μM against CDK2/cyclin-A.

IT 959798-96-0P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of pyrrolopyrimidines as protein kinase inhibitors useful in treatment and prevention of diseases as well as in combination therapy)

RN 959798-96-0 CAPLUS

CN 7H-Pyrrolo[2,3-d]pyrimidine-6-carboxylic acid, 7-cyclopentyl-2-[[5-[4-[(1,1-dimethylethoxy)carbonyl]-1-piperazinyl]-2-pyridinyl]amino]-5-methyl-, 2-acetylhydrazide (CA INDEX NAME)

OSC.G 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD (8 CITINGS)

L6 ANSWER 14 OF 29 CAPLUS COPYRIGHT 2010 ACS on STN

AN 2007:1393179 CAPLUS Full-text

DN 149:556493

TI Synthesis of some new 5-fluoro/chloro/bromo-N'-(4-aryl-1, 3-thiazol-2-yl)-1H-indole-2-carbohydrazide derivatives as possible antifungal and antibacterial agents

AU Ashalatha, B. V.; Narayana, B.; Raj, K. K. Vijaya; Kumari, N. Suchetha

CS Department of Post-Graduate Studies and Research in Chemistry, Mangalore

University, Mangalagangothri, 574 199, India

- SO Journal of Pharmacology and Toxicology (2006), 1(6), 552-558 CODEN: JPTOB4; ISSN: 1816-496X
- PB Academic Journals
- DT Journal
- LA English
- OS CASREACT 149:556493

GΙ

AB A series of 5-fluoro/chloro/bromo-N'-(4-aryl-1,3-thiazol-2-yl)-1H-indole-2-carbohydrazide derivs., e.g., I (R = F, Br, Cl), was prepared by treating corresponding 5-fluoro/chloro/bromo thiosemicarbazides with aromatic acyl bromides. The newly synthesized compds. were characterized by anal. and spectral data. All the compds. were screened for antifungal and antibacterial activities. Most of the compds. exhibited promising antimicrobial activity.

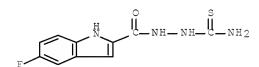
Ι

IT 1082056-80-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation, antifungal and antibacterial activities of arylthiazolyl(indole)carbohydrazide derivs.)

- RN 1082056-80-1 CAPLUS
- CN 1H-Indole-2-carboxylic acid, 5-fluoro-, 2-(aminothioxomethyl)hydrazide (CA INDEX NAME)



OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS) RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L6 ANSWER 15 OF 29 CAPLUS COPYRIGHT 2010 ACS on STN
- AN 2007:1188032 CAPLUS Full-text
- DN 148:54830
- TI Design, synthesis and cytotoxic activity of novel 1-aroyl-4-(2-chloroethyl)semicarbazides
- AU El-Sadek, M. E.; Aboukull, M. E.; El-Sabbagh, O. I.; Shallal, H. M.
- CS Department of Medicinal Chemistry, Faculty of Pharmacy, Zagazig University, Zagazig, Egypt

## 10/591.895

SO Pharmaceutical Chemistry Journal (2007), 41(4), 188-192 CODEN: PCJOAU; ISSN: 0091-150X

PB Springer DT Journal LA English

OS CASREACT 148:54830

GΙ

$$\stackrel{\text{MeO}}{\longleftarrow} \stackrel{\text{N}}{\longleftarrow} \stackrel{\text{H}}{\longleftarrow} \stackrel{\text{H}}{\longleftarrow} \stackrel{\text{H}}{\longleftarrow} \stackrel{\text{C1}}{\longleftarrow} \text{II}$$

AB A series of aroyl derivs. of 4-(2-chloroethyl) semicarbazide were designed and synthesized to explore their antiproliferative activity against human brain carcinoma (U251) and human liver carcinoma (Hepg2) cell lines. The synthesized compds. were characterized by elemental analyses and spectroscopic data. It was established that compds. in which semicarbazide fragments are substituted with a (2-indolyl)carbonyl moiety showed a higher cytotoxic activity than the corresponding benzoyl derivs. 1-[(5-Benzyloxy-1H-indol-2-yl)carbonyl]-4-(2-chloroethyl)semicarbazide (I) showed the highest cytotoxic activity against Hepg2 (IC50= 21  $\mu\text{g/mL}$ ), while 4-(2-chloroethyl)-1-[(5-methoxy-1H-indol-2-yl)carbonyl]semicarbazide (II) was the most active compound against U251 (IC50 = 8  $\mu\text{g/mL}$ ).

IT 960157-39-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation, antiproliferative activity, and SAR of aroyl(chloroethyl)semicarbazides)

RN 960157-39-5 CAPLUS

CN 1H-Indole-2-carboxylic acid, 2-[[(2-chloroethyl)amino]carbonyl]hydrazide (CA INDEX NAME)

RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L6 ANSWER 16 OF 29 CAPLUS COPYRIGHT 2010 ACS on STN
- AN 2006:1258655 CAPLUS Full-text
- DN 146:350573
- TI Application of linear discriminant analysis in the virtual screening of antichangasic drugs through trypanothione reductase inhibition
- AU Prieto, Julian J.; Talevi, Alan; Bruno-Blanch, Luis E.
- CS Medicinal Chemistry, Department of Biological Sciences, Exact Sciences College, La Plata National University (UNLP), Buenos Aires, B1900AVV, Argent.
- SO Molecular Diversity (2006), 10(3), 361-375 CODEN: MODIF4; ISSN: 1381-1991
- PB Springer
- DT Journal
- LA English
- We have performed virtual screening to identify new lead trypanothione AB reductase inhibitor (TRI) compds. enzyme present in Tripanozoma cruzi, the agent responsible of Chagas disease. From a training set of 58 compds., linear discriminant anal. (LDA) was performed using 2D and 3D descriptors as discriminating variables in order to find out which function of descriptors characterizes the active TRI. The values of the statistical parameters F -Snedecor and Wilk's  $\lambda$  for the discriminant function (DF) showed good statistical significance, as long as the rate of success in the prediction for both the training and the test set: 91.38% and 88.63%, in that order. Internal validation through the Leave - Group - Out methodol. was performed with good results, assuring the stability of the DF. Afterwards, the DF was applied in virtual screening of 422,367 compds. The optimum range of values of octanol - water partition coefficient for a compound to develop trypanothione reductase inhibition was applied as a second filtering criteria. 739 Structurally heterogeneous drugs of the virtual library were selected as promissory TRI.
- IT 883007-63-4

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(application of linear discriminant anal. in virtual screening of antichangasic drugs through trypanothione reductase inhibition)

- RN 883007-63-4 CAPLUS
- CN 1H-Indole-2-carboxylic acid, 5-(trifluoromethoxy)-, 2-[[[4-(trifluoromethoxy)phenyl]amino]carbonyl]hydrazide (CA INDEX NAME)

- OSC.G 10 THERE ARE 10 CAPLUS RECORDS THAT CITE THIS RECORD (10 CITINGS)
- RE.CNT 57 THERE ARE 57 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT
- L6 ANSWER 17 OF 29 CAPLUS COPYRIGHT 2010 ACS on STN
- AN 2006:1198312 CAPLUS Full-text
- DN 147:343985
- TI Synthesis and biological activity of novel 4-/5-/6-/7-nitro-N'-(4-aryl-1,3-thiazol-2-yl)-1H-indole-2-carbohydrazide derivatives
- AU Ashalatha, B. V.; Narayana, B.; Kumari, N. Suchetha
- CS Department of Post-Graduate Studies and Research in Chemistry, Mangalore

University, Mangalagangotri, India

SO Phosphorus, Sulfur and Silicon and the Related Elements (2006), 181(12), 2785-2795

CODEN: PSSLEC; ISSN: 1042-6507

PB Taylor & Francis, Inc.

DT Journal

LA English

OS CASREACT 147:343985

AB New 4-/5-/6-/7-nitro-N'-(4-aryl-1,3-thiazol-2-yl)-1H-indole-2- carbohydrazides were prepared by treating resp. nitro-indole thiosemicarbazide with aromatic acylbromides. The newly synthesized compds. were characterized by anal. and spectral data. The compds. were also screened for antifungal and antibacterial activity. Some of the compds. exhibited promising antimicrobial activity.

IT 948914-01-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation, antifungal and antibacterial activities of nitro-(aryl-thiazolyl)-indole hydrazide derivs. starting from nitro-indole-hydrazides and potassium thiocyanate via cyclization of thiosemicarbazides with aromatic acyl-bromides)

RN 948914-01-0 CAPLUS

CN 1H-Indole-2-carboxylic acid, 4-nitro-, 2-(aminothioxomethyl)hydrazide (CA INDEX NAME)

OSC.G 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
RE.CNT 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 18 OF 29 CAPLUS COPYRIGHT 2010 ACS on STN

AN 2006:1122595 CAPLUS Full-text

DN 145:454930

TI Preparation of indoles and related compounds as glucokinase activators

IN Yasuma, Tsuneo; Ujikawa, Osamu; Iwata, Hidehisa

PA Takeda Pharmaceutical Company Limited, Japan

SO PCT Int. Appl., 379pp.

CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

	PA:	TENT	NO.			KIND		DATE		APPLICATION NO.							DATE			
							_									_				
ΡI	WO 200 <b>6</b> 112549							2006	1026		WO 2	006-	20060420							
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			GE,	GH,	GM,	HR,	ΗU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KM,	KN,	KP,	KR,		
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             CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
             GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
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     CA 2605778
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     US 20090247746
                                            US 2007-918884
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                                                                    20071107
                          Α1
PRAI JP 2005-123018
                          Α
                                20050420
     JP 2005-359656
                          Α
                                20051213
     WO 2006-JP308790
                          W
                                20060420
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
    MARPAT 145:454930
GΙ
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Ι

$$R3$$
  $Y$   $W$   $A$   $N$   $R2$ 

AB Title compds. I [ring A = (un)substituted 6-membered ring; W = O, S(O)m, CR5R6, etc.; m = 0-2; R5, R6 = H, alkyl; Y = bond, CO, S(O)p, etc.; p = 0-2; R3 = (un)substituted hydrocarbon, (un)substituted hydroxy; (un)substituted mercapto, etc.; Z = bond, CO, O, etc.; R1 = H, halo, (un)substituted hydrocarbon, etc.; R2 = H, (un)substituted hydrocarbon, (un)substituted hydroxy, etc.; R1 and R2 may combine to form (un)substituted cycle.], salts or prodrugs thereof were prepared For example, treatment of 7-[(2-thienylsulfonyl)amino]-1H-indole-2- carboxamide, e.g., prepared from 7-[(2-thienylsulfonyl)amino]-1H-indole-2- carboxylic acid Et ester in 2 steps, with trifluoroacetic anhydride, followed by reaction with 2-aminoethanethiol afforded compound II. In glucokinase (GK) activation assays, the EC50 value of compound II was 0.11 μM. Compds. I are claimed useful for the treatment of diabetes and obesity.

IT 913284-17-0P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of indoles and related compds. as glucokinase activators for treatment of diabetes and obesity)

RN 913284-17-0 CAPLUS

OSC.G 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD (9 CITINGS)

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 19 OF 29 CAPLUS COPYRIGHT 2010 ACS on STN

AN 2006:499153 CAPLUS Full-text

DN 145:167167

TI Design, synthesis, and biological evaluation of indole derivatives as novel nociceptin/orphanin FQ (N/OFQ) receptor antagonists

AU Sugimoto, Yuichi; Shimizu, Atsushi; Kato, Tetsuya; Satoh, Atsushi; Ozaki, Satoshi; Ohta, Hisashi; Okamoto, Osamu

CS Banyu Tsukuba Research Institute, Ltd, Banyu Pharmaceutical Co., Ltd., Tsukuba, Ibaraki, 300-2611, Japan

SO Bioorganic & Medicinal Chemistry Letters (2006), 16(13), 3569-3573 CODEN: BMCLE8; ISSN: 0960-894X

PB Elsevier B.V.

DT Journal

LA English

OS CASREACT 145:167167

AB A novel series of 2-(1,2,4-oxadiazol-5-yl)-1H-indole derivs. as nociceptin/orphanin FQ (N/OFQ) receptor antagonists was discovered. Systematic modification of our original lead by changing the pendant functional groups, linker, heterocyclic core, and basic side chain revealed the structure-activity requirements for this novel template and resulted in the identification of more potent analog with improved potency as compared to the parent compound

IT 900812-90-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of (oxadiazolyl)indole derivs. and their analogs and study of their activity as ORL1 (opioid receptor-like-1, nociceptin/orphanin FQ) receptor antagonists)

RN 900812-90-0 CAPLUS

CN 1H-Indole-2-carboxylic acid, 4-[2-(dimethylamino)ethyl]-, 2-[3-(4-chlorophenyl)-1-oxopropyl]hydrazide (CA INDEX NAME)

OSC.G 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)
RE.CNT 42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 20 OF 29 CAPLUS COPYRIGHT 2010 ACS on STN

AN 2006:413170 CAPLUS Full-text

DN 145:95759

TI Design, Molecular Modeling, Synthesis, and Anti-HIV-1 Activity of New Indolyl Aryl Sulfones. Novel Derivatives of the Indole-2-carboxamide

AU Ragno, Rino; Coluccia, Antonio; La Regina, Giuseppe; De Martino, Gabriella; Piscitelli, Francesco; Lavecchia, Antonio; Novellino, Ettore; Bergamini, Alberto; Ciaprini, Chiara; Sinistro, Anna; Maga, Giovanni; Crespan, Emanuele; Artico, Marino; Silvestri, Romano

CS Dipartimento di Studi Farmaceutici, Istituto Pasteur-Fondazione Cenci Bolognetti, Universita di Roma La Sapienza, Rome, I-00185, Italy

SO Journal of Medicinal Chemistry (2006), 49(11), 3172-3184 CODEN: JMCMAR; ISSN: 0022-2623

PB American Chemical Society

DT Journal

LA English

OS CASREACT 145:95759

GΙ

Ι

AB Mol. modeling studies and an updated highly predictive 3-D QSAR model led to the discovery of exceptionally potent indolyl aryl sulfones (IASs) characterized by the presence of either a pyrrolidin-2-one nucleus at the indole-2-carboxamide or some substituents at the indole-2-carbohydrazide. Two of the compds. were found active in the sub-nanomolar range of concentration in both MT-4 and C8166 cell-based anti-HIV assays. These compds., and in particular compound I, also showed excellent inhibitory activity against both HIV-112 and HIV-AB1 primary isolates in lymphocytes and against HIV WT in macrophages.

IT 895152-93-9P

RL: PAC (Pharmacological activity); PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (indolyl aryl sulfones with anti-HIV-1 activity)

RN 895152-93-9 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-chloro-3-[(3,5-dimethylphenyl)sulfonyl]-, 2-(ethoxycarbonyl)hydrazide (CA INDEX NAME)

OSC.G 18 THERE ARE 18 CAPLUS RECORDS THAT CITE THIS RECORD (18 CITINGS)

RE.CNT 42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 21 OF 29 CAPLUS COPYRIGHT 2010 ACS on STN

AN 2006:274280 CAPLUS Full-text

DN 144:460311

TI The design and synthesis of human branched-chain amino acid aminotransferase inhibitors for treatment of neurodegenerative diseases

AU Hu, Lain-Yen; Boxer, Peter A.; Kesten, Suzanne R.; Lei, Huangshu J.; Wustrow, David J.; Moreland, David W.; Zhang, Liming; Ahn, Kay; Ryder, Todd R.; Liu, Xiaohong; Rubin, John R.; Fahnoe, Kelly; Carroll, Richard T.; Dutta, Satavisha; Fahnoe, Douglass C.; Probert, Albert W.; Roof, Robin L.; Rafferty, Michael F.; Kostlan, Catherine R.; Scholten, Jeffrey D.; Hood, Molly; Ren, Xiao-Dan; Schielke, Gerald P.; Su, Ti-Zhi; Taylor, Charles P.; Mistry, Anil; McConnell, Patrick; Hasemann, Charles; Ohren, Jeffrey

CS Pfizer Global Research and Development, Ann Arbor, MI, USA

SO Bioorganic & Medicinal Chemistry Letters (2006), 16(9), 2337-2340 CODEN: BMCLE8; ISSN: 0960-894X

PB Elsevier B.V.

DT Journal

LA English

OS CASREACT 144:460311

GΙ

Ι

AB The inhibition of the cytosolic isoenzyme BCAT that is expressed specifically in neuronal tissue is likely to be useful for the treatment of neurodegenerative and other neurol. disorders where glutamatergic mechanisms are implicated. Compound I exhibited an IC50 of 0.8 μM in the hBCATc assays; it is an active and selective inhibitor. Inhibitor I also blocked calcium influx into neuronal cells following inhibition of glutamate uptake, and demonstrated neuroprotective efficacy in vivo. SAR, pharmacol., and the crystal structure of hBCATc with inhibitor I are described.

IT22930-51-4P

> RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(design and synthesis of human branched-chain amino acid aminotransferase inhibitors for treatment of neurodegenerative diseases)

22930-51-4 CAPLUS RN

1H-Indole-2-carboxylic acid, 5-methoxy-, 2-(phenylsulfonyl)hydrazide (CA CN INDEX NAME)

OSC.G THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS) 1

RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 22 OF 29 CAPLUS COPYRIGHT 2010 ACS on STN L6

ΑN 2005:1193471 CAPLUS Full-text

143:460025 DN

Antitumor indole and azaindole derivatives useful for treating resistance ΤI to antitumor agents and their preparation

Farina, Carlo; Gagliardi, Stefania; Misiano, Paola; Celestini, Paolo; ΙN Zunino, Franco

Nikem Research S.r.l., Italy; Ori Istituto Nazionale per lo Studio e la PACura dei Tumori

SO PCT Int. Appl., 95 pp. CODEN: PIXXD2

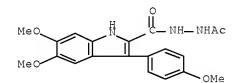
DT Patent

LA English

FAN.	CNT	1																
	PAT	CENT :	NO.			KIN		DATE			APPL	ICAT	ION 1	NO.		D	ATE	
PI	_			_		A2 20051110 A3 20060622				WO 2	005-	EP51	908		2	0050	427	
	WO																	
		W:						AU,										
			CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
			GE,	GH,	$\mathrm{GM}$ ,	HR,	HU,	ID,	ΙL,	IN,	IS,	JP,	KE,	KG,	KM,	${\sf KP}$ ,	KR,	KΖ,
			LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,
			NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,
			SM,	SY,	ΤJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,
	ZM, ZW																	
	RW: BW, GH, GM,		KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,			
			ΑZ,	BY,	KG,	KΖ,	MD,	RU,	ΤJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,
			EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	IS,	ΙΤ,	LT,	LU,	MC,	NL,	PL,	PT,
			RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,
			MR,	ΝE,	SN,	TD,	TG											
	IT	2004	MI08	74		A1		2004	0730		IT 2	004-1		2	0040	430		
	ΙT	1356	189			В1		2009	0226									
	AU	2005	2377	88		A1		2005	1110		AU 2	005-	2377	88		2	0050	<b>42</b> 7
	CA	2564	249			A1		2005	1110		CA 2	005-	2564	249		2	0050	<b>42</b> 7
	EΡ	1750	687			A2		2007	0214		EP 2	005-	7430	13		2	0050	427
	-	R:	AT.	BE.	BG.	CH.												
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JP 2007535520	T	20071206 J	P 20	007-510035	20050427
US 20070248672	A1	20071025 U	S 20	006-579237	20061030
PRAI IT 2004-MI874	A	20040430			
WO 2005-EP51908	W	20050427			
ASSIGNMENT HISTORY FOR US	PATENT	C AVAILABLE IN	LSU	JS DISPLAY FORMAT	
OS CASREACT 143:460025;	MARPAT	T 143:460025			
GI					

- \* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY AVAILABLE VIA OFFLINE PRINT \*
- The invention is related to the use of aza/indoles of formula (I) [R1 = H, alkyl, alkoxycarbonylalkyl, etc.; R2 = alk(en)yl, aryl, (un)substituted heterocyclyl, etc.; R3 R6 = independently H, alkyl, alkoxy, OH, halo, CF3, OCF3; X, Y = independently C, N; A = Ph, 5- to 6-membered heterocyclic ring containing up to 2 heteroatoms selected from N, O, and S] in the treatment of drug resistant tumors. I can be used in monotherapy, as antitumor agents, or in co-therapy, as synergistic enhancers of the action of known antitumor drugs. The invention is also related to the preparation of compds. I and their pharmaceutical compns. For example, dehydration of 5,6-Dimethoxy-3-(4-methoxyphenyl)-1H-indole-2-carboxamide gave II in 53% yield. III displayed an IC50 of 0.500 and 0.644  $\mu$ M for the inhibition of human and bovine V-ATPase activity in vitro. Selected I demonstrated synergistic effects in combination with topotecan both in HT29 and HT29/Mit cells.
- IT 869117-48-6F, N'-Acetyl-5,6-dimethoxy-3-(4-methoxyphenyl)-1H-indole-2-carboxylic acid hydrazide
  - RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
    - (intermediate; preparation of antitumor indoles and azaindoles useful for treating resistance to antitumor agents and combination with other agents or radiotherapy)
- RN 869117-48-6 CAPLUS
- CN 1H-Indole-2-carboxylic acid, 5,6-dimethoxy-3-(4-methoxyphenyl)-, 2-acetylhydrazide (CA INDEX NAME)



- OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
  RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
  ALL CITATIONS AVAILABLE IN THE RE FORMAT
- L6 ANSWER 23 OF 29 CAPLUS COPYRIGHT 2010 ACS on STN
- AN 2005:1004744 CAPLUS Full-text
- DN 143:306292
- TI Preparation of pyrrolopyridine-2-carboxylic acid hydrazides as glycogen phosphorylase inhibitors
- IN Bradley, Stuart Edward; Jeevaratnam, Revathy Perpetua; Krulle, Thomas Martin; Procter, Martin James; Rowley, Robert John; Thomas, Gerard Hugh; Valdes, Ana

PA Prosidion Limited, UK
SO PCT Int. Appl., 43 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

FAN.	1 FENT		KIND DATE				APPL	ICAT	ION 1		DATE								
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PΙ	WO	2005									WO 2005-GB885								
		W:	ΑE,	AG,	ΑL,	ΑM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	ВG,	BR,	BW,	BY,	BZ,	CA,	CH,	
			CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ ,	EC,	EE,	EG,	ES,	FΙ,	GB,	GD,	
			GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	KΖ,	LC,	
			LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,	
			NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	
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			,	LV,	,			• • • •								_			
		2007				_		2007				-				_			
	US	2008	0269	277		A1		2008	1030		US 2	007-	5918	95		2	0071	105	
PRAI	US	2004	-551	254P		P		2004	0308										
	WO	2005	-GB8	85		W		2005	0308										
OS	CAS	SREAC	T 14	3:30	6292	; MAI	RPAT	143	:306	292									
GI																			

AB Title compds. of formula I [one of X1-X4 is N and the others are C; Y = CO, SO2, C(NH); Z = alkylene, O, alkyleneoxy, (substituted) NH, etc.; R, R1 = H, halo, OH, CN, alkyl, alkoxy, CH2F, ethenyl, ethynyl, etc.; R2 = H, alkyl, alkoxycarbonyl, acyl, alkoxy, arylalkyl, etc.; R3 = H, alkoxycarbonyl, alkoxy, arylalkylthio, arylalkyl, etc.] are prepared as inhibitors of glycogen phosphorylase and are useful in the prophylactic or therapeutic treatment of diabetes, hyperglycemia, hypercholesterolemia, hyperinsulinemia, hyperlipidemia, hypertension, atherosclerosis or tissue ischemia e.g. myocardial ischemia, or as cardioprotectants or inhibitors of abnormal cell growth. Thus, II was prepared from 5-chloro-1H-pyrrolo[2,3-c]pyridine-2-carboxylic acid hydrazide TFA salt (preparation given) and 2-thienyl isocyanate. The prepared compds. had IC50 values better than 100μM against glycogen phosphorylase.

IT 864547-64-8P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of pyrrologyridinecarboxylic acid bydrazides as glycenter)

(preparation of pyrrolopyridinecarboxylic acid hydrazides as glycogen phosphorylase inhibitors)

RN 864547-64-8 CAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxylic acid, 5-chloro-, 2-[(1,1-dimethylethoxy)carbonyl]hydrazide (CA INDEX NAME)

OSC.G 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 24 OF 29 CAPLUS COPYRIGHT 2010 ACS on STN

AN 2005:1004705 CAPLUS Full-text

DN 143:306169

TI Indole-2-carboxylic acid hydrazides

IN Bradley, Stuart Edward; Jeevaratnam, Revathy Perpetua; Krulle, Thomas
Martin; Procter, Martin James; Rowley, Robert John; Thomas, Gerard Hugh;
Valdes, Ana

PA Prosidion Limited, UK

SO PCT Int. Appl., 27 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

FAN.	_		NO.				KIND DATE					ICAT							
PI		2005 2005				A2													
		W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,	
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			GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	KZ,	LC,	
			LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,	
			NO, NZ, OM,				PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	
			SY,	ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW
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			AZ, BY, KG,																
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PRAI		2004																	
		2005																	
OS	CAS	SREAC	T 14	3:30	6169	; MAI	RPAT	143	:306	169									

- \* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY AVAILABLE VIA OFFLINE PRINT \*
- AB Compds. of formula I [wherein Y = -C(0)-. -S(0)2-, or -C(NH)-; Z = C1-4alkylene, O, -(CH2)mO-, -O(CH2)m, etc. (m = 1-4); R1, R2 = independently halogen, hydroxym cyano, etc.; R3 = C0-4alkyl, C1-4alkoxyC1-3alkyl-, hydroxyC1-4alkyl, etc.; R4 = H, -COOCO-4alkyl, C1-4alkyl, etc.] or pharmaceutically acceptable salts thereof, were prepared as inhibitors of glycogen phosphorylase. Thus, a solution of 5-chloro-1H-indole-2-carboxylic acid hydrazide (II) in 1,4-dioxane was treated with phenylmethanesulfonyl chloride and DIPEA for 16H at room temperature to provide 5-chloro-1H-indole-2-carboxylic acid N'-(phenylmethanesulfonyl)hydrazide (III). Compds. of formula I are useful in the prophylactic or therapeutic treatment of diabetes, hyperglycemia, hypercholesterolemia, hyperinsulinemia, hyperlipidemia, hypertension, atherosclerosis or tissue ischemia, e.g. myocardial ischemia, or as cardioprotectants or inhibitors of abnormal cell growth.
- IT 864658-78-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of indole-2-carboxylic acid hydrazides as inhibitors of glycogen phosphorylase)

- RN 864658-78-6 CAPLUS
- CN 1H-Indole-2-carboxylic acid, 5-chloro-, 2-[(phenylmethyl)sulfonyl]hydrazide (CA INDEX NAME)

- OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
- RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT
- L6 ANSWER 25 OF 29 CAPLUS COPYRIGHT 2010 ACS on STN
- AN 2005:500080 CAPLUS Full-text
- DN 144:166502
- TI Contrast agents for magnetic resonance imaging (MRI)
- AU Kimpe, Kristof; Parac-Vogt, Tatjana N.; Binnemans, Koen
- CS Departement Chemie, Laboratorium voor Cooerdinatiechemie, Leuven, 3001, Belg.
- SO Chemie Magazine (Heverlee, Belgium) (2004), (6), 7-13 CODEN: CHMAF2
- PB Koninklijke Vlaamse Chemische Vereniging
- DT Journal; General Review
- LA Dutch
- AB A review of the development of new contrast agents, incorporation of amphiphilic DTPA derivs. into micelles, self-assembling heteropolymetallic chelates, and studies of the compound KA080402.
- IT 858352-41-70, lanthanide complexes RL: DGN (Diagnostic use); BIOL (Biological study); USES (Uses)

(contrast agents for magnetic resonance imaging (MRI))

- RN 858352-41-7 CAPLUS
- CN 1H-Indole-2-carboxylic acid, 3,3'-[(3,4,5-trimethoxyphenyl)methylene]bis-, 2,2'-bis[2-[[[2-[[2-[bis(carboxymethyl)amino]ethyl](carboxymethyl)amino]ethyl](carboxymethyl)amino]acetyl]hydrazide] (9CI) (CA INDEX NAME)

- L6 ANSWER 26 OF 29 CAPLUS COPYRIGHT 2010 ACS on STN
- AN 2005:452839 CAPLUS Full-text
- DN 143:139048
- TI Synthesis, characterization, and pharmacokinetic evaluation of a potential MRI contrast agent containing two paramagnetic centers with albumin binding affinity
- AU Parac-Vogt, Tatjana N.; Kimpe, Kristof; Laurent, Sophie; Vander Elst, Luce; Burtea, Carmen; Chen, Feng; Muller, Robert N.; Ni, Yicheng; Verbruggen, Alfons; Binnemans, Koen
- CS Department of Chemistry, Katholieke Universiteit Leuven, Louvain, 3001,
- SO Chemistry--A European Journal (2005), 11(10), 3077-3086 CODEN: CEUJED; ISSN: 0947-6539
- PB Wiley-VCH Verlag GmbH & Co. KGaA
- DT Journal
- LA English
- OS CASREACT 143:139048
- A dinuclear gadolinium(III) complex of an amphiphilic chelating ligand, AΒ containing two diethylenetriamine-N,N,N',N'',N''-pentaacetate (DTPA) moieties bridged by a bisindole derivative with three methoxy groups, has been synthesized and evaluated as a potential magnetic resonance imaging (MRI) contrast agent. Nuclear magnetic relaxation dispersion (NMRD) measurements indicated that at 20 MHz and 37°C the dinuclear gadolinium(III) complex has a much higher relaxivity than [Gd(DTPA)] (6.8 vs 3.9 s-1 mmol-1). The higher relaxivity of the dinuclear gadolinium(III) complex could be related to its reduced motion and larger rotational correlation time relative to [Gd(DTPA)]. In the presence of human serum albumin (HSA) the relaxivity value of the noncovalently bound dinuclear complex increased to 15.2 s-1 per mmol of Gd3+, due to its relatively strong interaction with this protein. The fitted value of the binding constant to HSA (Ka) was found to be 104 M-1. Because of its interaction with HSA, the dinuclear complex exhibited a longer elimination half-life from the plasma, and a better confinement to the vascular space compared to the com. available [Gd(DTPA)] contrast agent. Transmetalation of

the dinuclear gadolinium(III) complex by zinc(II) has been investigated. Biodistribution studies suggested that the complex was excreted by the renal pathway, and possibly by the hepatobiliary route. In vivo studies indicated that half of the normal dose of the gadolinium(III) complex enhanced the contrast in hepatic tissues around 40% more effectively than [Gd(DTPA)]. The dinuclear gadolinium(III) complex was tested as a potential necrosis avid contrast agent (NACA), but despite the binding to HSA, it did not exhibit necrosis avidity, implying that binding to albumin is not a key parameter for necrosis-targeting properties.

IT 858352-41-7DP, complex with Gadolinium
RL: BSU (Biological study, unclassified); PKT (Pharmacokinetics); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(synthesis and pharmacokinetic evaluation of a potential MRI contrast agent containing two paramagnetic centers with albumin binding affinity)  $\frac{1}{2}$ 

RN 858352-41-7 CAPLUS

CN 1H-Indole-2-carboxylic acid, 3,3'-[(3,4,5-trimethoxyphenyl)methylene]bis-, 2,2'-bis[2-[[[2-[bis(carboxymethyl)amino]ethyl](carboxymethyl)amino]ethyl](carboxymethyl)amino]acetyl]hydrazide] (9CI) (CA INDEX NAME)

OSC.G 16 THERE ARE 16 CAPLUS RECORDS THAT CITE THIS RECORD (16 CITINGS)
RE.CNT 52 THERE ARE 52 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L6 ANSWER 27 OF 29 CAPLUS COPYRIGHT 2010 ACS on STN
- AN 2004:1089277 CAPLUS Full-text
- DN 142:254762
- TI Functional characterization of human neuropeptide Y receptor subtype five specific antagonists using a luciferase reporter gene assay
- AU Beauverger, Philippe; Rodriguez, Marianne; Nicolas, Jean-Paul; Audinot, Valerie; Lamamy, Veronique; Dromaint, Sandra; Nagel, Nadine; Macia, Christelle; Leopold, Odile; Galizzi, Jean-Pierre; Caignard, Daniel-Henri; Aldana, Ignacio; Monge, Antonio; Chomarat, Pascale; Boutin, Jean A.
- CS Division de Pharmacologie Moleculaire et Cellulaire, Institut de Recherches Servier, Croissy-sur-Seine, 78 290, Fr.
- SO Cellular Signalling (2005), 17(4), 489-496 CODEN: CESIEY; ISSN: 0898-6568
- PB Elsevier B.V.
- DT Journal
- LA English

## 10/591.895

AΒ Neuropeptide Y (NPY) has several receptors; one of them, the neuropeptide Y5 receptor (NPY5) seems involved in feeding behavior in mammals. Although this particular receptor has been extensively studied in the literature, the difficulties encountered to obtain a stable cell line expressing this recombinant receptor have impaired the development of tools necessary to establish its mol. pharmacol. We thus established a method for the functional study of new ligands. It is based upon the cotransfection in human melatonin receptor 1 (MT1)-overexpressing HEK293 cells of three plasmids encoding melanocortin receptor (MC5), neuropeptide Y5 receptor (NPY5) and a cAMP response element-controlled luciferase. Once challenged with  $\alpha \text{MSH}$ , the MC5 receptor activates the cAMP response, through the coupling protein subunit Gs. In contrast, NPY5 agonists, through the NPY5 receptor which is neg. coupled to the same pathway, counteract the  $\alpha MSH$ -mediated effect on cAMP level. Using appropriate controls, this method can pinpoint compds. with antagonistic activity. Simple and straight forward, this system permits reproducible measurements of agonist or antagonist effects in the presence of neuropeptide Y, the natural agonist. This method has the advantage over already existing methods and beyond its apparent complexity, to enhance the cAMP concentration at a physiol.' level, by opposition to a forskolin-induced adenylate cyclase activation. Finally, to further validate this assay, we showed results from (1) a series of natural peptidic agonists that permitted the standardization and (2) a series of potent nonpeptidic antagonists (affinity >10-9 M) that form a new class of active NPY5 receptor antagonists.

IT 845781-17-1

RL: BSU (Biological study, unclassified); BIOL (Biological study) (characterization of specific antagonists to human NPY receptor subtype 5 (NPY5) using HEK293 cells co-transfected with NPY5, melanocortin receptor and cAMP response element-controlled luciferase reporter gene)

RN 845781-17-1 CAPLUS

CN 1H-Indole-2-carboxylic acid, 2-[[trans-4-[[((2-nitrophenyl)sulfonyl]amino]methyl]cyclohexyl]carbonyl]hydrazide (CA INDEX NAME)

Relative stereochemistry.

OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
RE.CNT 53 THERE ARE 53 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L6 ANSWER 28 OF 29 CAPLUS COPYRIGHT 2010 ACS on STN
- AN 2004:756833 CAPLUS Full-text
- DN 141:272575
- TI Diacylhydrazine ligands for modulating expression of transgenes via chimeric ecdysone receptor complexes
- IN Hormann, Robert Eugene; Potter, David W.; Chortyk, Orestes; Tice, Colin M.; Carlson, Glenn Richard; Meyer, Andrew; Opie, Thomas R.
- PA Rheogene, Inc., USA
- SO PCT Int. Appl., 231 pp. CODEN: PIXXD2

DT Patent LA English FAN.CNT 2

	PAT	ATENT NO.					D	DATE		APPLICATION NO.							DATE		
PI		2004078924 2004078924						WO 2004-US5912							20040227				
		W: AE, AG, AL, CN, CO, CR, GE, GH, GM,		CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,			
		LK, LR, LS, RW: BW, GH, GM, BG, CH, CY,		KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AT,	BE,			
		MC, NL, PT, GQ, GW, ML,		•	•	•	•		BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,			
		7456315 20060020146						2008	_		US 2	004-		20040226					
	AU	2004 2516	2175	10		A1		2004 2004	0916	1									
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	JР		IE,	SI,			FI,	RO,	MK,	CY,	GB, GR, IT, LI, LU, N CY, AL, TR, BG, CZ, E JP 2006-508884						SK		
PRAI	US US	2003-455741P 2004-787906 2004-US5912			P A		2003 2004	0228 0226					~ ~				'		

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OS MARPAT 141:272575

The present invention relates to non-steroidal diacylhydrazine ligands AB AC(:X)N(G)N(E)C(:X')B [A = (substituted)Ph; B = A, (substituted)-6-membered heterocycle, 5-benzimidazolyl, 1H-indazole-3-yl, 1H-indole-2-yl, etc.; E = (substituted)-C4-10-branched alkyl; G = H, CN; X, X' = O, S] for use in nuclear receptor-based inducible gene expression systems. A method to modulate exogenous gene expression is disclosed in which an ecdysone receptor complex comprising (1) a DNA binding domain, (2) a ligand binding domain, (3) a transactivation domain, (4) and a diacylhydrazine ligand is contacted with a DNA construct comprising the exogenous gene and a response wherein binding of the ecdysone receptor complex to the response element in the presence of the ligand results in activation or suppression of the gene. A method for synthesizing the diacylhydrazines is further disclosed. Thus, 2-Et-3-MeObenzoic acid N'-t-Bu hydrazide was reacted NaH, then pyrazine-2-carboxylic acid pentafluorophenyl esters was added to prepare pyrazine-2-carboxylic acid N-t-Bu-N'-(2-Et-3-MeO-benzoyl) hydrazide. Many diacylhydrazines were synthesized and their water solubility and cell permeation coeffs. were determined These ligands were tested in mammalian cells expressing an ecdysone receptor complex and a reporter gene. The receptor complex contained a first protein containing domains from spruce budworm ecdysone receptor fused to a GAL4 DNA binding domain and a second protein containing domains from human  $\mbox{RXR}\beta$  and Locusta USP fused to the VP16 transactivation domain. The reporter gene construct consisted of GAL4 response elements fused to a synthetic TATA minimal promoter upstream of a luciferase gene. Similar expts. were conducted in vivo (in mice).

IT 755012-93-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(diacylhydrazine ligands for modulating expression of transgenes via chimeric ecdysone receptor complexes)

RN 755012-93-2 CAPLUS

CN 1H-Indole-2-carboxylic acid, 1-methyl-,

1-(1,1-dimethylethyl)-2-(2-ethyl-3-methoxybenzoyl)hydrazide (CA INDEX

NAME)

$$\underbrace{ \underbrace{ \begin{array}{c} \text{Me} \\ \text{N} \\ \text{N} \\ \text{NH} \\ \text{NH} \\ \text{Et} \\ \end{array} }^{\text{Me}} \underbrace{ \begin{array}{c} \text{OMe} \\ \text{OMe} \\ \text{OMe} \\ \text{OMe} \\ \\ \\ \text{OMe} \\ \\ \text{OMe} \\ \\ \\ \text{OMe} \\ \\ \\ \text{OMe} \\ \\ \text{OMe} \\ \\ \\ \text{OMe}$$

OSC.G THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS) RE.CNT 1

THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 29 OF 29 CAPLUS COPYRIGHT 2010 ACS on STN

2003:356418 CAPLUS Full-text ΑN

DN 138:368761

Preparation of indole derivatives as inhibitors of human liver glycogen ΤI phosphorylase a

ΙN Nakamura, Takeshi; Takagi, Masaki; Ueda, Nobuhisa

Japan Tobacco Inc., Japan PΑ

SO PCT Int. Appl., 237 pp.

CODEN: PIXXD2

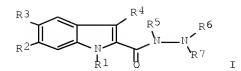
DT Patent

Japanese LA

FAN.CNT 1

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	PAT	CENT :	NO.			KIND DATE					APPL	ICAT		DATE						
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		W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	AZ,	ΒA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,		
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			FI,	FR,	GB,	GR,	ΙE,	ΙT,	LU,	MC,	NL,	PT,	SE,	SK,	TR,	BF,	ВJ,	CF,		
			CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	TG					
	CA	2465	382			A1		2003	0508	(	CA 2	002-	2465		20021029					
	ΑU	2002	3446	00		A1		2003	0512		AU 2	002-	3446		20021029					
	JP	2003	2012	79		Α		2003	0718		JP 2	002-	3151		20021029					
	ΕP	1452	526			A1		2004	0901	:	EP 2	002-	7779	95		2	0021	029		
		R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙΤ,	LI,	LU,	NL,	SE,	MC,	PT,		
			ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR,	BG,	CZ,	EE,	SK				
	US	2005	0054	696		A1		2005	0310	1	US 2	004-	4938	53		2	0041	021		
PRAI	JP	2001	-331	501		Α		2001	1029											
	WO	2002		$\mathbb{W}$		2002	1029													
OS	MAF	RPAT	138:	3687	61															



AB The title compds. I [R1 = H, alkyl, etc.; R2 = H, halo; R3 = halo, alkyl, etc.; R4 = H, alkyl; R5 = H, alkyl, alkoxycarbonyl; R6 = H, alkyl, etc.; R7 = C(:X)AB; X = O, etc.; A = NR8, etc.; R8 = H, alkyl, etc.; B = (un)substituted Ph, etc.] are prepared I are useful in the treatment of diabetes. Compds. of this invention in vitro showed IC50 values of 0.010 μM to > 0.1 μM against human liver glycogen phosphorylase a.

IT 37574-79-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of indole derivs. as inhibitors of human liver glycogen phosphorylase a)

RN 37574-79-1 CAPLUS

CN 1H-Indole-2-carboxylic acid, 2-benzoylhydrazide (CA INDEX NAME)

OSC.G 13 THERE ARE 13 CAPLUS RECORDS THAT CITE THIS RECORD (18 CITINGS)
RE.CNT 71 THERE ARE 71 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

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